

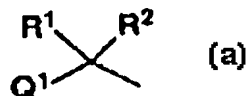


## INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

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<b>(21) International Application Number:</b> PCT/JP98/01872 <b>(22) International Filing Date:</b> 23 April 1998 (23.04.98)  <b>(30) Priority Data:</b> 9/109941                      25 April 1997 (25.04.97)                      JP  <b>(71) Applicant (for all designated States except US):</b> TAKEDA CHEMICAL INDUSTRIES, LTD. [JP/JP]; 1-1, Doshomachi 4-chome, Chuo-ku, Osaka-shi, Osaka 541-0045 (JP).  <b>(72) Inventors; and</b> <b>(75) Inventors/Applicants (for US only):</b> ITOH, Shigeyuki [JP/JP]; 11-6-401, Takezono 2-chome, Tsukuba-shi, Ibaraki 305-0032 (JP). NAKAMURA, Satoko [JP/JP]; 11-16-606, Sengen 2-chome, Tsukuba-shi, Ibaraki 305-0047 (JP). TANAKA, Yasushi [JP/JP]; 14-1-501, Takezono 2-chome, Tsukuba-shi, Ibaraki 305-0032 (JP).  <b>(74) Agents:</b> ASAHINA, Tadao et al.; Osaka Plant of Tadeka Chemical Industries, Ltd., 17-85, Jusohonmachi 2-chome, Yodogawa-ku, Osaka-shi, Osaka 532-0024 (JP).		<b>(81) Designated States:</b> AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ARIPO patent (GH, GM, KE, LS, MW, SD, SZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG).  <b>Published</b> <i>With international search report.</i>

**(54) Title:** TRIAZINE DERIVATIVES, THEIR PRODUCTION AND AGROCHEMICAL COMPOSITION**(57) Abstract**

The present invention relates to a partially hydrogenated or completely hydrogenated 1,3,5-triazine derivative which has (i) a group of formula (a), wherein Q<sup>1</sup> represents an aromatic ring group which may



optionally be substituted; R<sup>1</sup> represents a hydrogen atom or a hydrocarbon group which may optionally be substituted; R<sup>2</sup> represents a hydrocarbon group which may optionally be substituted or R<sup>1</sup> and R<sup>2</sup> may form a ring together with the adjacent carbon atom wherein the ring may optionally be substituted, at the 1-position, and (ii) oxo groups or thioxo group at the 2-position, and (iii) which may have a substituent at each 3- to 6-position, provided that said triazine derivative does not have oxo group at both the 4- and 6-positions, or a salt thereof; a process for its production; and a composition. The compound or a salt thereof has potent herbicidal activity against a broad spectrum of weeds including paddy field weeds and plowed land weeds at low concentrations. Furthermore, it is less phytotoxic to crop plants such as rice, wheat, barley, soybean, and corn plants, thus having very satisfactory selective herbicidal activity. Moreover, this selective herbicidal action lasts long. In addition, the compound or salt which does no substantial harm to mammalian animals, fishes and shellfishes, is free from the pollution problem, and can be used very safely as a herbicide in paddy fields, plowlands, orchards, and non-crop lands.

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## DESCRIPTION

Triazine Derivatives, Their Production and  
Agrochemical Composition

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## [Technical Field]

The present invention relates to a novel triazine derivative having excellent and selective herbicidal activity, a production method for the compound, and an agrochemical composition comprising the compound.

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The triazine derivative of the invention is a novel compound having excellent herbicidal activity against paddy field and plow land weeds without inducing any material adverse responses in crop plants such as rice, wheat, barley, soybean, maize and other plants and finds application as a useful selective herbicide.

15

## [Background Art]

As triazine derivatives, for example:

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(1) JP-A 241047/1988 discloses a rubber composition comprising a triazine derivative. However, use as an agrochemical is not described.

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(2) JP-A 133968/1991 reports a tri-substituted 1,3,5-triazine-2,4,6-trione. Though use for a bactericide or a fungicide is described, use for a herbicide is not described.

30

(3) JP-A 93539/1974, JP-A 47534/1974, WO91/01978, USP 3,505,323, USP 3,505,057 and JP-A 41542/1974 describe various triazine derivatives which can be used for an agrochemical or a herbicide. However, a triazine derivative of the present invention is not disclosed in this literature in addition to (1) and (2) as mentioned above.

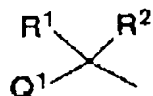
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The above-mentioned compounds are not fully satisfactory in herbicidal effect on weeds, potential of hazard to crop plants, toxicity to mammals, fishes

and shellfishes, and risk of pollution, and the development of a more improved selective herbicide has been awaited in earnest.

[Disclosure of Invention]

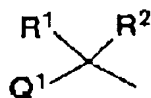
5           With the aim to developing a selective herbicide having superior herbicidal activity and not injurious to crop plants, the inventors of the present invention did intensive research and, as a consequence, have found that a partially hydrogenated or completely  
10           hydrogenated 1,3,5-triazine derivative which has (i) a group of the formula:



15           wherein  $Q^1$  represents an aromatic ring group which may optionally be substituted;  $R^1$  represents a hydrogen atom or a hydrocarbon group which may optionally be substituted;  $R^2$  represents a hydrocarbon group which may optionally be substituted or  $R^1$  and  $R^2$  may form a  
20           ring together with the adjacent carbon atom wherein the ring may optionally be substituted, at the 1-position, and (ii) oxo group or thioxo group at the 2-position, and (iii) which may have a substituent at each 3- to 6-position, provided that said triazine derivative does  
25           not have oxo groups at both the 4- and 6-positions, or a salt thereof, has potent herbicidal activity with remarkably improved phytotoxic toward crop plants such as rice, wheat, barley, soybean, maize, and other plants, thus showing high specificity. They have made  
30           further research with diligence and have perfected the present invention.

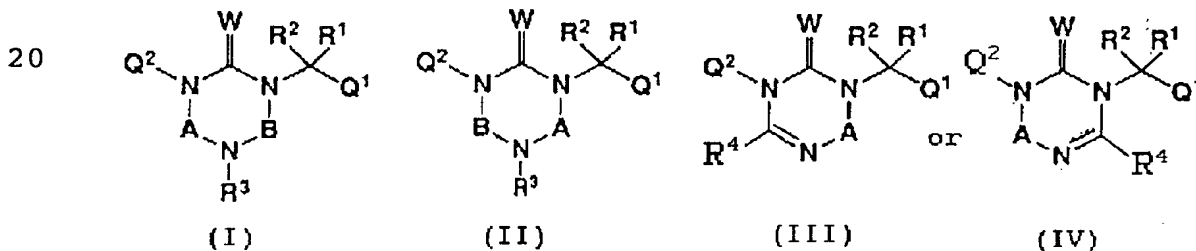
Namely, the present invention relates to:

[1] a partially hydrogenated or completely  
35           hydrogenated 1,3,5-triazine derivative which has (i) a group of the formula:



wherein  $Q^1$  represents an aromatic ring group which may optionally be substituted;  $R^1$  represents a hydrogen atom or a hydrocarbon group which may optionally be substituted;  $R^2$  represents a hydrocarbon group which may optionally be substituted or  $R^1$  and  $R^2$  may form a ring together with the adjacent carbon atom wherein the ring may optionally be substituted, at the 1-position, and (ii) oxo group or thioxo group at the 2-position, and (iii) which may have a substituent at each 3- to 6-position, provided that said triazine derivative does not have oxo groups at both the 4- and 6-positions (hereinafter referred to as "compound (Ia)", or a salt thereof,

[2] the compound as described in [1] above, which is a compound of the formula:



wherein  $Q^1$  represents an aromatic ring group which may optionally be substituted;  $R^1$  represents a hydrogen atom or a hydrocarbon group which may optionally be substituted;  $R^2$  represents a hydrocarbon group which may optionally be substituted or  $R^1$  and  $R^2$  may form a ring together with the adjacent carbon atom wherein the ring may optionally be substituted; A represents an optionally substituted methylene group, carbonyl group or thiocarbonyl group; B represents an optionally substituted methylene group;  $Q^2$ ,  $R^3$  and  $R^4$  are the same or different and each represents a hydrogen atom or a

group bonded through a carbon atom, a nitrogen atom, an oxygen atom, a sulfur atom or a phosphorus atom; and W represents O or S,

5 [3] the compound as described in [1] above, wherein  $Q^1$  represents an optionally substituted  $C_{6-14}$  aryl group or an optionally substituted 5- or 6-membered aromatic heterocyclic group,

10 [4] the compound as described in [1] above, wherein  $Q^1$  represents an aromatic ring group selected from the group consisting of a  $C_{6-14}$  aryl group and a 5- or 6-membered aromatic heterocyclic group or a condensed ring group thereof with benzene ring or a 5- or 6-membered aromatic heterocyclic ring, wherein said  $C_{6-14}$  aryl group, 5- or 6-membered aromatic heterocyclic  
15 group or its condensed ring group may optionally be substituted with one to four substituents selected from the group consisting of hydroxy, amino, cyano, sulfamoyl, sulfamoyloxy, mercapto, nitro, halogen, sulfo and an organic residue selected from the group  
20 consisting of

(1) a hydrocarbon group selected from the group consisting of a  $C_{1-6}$  alkyl group, a  $C_{3-14}$  cycloalkyl group, a  $C_{2-6}$  alkenyl group, a  $C_{3-14}$  cycloalkenyl group, a  $C_{2-6}$  alkynyl group, a  $C_{6-14}$  aryl group and a  $C_{7-19}$   
25 aralkyl group,  
and when said hydrocarbon group is an alkyl group, a cycloalkyl group, an alkenyl group, a cycloalkenyl group or an alkynyl group, each of said groups may have one to three substituents selected from the group  
30 consisting of a  $C_{1-4}$  alkylthio group, halogen, a  $C_{1-6}$  alkoxy group, nitro, a  $C_{1-6}$  alkoxy-carbonyl group, a mono- or di- $C_{1-6}$  alkylamino group, a  $C_{1-6}$  alkoxyimino group, hydroxyimino, a  $C_{1-6}$  alkylsulfonyl group, cyano, carboxyl, hydroxy, a  $C_{1-6}$  alkylcarbonyloxy group, a  $C_{1-7}$   
35 alkanoyl group or a  $C_{1-6}$  alkylimino group,

and when said hydrocarbon group is an aryl group or an aralkyl group, each of said groups may have one to five substituents selected from the group consisting of (i) a C<sub>1-6</sub> alkyl group, (ii) a C<sub>3-6</sub> cycloalkyl group, (iii) a C<sub>2-6</sub> alkenyl group, (iv) a C<sub>2-6</sub> alkynyl group, (v) a C<sub>1-6</sub> alkoxy group, (vi) an acyl group selected from the group consisting of a C<sub>1-7</sub> alkanoyl group, a C<sub>6-14</sub> aryl-carbonyl group, a C<sub>1-6</sub> alkoxy-carbonyl group, a C<sub>6-14</sub> aryloxy-carbonyl group, a C<sub>7-19</sub> aralkyl-carbonyl group, and a C<sub>7-19</sub> aralkyloxycarbonyl group, (vii) nitro, (viii) amino, (ix) hydroxy, (x) cyano, (xi) sulfamoyl, (xii) mercapto, (xiii) halogen and (xiv) a C<sub>1-4</sub> alkylthio group,

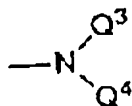
(2) a 3- to 8-membered heterocyclic group or a condensed ring group thereof with benzene ring or a 3- to 8-membered heterocyclic ring, which may optionally be substituted with one to three substituents selected from the group consisting of (i) a C<sub>1-6</sub> alkyl group, (ii) a C<sub>3-6</sub> cycloalkyl group, (iii) a C<sub>2-6</sub> alkenyl group, (iv) a C<sub>2-6</sub> alkynyl group, (v) a C<sub>1-6</sub> alkoxy group, (vi) an acyl group selected from the group consisting of a C<sub>1-7</sub> alkanoyl group, a C<sub>6-14</sub> aryl-carbonyl group, a C<sub>1-6</sub> alkoxy-carbonyl group, a C<sub>6-14</sub> aryloxy-carbonyl group, a C<sub>7-19</sub> aralkyl-carbonyl group, and a C<sub>7-19</sub> aralkyloxycarbonyl group, (vii) nitro, (viii) amino, (ix) hydroxy, (x) cyano, (xi) sulfamoyl, (xii) mercapto, (xiii) halogen and (xiv) a C<sub>1-4</sub> alkylthio group,

(3) an acyl group selected from the group consisting of a C<sub>1-7</sub> alkanoyl group, a C<sub>6-14</sub> aryl-carbonyl group, a C<sub>1-6</sub> alkoxy-carbonyl group, a C<sub>6-14</sub> aryloxy-carbonyl group, a C<sub>7-19</sub> aralkyl-carbonyl group, a C<sub>7-19</sub> aralkyloxycarbonyl group, a 5- or 6- membered heterocyclic-carbonyl group and a 5- or 6- membered heterocyclic-acetyl group, and when the acyl group is an alkanoyl group or an

alkoxy-carbonyl group, each group may has one to three substituents selected from the group consisting of a C<sub>1-4</sub> alkylthio group, halogen, a C<sub>1-6</sub> alkoxy group, nitro, a C<sub>1-6</sub> alkoxy-carbonyl group, a mono- or di-C<sub>1-6</sub> alkylamino group, a C<sub>1-6</sub> alkoxyimino group and hydroxyimino group, and when the acyl group is an aryl-carbonyl group, an aryloxy-carbonyl group, an aralkyl-carbonyl group, an aralkyloxycarbonyl group, 5- or 6-membered heterocyclic-carbonyl group or a 5- or 6- membered heterocyclic-acetyl group, each of said groups may have one to five substituents selected from the group consisting of (i) a C<sub>1-6</sub> alkyl group, (ii) a C<sub>3-6</sub> cycloalkyl group, (iii) a C<sub>2-6</sub> alkenyl group, (iv) a C<sub>2-6</sub> alkynyl group, (v) a C<sub>1-6</sub> alkoxy group, (vi) an acyl group selected from the group consisting of a C<sub>1-7</sub> alkanoyl group, a C<sub>6-14</sub> aryl-carbonyl group, a C<sub>1-6</sub> alkoxy-carbonyl group, a C<sub>6-14</sub> aryloxy-carbonyl group, a C<sub>7-19</sub> aralkyl-carbonyl group, and a C<sub>7-19</sub> aralkyloxycarbonyl group, (vii) nitro, (viii) amino, (ix) hydroxy, (x) cyano, (xi) sulfamoyl, (xii) mercapto, (xiii) halogen and (xiv) a C<sub>1-4</sub> alkylthio group,

(4) a group of the formula: -T-Q<sup>0</sup> wherein Q<sup>0</sup> represents a hydrocarbon group as defined in above (1), a 3- to 8-membered heterocyclic group as defined in above (2), or an acyl group as defined in above (3); T represents O, (O)<sub>k</sub>-S wherein k is 0, 1 or 2, or S-S,

(5) a group of the formula:

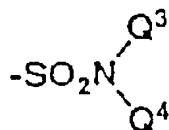


wherein Q<sup>3</sup> represents a hydrogen atom, a hydrocarbon group as defined in above (1) or an acyl group as



defined in above (3);  $Q^4$  represents a hydrocarbon group as defined in above (1) or an acyl group as defined in above (3), or  $Q^3$  and  $Q^4$  may form a ring together with the adjacent nitrogen atom,

5 (6) a group of the formula:



10 wherein  $Q^3$  and  $Q^4$  have the same meaning as defined above,

(7) a carbamoyl group which may optionally be substituted with 1 or 2 substituents selected from the group consisting of a hydrocarbon group as defined in above (1), a 3- to 8- membered heterocyclic group as defined in above (2) and an acyl group as defined in above (3),

15 (8) a carbamoyloxy group which may optionally be substituted with 1 or 2 substituents selected from the group consisting of a hydrocarbon group as defined in above (1), a 3- to 8- membered heterocyclic group as defined in above (2) and an acyl group as defined in above (3),

20 (9) a ureido group which may optionally be substituted with 1 to 3 substituents selected from the group consisting of a hydrocarbon group as defined in above (1), a 3- to 8- membered heterocyclic group as defined in above (2) and an acyl group as defined in above (3),

25 (10) a thiocarbamoyl group which may optionally be substituted with 1 or 2 substituents selected from the group consisting of a hydrocarbon group as defined in above (1), a 3- to 8- membered heterocyclic group as defined in above (2) and an acyl group as defined in above (3),

30 (11) carboxyl group, and

(12) a group of the formula  $\text{-O-SO}_2\text{-Q}^4$  wherein  $Q^4$  has the

same meaning as defined above,

[5] the compound as described in [1] above, wherein  $R^1$  and  $R^2$  are the same or different and each represents an optionally substituted  $C_{1-6}$  alkyl group,

5 [6] the compound as described in [1] above, wherein  $R^1$  and  $R^2$  are the same or different and each represents a  $C_{1-6}$  alkyl group which may optionally be substituted with one to three substituents selected from the group consisting of nitro, amino, hydroxy, cyano, sulfamoyl, mercapto, carboxyl and halogen,

10 [7] the compound as described in [2] above, wherein A and B are the same or different and each represents an optionally substituted methylene group,

[8] the compound as described in [2] above, wherein A and B are the same or different and each represents a group of the formula:



wherein  $R^5$  and  $R^6$  are the same or different and each represents (1) hydrogen, (2) halogen, (3) a  $C_{1-6}$  alkyl group which may optionally be substituted with one to three substituents selected from the group consisting of nitro, amino, hydroxy, cyano, sulfamoyl, mercapto, carboxyl and halogen, or (4) a  $C_{6-14}$  aryl group which may optionally be substituted with one to three substituents selected from the group consisting of nitro, amino, hydroxy, cyano, sulfamoyl, mercapto, halogen, a  $C_{1-6}$  alkyl group and a  $C_{1-6}$  alkoxy group,

30 [9] the compound as described in [2] above, wherein  $Q^2$  represents (1) hydroxy, (2) a  $C_{1-6}$  alkoxy group, (3) a  $C_{2-6}$  alkenyloxy group, (4) a  $C_{2-6}$  alkynyloxy group, (5) an optionally substituted cyclic group, (6) an

optionally substituted  $C_{1-6}$  alkyl group, (7) an optionally substituted  $C_{2-6}$  alkenyl group, (8) a  $C_{1-20}$  acyl group, (9) an optionally substituted carbamoyl group, (10) an optionally substituted amidino group, (11) a group of  $-S(O)_nR^{20}$  wherein  $n$  is 0, 1 or 2 and  $R^{20}$  represents a hydrogen atom, a  $C_{1-6}$  alkyl group, a  $C_{6-14}$  aryl group or an optionally substituted amino group, (12) a  $C_{3-6}$  cycloalkyloxy group, (13) a  $C_{1-6}$  alkylcarbonyloxy group, (14) a  $C_{6-14}$  arylcarbonyloxy group, (15) an optionally substituted carbamoyloxy group, (16) an optionally substituted amino group, or (17) a group of  $-N=CR^{21}R^{22}$  wherein  $R^{21}$  and  $R^{22}$  are the same or different and each represents a hydrogen atom or a  $C_{1-6}$  alkyl group,

[10] the compound as described in [2] above, wherein  $Q^2$  represents (1) hydroxy, (2) a  $C_{1-6}$  alkoxy group, (3) a  $C_{2-6}$  alkenyloxy group, (4) a  $C_{2-6}$  alkynyloxy group, (5) a cyclic group selected from the group consisting of (i) a  $C_{6-14}$  aryl group, (ii) a 5- or 6-membered heterocyclic group bonded through a carbon atom or a condensed ring group thereof with benzene ring or a 5- or 6-membered heterocyclic ring, (iii) a 5- or 6-membered heterocyclic group bonded through a nitrogen atom or a condensed ring group thereof with benzene ring or a 5- or 6-membered heterocyclic ring, (iv) a  $C_{3-14}$  cycloalkyl group and (v) a  $C_{3-14}$  cycloalkenyl group wherein said cyclic group may have one to four substituents selected from the group consisting of nitro, amino, hydroxy, cyano, sulfamoyl, mercapto, carboxyl, halogen, a  $C_{1-6}$  alkyl group and a  $C_{1-6}$  alkoxy group, (6) a  $C_{1-6}$  alkyl group which may optionally be substituted with one to three substituents selected from the group consisting of nitro, amino, hydroxy, cyano, sulfamoyl, mercapto, carboxyl, halogen and a  $C_{1-6}$  alkoxyimino group, (7) a  $C_{2-6}$  alkenyl group, (8) an acyl group selected from the

group consisting of a C<sub>1-6</sub> alkyl-carbonyl group, a C<sub>6-14</sub> arylcarbonyl group and a C<sub>1-6</sub> alkoxy carbonyl group, (9) a carbamoyl group which may optionally be substituted with one or two C<sub>1-6</sub> alkyl groups, (10) an amidino group which may optionally be substituted with one to three C<sub>1-6</sub> alkyl groups, (11) a group of -S(O)<sub>n</sub>R<sup>20</sup> wherein n is 0, 1 or 2 and R<sup>20</sup> represents a hydrogen atom, a C<sub>1-6</sub> alkyl group, a C<sub>6-14</sub> aryl group or an amino group which may optionally be substituted with one or two C<sub>1-6</sub> alkyl groups, (12) a C<sub>3-6</sub> cycloalkyloxy group, (13) a C<sub>1-6</sub> alkylcarbonyloxy group, (14) a C<sub>6-14</sub> arylcarbonyloxy group, (15) a carbamoyloxy group which may optionally be substituted with one or two C<sub>1-6</sub> alkyl groups, (16) an amino group which may optionally be substituted with one or two substituents selected from the group consisting of a C<sub>1-6</sub> alkyl group, a C<sub>1-6</sub> alkyl-carbonyl group, a C<sub>1-6</sub> alkylsulfonyl group, and an aminocarbonyl group which may optionally be substituted with one or two C<sub>1-6</sub> alkyl groups, or (17) a group of -N=CR<sup>21</sup>R<sup>22</sup> wherein R<sup>21</sup> and R<sup>22</sup> are the same or different and each represents a hydrogen atom, a C<sub>1-6</sub> alkyl group, a C<sub>1-6</sub> alkoxy group or a C<sub>1-6</sub> alkylthio group,

[11] the compound as described in [2] above, wherein Q<sup>2</sup> represents an optionally substituted cyclic group,

[12] the compound as described in [2] above, wherein Q<sup>2</sup> represents a cyclic group selected from the group consisting of (i) a C<sub>6-14</sub> aryl group, (ii) a 5- or 6-membered heterocyclic group bonded through a carbon atom or a condensed ring group thereof with benzene ring or a 5- or 6-membered heterocyclic ring, (iii) a 5- or 6-membered heterocyclic group bonded through a nitrogen atom or a condensed ring group thereof with benzene ring or a 5- or 6-membered heterocyclic ring, (iv) a C<sub>3-14</sub> cycloalkyl group and (v) a C<sub>3-14</sub> cycloalkenyl group wherein said cyclic group may have one to four

substituents selected from the group consisting of nitro, amino, hydroxy, cyano, sulfamoyl, mercapto, carboxyl, halogen, a C<sub>1-6</sub> alkyl group and a C<sub>1-6</sub> alkoxy group,

5 [13] the compound as described in [2] above, wherein R<sup>3</sup> and R<sup>4</sup> are the same or different, and each represents (1) a hydrogen atom, (2) hydroxy, (3) an optionally substituted C<sub>1-6</sub> alkyl group, (4) an optionally substituted C<sub>3-14</sub> cycloalkyl group, (5) an optionally substituted C<sub>2-6</sub> alkenyl group, (6) an optionally substituted C<sub>2-6</sub> alkynyl group, (7) an optionally substituted C<sub>1-6</sub> alkoxy group, (8) an optionally substituted C<sub>2-6</sub> alkenyloxy group, (9) an optionally substituted C<sub>2-6</sub> alkynyloxy group, (10) an optionally substituted C<sub>6-14</sub> aryl group, (11) a C<sub>7-19</sub> aralkyl group, (12) an optionally substituted C<sub>6-14</sub> aryloxy group, (13) an optionally substituted carbamoyloxy group, (14) a C<sub>1-20</sub> acyl group, (15) an optionally substituted amino group, (16) an optionally substituted carbamoyl group, (17) an optionally substituted thiocarbamoyl group, (18) a group of -S(O)<sub>n</sub>-R<sup>23</sup> wherein n is 0, 1 or 2 and R<sup>23</sup> represents a hydrogen atom, an optionally substituted C<sub>1-6</sub> alkyl group, a C<sub>6-14</sub> aryl group, an optionally substituted amino group or a C<sub>1-20</sub> acyl group, (19) a C<sub>1-6</sub> alkylcarbonyloxy group, (20) a C<sub>1-6</sub> alkylsulfonyloxy group, (21) a group of -N=CR<sup>24</sup>R<sup>25</sup> wherein R<sup>24</sup> and R<sup>25</sup> are the same or different, and each represents a hydrogen atom, a C<sub>1-6</sub> alkyl group or a C<sub>1-6</sub> alkoxy group, (22) a 5- or 6-membered heterocyclic group bonded through a nitrogen atom or condensed ring group thereof with benzene ring or a 5- or 6-membered heterocyclic group, or (23) a group of -PO(R<sup>26</sup>)<sub>2</sub> wherein R<sup>26</sup> represents a C<sub>1-6</sub> alkoxy group,

[14] the compound as described in [2] above, wherein R<sup>3</sup>

and  $R^4$  are the same or different, and each represents  
(1) a hydrogen atom, (2) an optionally substituted  $C_{1-6}$   
alkyl group, (3) an optionally substituted  $C_{1-6}$  alkoxy  
group, (4) an optionally substituted  $C_{6-14}$  aryl group,  
5 (5) a  $C_{7-19}$  aralkyl group, (6) an optionally substituted  
 $C_{6-14}$  aryloxy group, (7) an optionally substituted  
carbamoyloxy group, (8) a  $C_{1-20}$  acyl group, (9) a mono-  
or di-substituted amino group, (10) a N-mono- or  
di-substituted carbamoyl group, (11) a group of  
10  $-S(O)_n-R^{23}$  wherein  $n$  is 0, 1 or 2, and  $R^{23}$  represents a  
hydrogen atom, an optionally substituted  $C_{1-6}$  alkyl  
group, a  $C_{6-14}$  aryl group or a mono- or di-substituted  
amino group, (12) a  $C_{1-6}$  alkylcarbonyloxy group, (13) a  
 $C_{1-6}$  alkylsulfonyloxy group, (14) a group of  $-N=CR^{24}R^{25}$   
15 wherein  $R^{24}$  and  $R^{25}$  are the same or different, and each  
represents a hydrogen atom or a  $C_{1-6}$  alkyl group, or  
(15) a 5- or 6-membered heterocyclic group bonded  
through a nitrogen atom or condensed ring group thereof  
with benzene ring or a 5- or 6-membered heterocyclic  
20 ring,  
[15] the compound as described in [2] above, wherein  $R^3$   
and  $R^4$  are the same or different, and each represents  
(1) a hydrogen atom,  
(2) hydroxy,  
25 (3) a  $C_{1-6}$  alkyl group which may optionally be  
substituted with one to three substituents selected  
from the group consisting of (i) hydroxy, (ii)  
carboxyl, (iii) cyano, (iv) halogen, (v) a  $C_{1-6}$  alkoxy  
group, (vi) a  $C_{1-6}$  alkylthio group, (vii) a  $C_{1-6}$   
30 alkylsulfonyl group, (viii) an acyl group selected from  
the group consisting of a  $C_{1-7}$  alkanoyl group, a  $C_{6-14}$   
arylcarbonyl group, a  $C_{1-6}$  alkoxycarbonyl group, a  $C_{6-14}$   
aryloxycarbonyl group, a  $C_{7-19}$  aralkylcarbonyl group,  
and a  $C_{7-19}$  aralkyloxycarbonyl group, (ix) a  $C_{6-14}$  aryl

- group, (x) a C<sub>1-7</sub> alkanoyloxy group and (xi) a C<sub>1-6</sub> alkylimino group,
- (4) a C<sub>3-14</sub> cycloalkyl group which may optionally be substituted with one to three substituents selected from the group consisting of (i) hydroxy, (ii) carboxyl, (iii) cyano, (iv) halogen, (v) a C<sub>1-6</sub> alkoxy group, (vi) a C<sub>1-6</sub> alkylthio group, (vii) a C<sub>1-6</sub> alkylsulfonyl group, (viii) an acyl group selected from the group consisting of a C<sub>1-7</sub> alkanoyl group, a C<sub>6-14</sub> arylcarbonyl group, a C<sub>1-6</sub> alkoxycarbonyl group, a C<sub>6-14</sub> aryloxycarbonyl group, a C<sub>7-19</sub> aralkylcarbonyl group, and a C<sub>7-19</sub> aralkyloxycarbonyl group, (ix) a C<sub>6-14</sub> aryl group, (x) a C<sub>1-7</sub> alkanoyloxy group and (xi) a C<sub>1-6</sub> alkylimino group,
- (5) a C<sub>2-6</sub> alkenyl group which may optionally be substituted with one to three substituents selected from the group consisting of (i) hydroxy, (ii) carboxyl, (iii) cyano, (iv) halogen, (v) a C<sub>1-6</sub> alkoxy group, (vi) a C<sub>1-6</sub> alkylthio group, (vii) a C<sub>1-6</sub> alkylsulfonyl group, (viii) an acyl group selected from the group consisting of a C<sub>1-7</sub> alkanoyl group, a C<sub>6-14</sub> arylcarbonyl group, a C<sub>1-6</sub> alkoxycarbonyl group, a C<sub>6-14</sub> aryloxycarbonyl group, a C<sub>7-19</sub> aralkylcarbonyl group, and a C<sub>7-19</sub> aralkyloxycarbonyl group, (ix) a C<sub>6-14</sub> aryl group, (x) a C<sub>1-7</sub> alkanoyloxy group and (xi) a C<sub>1-6</sub> alkylimino group,
- (6) a C<sub>2-6</sub> alkynyl group which may optionally be substituted with one to three substituents selected from the group consisting of (i) hydroxy, (ii) carboxyl, (iii) cyano, (iv) halogen, (v) a C<sub>1-6</sub> alkoxy group, (vi) a C<sub>1-6</sub> alkylthio group, (vii) a C<sub>1-6</sub> alkylsulfonyl group, (viii) an acyl group selected from the group consisting of a C<sub>1-7</sub> alkanoyl group, a C<sub>6-14</sub> arylcarbonyl group, a C<sub>1-6</sub> alkoxycarbonyl group, a C<sub>6-14</sub>

aryloxycarbonyl group, a C<sub>7-19</sub> aralkylcarbonyl group, and a C<sub>7-19</sub> aralkyloxycarbonyl group, (ix) a C<sub>6-14</sub> aryl group, (x) a C<sub>1-7</sub> alkanoyloxy group and (xi) a C<sub>1-6</sub> alkylimino group,

- 5 (7) a C<sub>1-6</sub> alkoxy group which may optionally be substituted with one to three substituents selected from the group consisting of (i) hydroxy, (ii) carboxyl, (iii) cyano, (iv) halogen, (v) a C<sub>1-6</sub> alkoxy group, (vi) a C<sub>1-6</sub> alkylthio group, (vii) a C<sub>1-6</sub>
- 10 alkylsulfonyl group, (viii) an acyl group selected from the group consisting of a C<sub>1-7</sub> alkanoyl group, a C<sub>6-14</sub> arylcarbonyl group, a C<sub>1-6</sub> alkoxycarbonyl group, a C<sub>6-14</sub> aryloxycarbonyl group, a C<sub>7-19</sub> aralkylcarbonyl group, and a C<sub>7-19</sub> aralkyloxycarbonyl group, (ix) a C<sub>6-14</sub> aryl
- 15 group, (x) a C<sub>1-7</sub> alkanoyloxy group and (xi) a C<sub>1-6</sub> alkylimino group,
- (8) a C<sub>2-6</sub> alkenyloxy group which may optionally be substituted with one to three substituents selected from the group consisting of (i) hydroxy, (ii)
- 20 carboxyl, (iii) cyano, (iv) halogen, (v) a C<sub>1-6</sub> alkoxy group, (vi) a C<sub>1-6</sub> alkylthio group, (vii) a C<sub>1-6</sub> alkylsulfonyl group, (viii) an acyl group selected from the group consisting of a C<sub>1-7</sub> alkanoyl group, a C<sub>6-14</sub> arylcarbonyl group, a C<sub>1-6</sub> alkoxycarbonyl group, a C<sub>6-14</sub>
- 25 aryloxycarbonyl group, a C<sub>7-19</sub> aralkylcarbonyl group, and a C<sub>7-19</sub> aralkyloxycarbonyl group, (ix) a C<sub>6-14</sub> aryl group, (x) a C<sub>1-7</sub> alkanoyloxy group and (xi) a C<sub>1-6</sub> alkylimino group,
- (9) a C<sub>2-6</sub> alkynyloxy group which may optionally be substituted with one to three substituents selected from the group consisting of (i) hydroxy, (ii)
- 30 carboxyl, (iii) cyano, (iv) halogen, (v) a C<sub>1-6</sub> alkoxy group, (vi) a C<sub>1-6</sub> alkylthio group, (vii) a C<sub>1-6</sub> alkylsulfonyl group, (viii) an acyl group selected from



the group consisting of a C<sub>1-7</sub> alkanoyl group, a C<sub>6-14</sub> arylcarbonyl group, a C<sub>1-6</sub> alkoxy carbonyl group, a C<sub>6-14</sub> aryloxy carbonyl group, a C<sub>7-19</sub> aralkylcarbonyl group, and a C<sub>7-19</sub> aralkyloxy carbonyl group, (ix) a C<sub>6-14</sub> aryl group, (x) a C<sub>1-7</sub> alkanoyloxy group and (xi) a C<sub>1-6</sub> alkylimino group,

5 (10) a C<sub>6-14</sub> aryl group which may optionally be substituted with one to five substituents selected from the group consisting of nitro, amino, hydroxy, cyano, sulfamoyl, mercapto, carboxyl, halogen, a C<sub>1-4</sub> alkyl

10 group and a C<sub>1-6</sub> alkoxy group,

(11) a C<sub>7-19</sub> aralkyl group,

(12) a C<sub>6-14</sub> aryloxy group which may optionally be substituted with one to five substituents selected from

15 the group consisting of nitro, amino, hydroxy, cyano, sulfamoyl, mercapto, carboxyl, halogen, a C<sub>1-4</sub> alkyl group and a C<sub>1-6</sub> alkoxy group,

(13) a carbamoyloxy group which may optionally be substituted with one or two substituents selected from

20 the group consisting of (i) a C<sub>1-6</sub> alkyl group, (ii) a C<sub>3-6</sub> cycloalkyl group, (iii) a C<sub>7-19</sub> aralkyl group, (iv) a C<sub>1-7</sub> alkanoyl group, (v) a C<sub>6-14</sub> arylcarbonyl group, (vi) a C<sub>1-6</sub> alkoxy carbonyl group, (vii) a C<sub>6-14</sub> aryloxy carbonyl group, (viii) a C<sub>7-19</sub> aralkylcarbonyl

25 group, (ix) a carbamoyl group which may optionally be substituted with one or two C<sub>1-6</sub> alkyl groups and (x) a C<sub>1-6</sub> alkylsulfonyl group,

(14) an acyl group selected from the group consisting of (i) a C<sub>1-7</sub> alkanoyl group which may optionally be

30 substituted with one to three halogen atoms, (ii) a C<sub>6-14</sub> arylcarbonyl group, (iii) a C<sub>1-6</sub> alkoxy carbonyl group, (iv) a C<sub>6-14</sub> aryloxy carbonyl group, (v) a C<sub>7-19</sub> aralkylcarbonyl group and (vi) a C<sub>7-19</sub> aralkyloxy carbonyl group,

- (15) an amino group which may optionally be substituted with one or two substituents selected from the group consisting of (i) a C<sub>1-6</sub> alkyl group, (ii) a C<sub>3-6</sub> cycloalkyl group, (iii) a C<sub>7-19</sub> aralkyl group, (iv) a C<sub>1-7</sub> alkanoyl group, (v) a C<sub>6-14</sub> arylcarbonyl group, (vi) a C<sub>1-6</sub> alkoxycarbonyl group, (vii) a C<sub>6-14</sub> aryloxy carbonyl group, (viii) a C<sub>7-19</sub> aralkylcarbonyl group, (ix) a carbamoyl group which may optionally be substituted with one or two C<sub>1-6</sub> alkyl groups and (x) a C<sub>1-6</sub> alkylsulfonyl group,
- (16) a carbamoyl group which may optionally be substituted with one or two substituents selected from the group consisting of (i) a C<sub>1-6</sub> alkyl group, (ii) a C<sub>3-6</sub> cycloalkyl group, (iii) a C<sub>7-19</sub> aralkyl group, (iv) a C<sub>1-7</sub> alkanoyl group, (v) a C<sub>6-14</sub> arylcarbonyl group, (vi) a C<sub>1-6</sub> alkoxycarbonyl group, (vii) a C<sub>6-14</sub> aryloxy carbonyl group, (viii) a C<sub>7-19</sub> aralkylcarbonyl group, (ix) a carbamoyl group which may optionally be substituted with one or two C<sub>1-6</sub> alkyl groups and (x) a C<sub>1-6</sub> alkylsulfonyl group,
- (17) a thiocarbamoyl group which may optionally be substituted with one or two substituents selected from the group consisting of (i) a C<sub>1-6</sub> alkyl group, (ii) a C<sub>3-6</sub> cycloalkyl group, (iii) a C<sub>7-19</sub> aralkyl group, (iv) a C<sub>1-7</sub> alkanoyl group, (v) a C<sub>6-14</sub> arylcarbonyl group, (vi) a C<sub>1-6</sub> alkoxycarbonyl group, (vii) a C<sub>6-14</sub> aryloxy carbonyl group, (viii) a C<sub>7-19</sub> aralkylcarbonyl group, (ix) a carbamoyl group which may optionally be substituted with one or two C<sub>1-6</sub> alkyl groups and (x) a C<sub>1-6</sub> alkylsulfonyl group,
- (18) a group of -S(O)<sub>n</sub>-R<sup>23</sup> wherein n is 0, 1 or 2 and R<sup>23</sup> represents
- (i) a hydrogen atom,
  - (ii) a C<sub>1-6</sub> alkyl group which may optionally be

substituted with one to three substituents selected from the group consisting of (a) hydroxy, (b) carboxyl, (c) cyano, (d) halogen, (e) a C<sub>1-6</sub> alkoxy group, (f) a C<sub>1-6</sub> alkylthio group, (g) a C<sub>1-6</sub> alkylsulfonyl group, (h) an acyl group selected from the group consisting of a C<sub>1-7</sub> alkanoyl group, a C<sub>6-14</sub> arylcarbonyl group, a C<sub>1-6</sub> alkoxy carbonyl group, a C<sub>6-14</sub> aryloxy carbonyl group, a C<sub>7-19</sub> aralkylcarbonyl group, and a C<sub>7-19</sub> aralkyloxy carbonyl group, (i) a C<sub>6-14</sub> aryl group, (j) a C<sub>1-7</sub> alkanoyloxy group and (k) a C<sub>1-6</sub> alkylimino group, (iii) a C<sub>6-14</sub> aryl group, (iv) an amino group which may optionally be substituted with one or two substituents selected from the group consisting of (a) a C<sub>1-6</sub> alkyl group, (b) a C<sub>3-6</sub> cycloalkyl group, (c) a C<sub>7-19</sub> aralkyl group, (d) a C<sub>1-7</sub> alkanoyl group, (e) a C<sub>6-14</sub> arylcarbonyl group, (f) a C<sub>1-6</sub> alkoxy carbonyl group, (g) a C<sub>6-14</sub> aryloxy carbonyl group, (h) a C<sub>7-19</sub> aralkylcarbonyl group, (i) a carbamoyl group which may optionally be substituted with one or two C<sub>1-6</sub> alkyl groups and (j) a C<sub>1-6</sub> alkylsulfonyl group, or (v) an acyl group selected from the group consisting of (a) a C<sub>1-7</sub> alkanoyl group which may optionally be substituted with one to three halogen atoms, (b) a C<sub>6-14</sub> arylcarbonyl group, (c) a C<sub>1-6</sub> alkoxy carbonyl group, (d) a C<sub>6-14</sub> aryloxy carbonyl group, (e) a C<sub>7-19</sub> aralkylcarbonyl group and (f) a C<sub>7-19</sub> aralkyloxy carbonyl group, (19) a C<sub>1-6</sub> alkylcarbonyloxy group, (20) a C<sub>1-6</sub> alkylsulfonyloxy group, (21) a group of -N=CR<sup>24</sup>R<sup>25</sup> wherein R<sup>24</sup> and R<sup>25</sup> are the same or different, and each represents a hydrogen atom, a C<sub>1-6</sub> alkyl group or a C<sub>1-6</sub> alkoxy group, (22) a 5- or 6-membered heterocyclic group bonded through a nitrogen atom or condensed ring group thereof

with benzene ring or a 5- or 6-membered heterocyclic ring, or

(23) a group of  $-\text{PO}(\text{R}^{26})_2$  wherein  $\text{R}^{26}$  represents a  $\text{C}_{1-6}$  alkoxy group,

- 5 [16] the compound as described in [2] above, wherein  $\text{Q}^1$  represents (1) a  $\text{C}_{6-14}$  aryl group, (2) a pyridyl group, (3) a thienyl group or (4) a benzofuryl group, wherein each of said groups may optionally be substituted with one to three substituents selected from the group
- 10 consisting of (i) halogen, (ii) hydroxy, (iii) a  $\text{C}_{1-6}$  alkyl group which may optionally be substituted with one to three substituents selected from the group consisting of halogen, cyano, a  $\text{C}_{1-6}$  alkoxy group and a  $\text{C}_{1-6}$  alkylthio group, (iv) a  $\text{C}_{1-6}$  alkoxy group which may
- 15 optionally be substituted with one to three substituents selected from the group consisting of cyano and halogen, (v) amino which may optionally be substituted with one or two  $\text{C}_{1-6}$  alkyl groups, (vi) benzyloxy, (vii) a  $\text{C}_{1-6}$  alkylthio group which may
- 20 optionally be substituted with one to three substituents selected from the group consisting of cyano and halogen, (viii) a  $\text{C}_{1-6}$  alkylsulfinyl group, (ix) a  $\text{C}_{1-6}$  alkylsulfonyl group, (x) a  $\text{C}_{6-14}$  aryloxy group, (xi) a  $\text{C}_{1-6}$  alkylsulfonyloxy group and (xii) a  $\text{C}_{1-6}$  alkoxycarbonyloxy group;
- 25  $\text{R}^1$  represents a hydrogen atom or a  $\text{C}_{1-3}$  alkyl group;  
 $\text{R}^2$  represents a  $\text{C}_{1-3}$  alkyl group which may optionally be substituted with one to three halogen atoms;  
or  $\text{R}^1$  and  $\text{R}^2$  may form a  $\text{C}_{3-7}$  cycloalkane ring together
- 30 with the adjacent carbon atom;  
A represents (1) a methylene group which may optionally be substituted with one or two halogen atoms or  $\text{C}_{1-6}$  alkyl groups, (2) a carbonyl group or (3) a thiocarbonyl group;
- 35 B represents a methylene group which may optionally be

- substituted with one or two C<sub>1-6</sub> alkyl groups;  
Q<sup>2</sup> represents (1) hydroxy,  
(2) a C<sub>1-6</sub> alkoxy group,  
(3) (i) a C<sub>6-14</sub> aryl group, (ii) a pyridyl group, (iii)  
5 a pyrrolyl group, (iv) a thiazolyl group, (v) a  
piperidyl group, (vi) a morpholinyl group, (vii) a  
imidazopyridyl group, (viii) a pyrrolidinyl group, (ix)  
a C<sub>3-14</sub> cycloalkyl group, or (x) a C<sub>3-14</sub> cycloalkenyl  
group, wherein each of said groups may optionally  
10 substituted with one to four halogen atoms,  
(4) a C<sub>1-6</sub> alkyl group which may optionally be  
substituted with one to three C<sub>1-6</sub> alkoxyimino groups,  
(5) a C<sub>2-6</sub> alkenyl group,  
(6) an acyl group selected from the group consisting of  
15 a C<sub>1-6</sub> alkyl-carbonyl group, a C<sub>6-14</sub> arylcarbonyl group  
and a C<sub>1-6</sub> alkoxy carbonyl group,  
(7) a carbamoyl group which may optionally be  
substituted with one or two C<sub>1-6</sub> alkyl groups,  
(8) an amidino group which may optionally be  
20 substituted with one to three C<sub>1-6</sub> alkyl groups,  
(9) a group of -S(O)<sub>n</sub>R<sup>20</sup> wherein n is 0, 1 or 2, and R<sup>20</sup>  
represents a C<sub>1-6</sub> alkyl group, a C<sub>6-14</sub> aryl group or an  
amino group which may optionally be substituted with  
one or two C<sub>1-6</sub> alkyl groups,  
25 (10) a C<sub>3-6</sub> cycloalkyloxy group,  
(11) a C<sub>1-6</sub> alkylcarbonyloxy group,  
(12) a C<sub>6-14</sub> arylcarbonyloxy group,  
(13) a carbamoyloxy group which may optionally be  
substituted with one or two C<sub>1-6</sub> alkyl groups,  
30 (14) amino which may optionally be substituted with one  
or two substituents selected from the group consisting  
of (i) a C<sub>1-6</sub> alkyl group, (ii) a C<sub>1-6</sub> alkyl-carbonyl  
group, (iii) a C<sub>1-6</sub> alkylsulfonyl group and (iv)  
aminocarbonyl which may optionally be substituted with

one or two C<sub>1-6</sub> alkyl groups, or

(15) a group of -N=CR<sup>21</sup>R<sup>22</sup> wherein R<sup>21</sup> and R<sup>22</sup> are the same or different, and each represents a hydrogen atom, a C<sub>1-6</sub> alkyl group or a C<sub>1-6</sub> alkoxy group, or

5 (16) a C<sub>2-6</sub> alkenyloxy group;

R<sup>3</sup> and R<sup>4</sup> are the same or different, and each represents

(1) a hydrogen atom,

(2) hydroxy,

10 (3) a C<sub>1-6</sub> alkyl group which may optionally be substituted with one to three substituents selected from the group consisting of (i) carboxyl, (ii) cyano, (iii) halogen, (iv) a C<sub>1-6</sub> alkoxy group, (v) a C<sub>1-6</sub> alkylthio group, (vi) a C<sub>1-6</sub> alkylsulfonyl group, (vii) a C<sub>1-7</sub> alkanoyl group, (viii) a C<sub>1-6</sub> alkoxy carbonyl group, (ix) a C<sub>6-14</sub> aryl group, (x) a C<sub>1-6</sub> alkylimino group, and (xi) hydroxy,

(4) a C<sub>3-14</sub> cycloalkyl group,

(5) a C<sub>2-6</sub> alkenyl group,

20 (6) a C<sub>2-6</sub> alkynyl group,

(7) a C<sub>1-6</sub> alkoxy group which may optionally be substituted with one to three C<sub>1-6</sub> alkoxy groups,

(8) a C<sub>2-6</sub> alkenyloxy group,

(9) a C<sub>2-6</sub> alkynyloxy group,

25 (10) a C<sub>6-14</sub> aryl group,

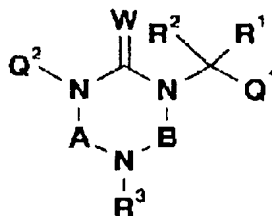
(11) a C<sub>7-19</sub> aralkyl group,

(12) carbamoyloxy which may optionally be substituted with one or two C<sub>1-6</sub> alkyl groups,

30 (13) an acyl group selected from the group consisting of (i) a C<sub>1-7</sub> alkanoyl group which may optionally be substituted with one to three halogen atoms, (ii) a C<sub>1-6</sub> alkoxy carbonyl group and (iii) a C<sub>7-19</sub> aralkyloxy carbonyl group,

(14) amino which may optionally be substituted with one

- or two substituents selected from the group consisting of (i) a C<sub>1-6</sub> alkyl group, (ii) a C<sub>1-7</sub> alkanoyl group, (iii) carbamoyl which may optionally be substituted with one or two C<sub>1-6</sub> alkyl groups and (iv) a C<sub>1-6</sub> alkylsulfonyl group,
- (15) carbamoyl which may optionally be substituted with one or two C<sub>1-6</sub> alkyl groups,
- (16) thiocarbamoyl which may optionally be substituted with one or two C<sub>1-6</sub> alkyl groups,
- (17) a group of -S(O)<sub>n</sub>-R<sup>23</sup> wherein n is 0, 1 or 2, and R<sup>23</sup> represents (i) a C<sub>1-6</sub> alkyl group which may optionally be substituted with one to three halogen atoms, (ii) a C<sub>6-14</sub> aryl group, (iii) amino which may optionally be substituted with one or two substituents selected from the group consisting of a C<sub>1-6</sub> alkyl group and a C<sub>1-6</sub> alkoxy carbonyl group, and (iv) a C<sub>1-6</sub> alkoxy carbonyl group,
- (18) a C<sub>1-6</sub> alkyl carbonyloxy group,
- (19) a C<sub>1-6</sub> alkyl sulfonyloxy group,
- (20) a group of -N=CR<sup>24</sup>R<sup>25</sup> wherein R<sup>24</sup> and R<sup>25</sup> are the same or different, and each represents a hydrogen atom, a C<sub>1-6</sub> alkyl group or a C<sub>1-6</sub> alkoxy group,
- (21) a pyrrolidinyl group or a morpholinyl group, or
- (22) a group of -PO(R<sup>26</sup>)<sub>2</sub> wherein R<sup>26</sup> represents a C<sub>1-6</sub> alkoxy group,
- [17] the compound as described in [2] above, which is a compound represented by the formula:



- wherein Q<sup>1</sup> represents a C<sub>6-10</sub> aryl group which may optionally be substituted with one to three substituents selected from the group consisting of (1)

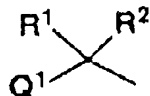
halogen, (2) a C<sub>1-4</sub> alkyl group which may optionally be substituted with one to five halogen atoms, (3) a C<sub>1-4</sub> alkoxy group which may optionally be substituted with one to five halogen atoms, (4) a C<sub>1-4</sub> alkylthio group which may optionally be substituted with one to five halogen atoms and (5) an amino group which may optionally be substituted with one or two C<sub>1-4</sub> alkyl groups; Q<sup>2</sup> represents phenyl which may optionally be substituted with one to three halogen atoms; R<sup>1</sup> and R<sup>2</sup> are the same or different and each represents methyl which may optionally be substituted with one to three halogen atoms; R<sup>3</sup> represents a C<sub>1-4</sub> alkyl group, a C<sub>2-4</sub> alkenyl group, a C<sub>2-4</sub> alkynyl group or a C<sub>1-4</sub> alkoxy group; A and B are the same or different and each represents methylene which may optionally be substituted with one or two C<sub>1-4</sub> alkyl groups which may optionally be substituted with one to three halogen atoms; and W represents O,

[18] 1-[1-(3,5-dichlorophenyl)-1-methylethyl]-5-methyl-3-phenyltetrahydro-1,3,5-triazine-2(1H)one or a salt thereof,

[19] 1-[1-(3,5-dichlorophenyl)-1-methylethyl]-5-methoxy-3-phenyltetrahydro-1,3,5-triazine-2(1H)one or a salt thereof,

[20] 1-[1-(3,5-dichloro-4-methoxyphenyl)-1-methylethyl]-5-methyl-3-phenyltetrahydro-1,3,5-triazine-2(1H)one or a salt thereof,

[21] a process for producing the compound of [1] above, which comprises subjecting a urea or thiourea compound having a group of the formula:

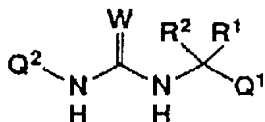


wherein the respective symbols have the same meanings as defined in [1] above, on the ring-forming nitrogen

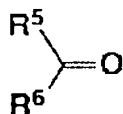


atoms, or a salt thereof, to a cyclization reaction,  
 [22] a process for producing the compound of [2] above,  
 which comprises

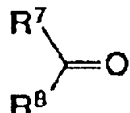
(1) reacting a compound of the formula:



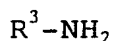
wherein the respective symbols have the same meanings  
 as defined in [2] above or a salt thereof with a  
 compound of the formula:



wherein  $\text{R}^5$  and  $\text{R}^6$  are the same or different and each  
 represents a hydrogen atom or a hydrocarbon group which  
 may optionally be substituted, or a salt thereof,  
 a compound of the formula:

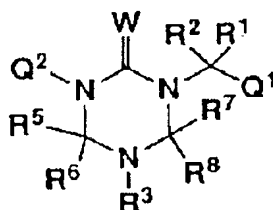


wherein  $\text{R}^7$  and  $\text{R}^8$  are the same or different and each  
 represents a hydrogen atom or a hydrocarbon group which  
 may optionally be substituted, or a salt thereof, and  
 a compound of the formula:



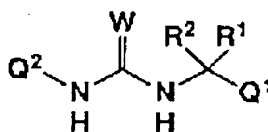
wherein the respective symbols have the same meanings  
 as defined in [2] above or a salt thereof to provide a  
 compound of the formula:

5



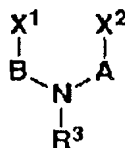
wherein  $R^5$ ,  $R^6$ ,  $R^7$  and  $R^8$  are as defined above; the other symbols have the same meanings as defined in [2] above or a salt thereof;

10 (2) reacting a compound of the formula:



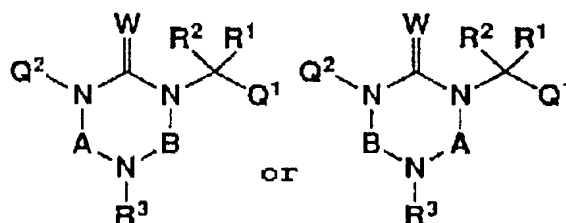
15 wherein the respective symbols have the same meanings as defined in [2] above or a salt thereof with a compound of the formula:

20



wherein  $X^1$  and  $X^2$  are the same or different and each represents a leaving group; the other symbols have the same meanings as defined in [2] above or a salt thereof to provide a compound of the formula:

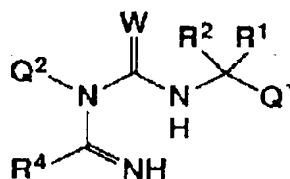
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wherein the respective symbols have the same meanings as defined in [2] above or a salt thereof;

35 (3) reacting a compound of the formula:

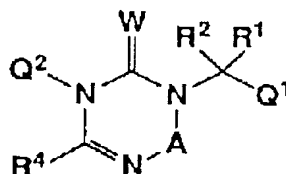
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5

wherein the respective symbols have the same meanings as defined in [2] above or a salt thereof with a compound of the formula  $X^1-A-X^2$  wherein  $X^1$  and  $X^2$  are as defined above; A has the same meaning as defined in [2] above or a salt thereof to provide a compound of the formula:

10

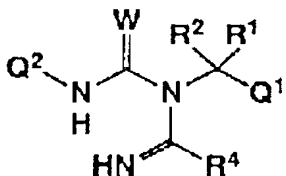


15

wherein the respective symbols have the same meanings as defined in [2] above or a salt thereof;

(4) reacting a compound of the formula:

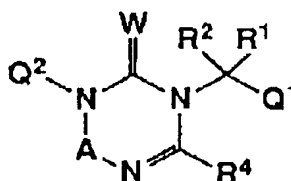
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25

wherein the respective symbols have the same meanings as defined in [2] above or a salt thereof with a compound of the formula  $X^1-A-X^2$  wherein  $X^1$  and  $X^2$  are as defined above; A has the same meaning as defined in [2] above or a salt thereof to provide a compound of the formula:

30



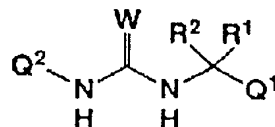
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wherein the respective symbols have the same meanings

as defined in [2] above or a salt thereof;

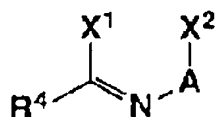
(5) reacting a compound of the formula:

5



wherein the respective symbols have the same meanings as defined in [2] above or a salt thereof with the compound of the formula:

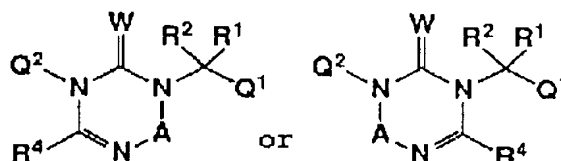
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wherein  $\text{X}^1$  and  $\text{X}^2$  are as defined above; A and  $\text{R}^4$  have the same meaning as defined in [2] above or a salt thereof to provide a compound of the formula:

15

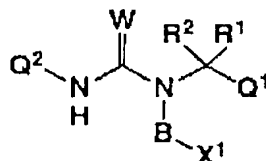
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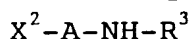
wherein the respective symbols have the same meanings as defined in [2] above or a salt thereof;

(6) reacting a compound of the formula:

25

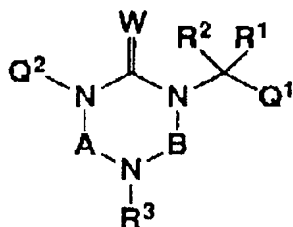


wherein  $\text{X}^1$  is as defined above; the other symbols have the same meanings as defined in [2] above or a salt thereof with a compound of the formula:



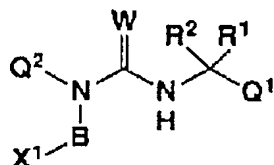
wherein  $\text{X}^2$  is as defined above; A and  $\text{R}^3$  have the same meanings as defined in [2] above or a salt thereof to provide a compound of the formula:

35



wherein the respective symbols have the same meanings as defined in [2] above or a salt thereof;

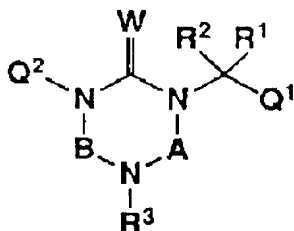
(7) reacting a compound of the formula:



wherein  $\text{X}^1$  is as defined above; the other symbols have the same meanings as defined in [2] above or a salt thereof with a compound of the formula:

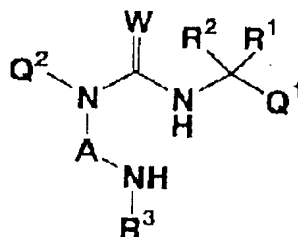


wherein  $\text{X}^2$  is as defined above; A and  $\text{R}^3$  have the same meanings as defined in [2] above or a salt thereof to provide a compound of the formula:



wherein the respective symbols have the same meanings as defined in [2] above or a salt thereof,

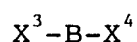
(8) reacting a compound of the formula:



5

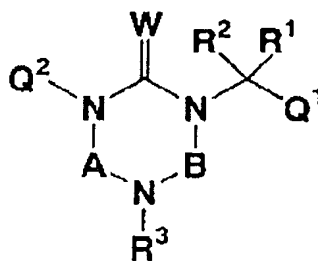
wherein the respective symbols have the same meanings as defined in [2] above or a salt thereof with a compound of the formula:

10



wherein  $\text{X}^3$  and  $\text{X}^4$  are the same or different and each represents a  $\text{C}_{1-6}$  alkoxy group, and B has the same meaning as defined in [2] above or a salt thereof to provide a compound of the formula:

15

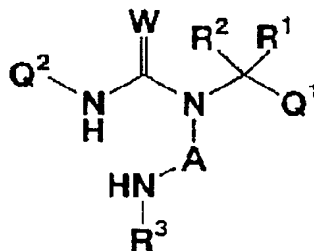


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wherein the respective symbols have the same meanings as defined in [2] above or a salt thereof;

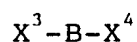
(9) reacting a compound of the formula:

25



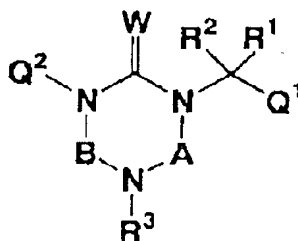
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wherein the respective symbols have the same meanings as defined in [2] above or a salt thereof with a compound of the formula:



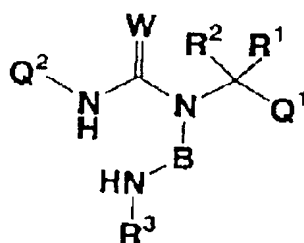
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wherein B has the same meaning as defined in [2] above, and the other symbols are as defined above or a salt thereof to provide a compound of the formula:

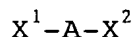


wherein the respective symbols have the same meanings as defined in [2] above or a salt thereof;

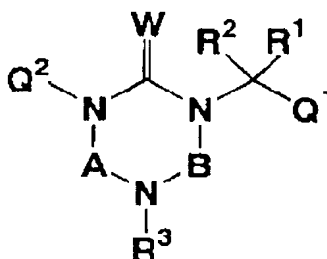
(10) reacting a compound of the formula:



wherein the respective symbols have the same meanings as defined in [2] above or a salt thereof with a compound of the formula:

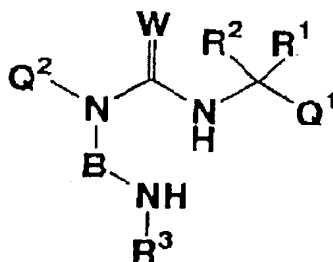


wherein A has the same meaning as defined in [2] above, and the other symbols are as defined above or a salt thereof to provide a compound of the formula:

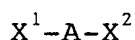


wherein the respective symbols have the same meanings as defined in [2] above or a salt thereof; or

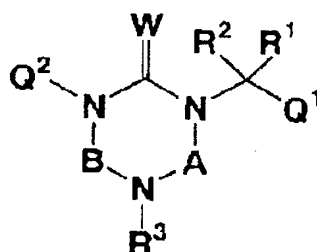
(11) reacting a compound of the formula:



wherein the respective symbols have the same meanings as defined in [2] above or a salt thereof with a compound of the formula:



wherein A has the same meaning as defined in [2] above, and the other symbols are as defined above or a salt thereof to provide a compound of the formula:



wherein the respective symbols have the same meanings as defined in [2] above or a salt thereof,  
 [23] an agrochemical composition comprising the compound as described in [1] above and an agrochemically acceptable carrier,  
 [24] use of the compound as described in [1] above as a herbicide, and  
 [25] a method for weeding from a paddy field, plowland, orchard or non-crop land, which comprises scattering an effective amount of the compound as described in [1] above on said paddy field, plowland, orchard or non-crop land.

[Best Mode for Carrying Out the Invention]

Referring to the above formula,  $\text{Q}^1$  represents an aromatic ring group which may optionally be substituted. The aromatic ring group includes aryl, a



5- or 6-membered aromatic heterocyclic group which contains 1 to 4 hetero atoms selected from nitrogen (which may be in the oxide form), oxygen, and sulfur (which may be in the mono-oxide or dioxide form) or a condensed ring group thereof with benzene ring or a 5- or 6-membered aromatic heterocyclic ring which contains 1 to 4 hetero atoms selected from nitrogen (which may be in the oxide form), oxygen, and sulfur (which may be in the mono-oxide or dioxide form).

Specifically, said aryl group includes C<sub>6-14</sub> aryl such as phenyl, naphthyl such as 1- or 2-naphthyl, anthranyl such as 1-, 2-, or 5-anthranyl, partially hydrogenated naphthyl such as 1,2,3,4-tetrahydro-5- or 6-naphthyl, etc. The 5- or 6-membered aromatic heterocyclic group or its condensed ring group includes pyrrolyl (e.g. 1-, 2-, or 3-pyrrolyl), pyrazolyl (e.g. 1-, 3-, 4-, or 5-pyrazolyl), imidazolyl (e.g. 1-, 2-, 4-, or 5-imidazolyl), triazolyl (e.g. 1,2,3-triazol-4-yl, 1,2,3-triazol-1-yl, 1,2,3-triazol-5-yl, 1,2,4-triazol-1-yl, 1,2,4-triazol-3-yl, 1,2,4-triazol-4-yl, 1,2,4-triazol-5-yl), tetrazolyl (e.g. tetrazol-1-, 2- or 5-yl), furyl (e.g. 2- or 3-furyl), thienyl (e.g. 2- or 3-thienyl), thienyl in which the sulfur atom is oxidized (e.g. 2- or 3-thienyl-1,1-dioxide), oxazolyl (e.g. 2-, 4-, or 5-oxazolyl), isoxazolyl (e.g. 3-, 4-, or 5-isoxazolyl), oxadiazolyl (e.g. 1,2,3-oxadiazol-4- or 5-yl, 1,2,4-oxadiazol-3- or 5-yl, 1,2,5-oxadiazol-3-yl, 1,3,4-oxadiazol-2-yl), thiazolyl (e.g. 2-, 4-, or 5-thiazolyl), isothiazolyl (e.g. 3-, 4-, or 5-isothiazolyl), thiadiazolyl (e.g. 1,2,3-thiadiazol-4- or 5-yl, 1,2,4-thiadiazol-3- or 5-yl, 1,2,5-thiadiazol-3-yl, 1,3,4-thiadiazol-2-yl), pyridyl (e.g. 2-, 3-, or 4-pyridyl), pyridyl in which the nitrogen atom is oxidized (e.g. 2-, 3-, or 4-pyridyl-N-oxide), pyridazinyl (e.g. 3- or 4-pyridazinyl), pyridazinyl in which one or both of the nitrogen atoms are oxidized

(e.g. 3-, 4-, 5- or 6-pyridazinyl-N-oxide), pyrimidinyl (e.g. 2-, 4-, or 5-pyrimidinyl), pyrimidinyl in which one or both of the nitrogen atoms are oxidized (e.g. 2-, 4-, 5- or 6-pyrimidinyl-N-oxide), pyrazinyl, 5 benzofuryl, indolyl (e.g. 3H-indol-2-, 3-, 4-, 5-, 6- or 7-yl), quinolyl (e.g. 3-, 4-, 5-, 6-, 7-, or 8-quinolyl), isoquinolyl, pyrido[2,3-d]pyrimidinyl (e.g. pyrido[2,3-d]pyrimidin-2-yl), naphthyridinyl such as 10 1,5-, 1,6-, 1,7-, 1,8-, 2,6-, or 2,7-naphthylidinyl (e.g. 1,5-naphthylidin-2- or 3-yl), thieno[2,3-d]pyridyl (e.g. thieno[2,3-d]pyridin-3-yl), pyrazinoquinolyl (e.g. pyrazino[2,3-d]quinolin-2-yl), chromenyl (e.g. 2H-chromen-2- or 3-yl), imidazo[1,2-a]pyridyl, imidazo[2,1-b]thiazolyl, imidazo[1,2- 15 a]pyrimidinyl, imidazo[1,2-b]pyridazinyl, imidazo[1,2-a]imidazolyl, imidazo[2,1-b](1.3.4)thiadiazolyl, pyrazolo[1,5-a]pyrimidinyl, pyrazolo[5,1-b]thiazolyl, and pyrazolo[1,5-a]pyridyl.

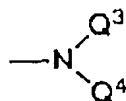
The aromatic ring group may optionally be 20 substituted by 1 to 4 substituents selected from the group consisting of hydroxy, amino, cyano, sulfamoyl, sulfamoyloxy, mercapto, nitro, halogen, organic residues, and sulfo.

Preferred among the above-mentioned substituent 25 groups are cyano, nitro, halogen, and organic residues. Particularly preferred are halogen and organic residues.

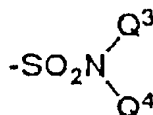
The halogen as mentioned just above includes fluorine, chlorine, bromine, and iodine. The organic 30 residue includes (1) a hydrocarbon group, (2) a heterocyclic group, (3) an acyl group, (4) a group of the formula  $-T-Q^0$  wherein  $Q^0$  represents a hydrocarbon group, a heterocyclic group, or an acyl group; T represents O,



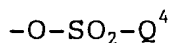
or S-S; and k represents 0, 1, or 2, (5) a group of the formula:



wherein  $\text{Q}^3$  represents a hydrogen atom, a hydrocarbon group, or an acyl group;  $\text{Q}^4$  represents a hydrocarbon group or an acyl group or  $\text{Q}^3$  and  $\text{Q}^4$  may form a ring together with the adjacent nitrogen atom, (6) a group of the formula:



wherein the respective symbols have the same meanings as defined above, (7) an optionally substituted carbamoyl, (8) an optionally substituted carbamoyloxy, (9) an optionally substituted ureido, (10) an optionally substituted thiocarbamoyl, (11) carboxy, and (12) a group of the formula



wherein  $\text{Q}^4$  has the same meaning as defined above.

The hydrocarbon group, heterocyclic group, and acyl group for the above-mentioned organic residue, the hydrocarbon group, heterocyclic group, and acyl group for  $\text{Q}^0$ , and the hydrocarbon group and acyl group for  $\text{Q}^3$  or  $\text{Q}^4$  will be described in detail hereinafter.

The above-mentioned carbamoyl, carbamoyloxy, ureido and thiocarbamoyl may respectively be substituted by 1 or 2 substituents selected from the group consisting of the hydrocarbon group, heterocyclic group, and acyl group which will be described in detail hereinafter.

The heterocyclic group for said organic residue

and the heterocyclic group for  $Q^0$  may optionally be substituted by 1 to 3 substituents selected from the group consisting of the hydrocarbon group, acyl group, and halogen which will be described in detail hereinafter.

The hydrocarbon group as used throughout this specification includes a straight-chain, branched, or cyclic aliphatic hydrocarbon group which may contain a double bond or a triple bond, an aryl group and an aralkyl group. Specifically said hydrocarbon group includes an alkyl group, an alkenyl group, an alkynyl group, an aryl group, and an aralkyl group. Particularly preferred are  $C_{1-19}$  hydrocarbon group.

The alkyl group mentioned above is preferably a straight-chain or branched alkyl group of 1 to 6 carbon atoms or cycloalkyl group of 3 to 14 carbon atoms, such as methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, sec-pentyl, isopentyl, neopentyl, cyclopentyl, n-hexyl, isohexyl, cyclohexyl, etc.

The alkenyl group mentioned above is preferably a straight-chain or branched alkenyl group of 2 to 6 carbon atoms or cycloalkenyl group of 3 to 14 carbon atoms including allyl, isopropenyl, isobutenyl, 1-methylallyl, 2-pentenyl, 2-hexenyl, 2-cyclohexenyl, etc.

The alkynyl group mentioned above is preferably an alkynyl group of 2 to 6 carbon atoms, such as propargyl, 2-butyne, 3-butyne, 3-pentyne, 3-hexyne, etc.

The aryl group mentioned above is preferably an aryl group of 6 to 14 carbon atoms, such as phenyl, naphthyl, anthryl, etc.

The aralkyl group mentioned above is preferably an aralkyl group of 7 to 19 carbon atoms, including phenyl- $C_{1-4}$  alkyl such as benzyl, phenethyl,

phenylpropyl; benzhydryl, and trityl.

When the hydrocarbon group is an alkyl group, cycloalkyl group, an alkenyl group, cycloalkenyl group or an alkynyl group, said hydrocarbon group may  
5 optionally be substituted by 1 to 3 substituents selected from the group consisting of hydroxy, cyano, sulfamoyl, mercapto, carboxy, an alkylthio group (e.g. C<sub>1-4</sub> alkylthio such as methylthio, ethylthio, n-propylthio, isobutylthio, etc.), halogen (e.g.  
10 fluorine, chlorine, bromine, iodine), an alkoxy group (e.g. C<sub>1-6</sub> alkoxy such as methoxy, ethoxy, n-propoxy, tert-butoxy, n-hexyloxy, etc.), nitro, an alkoxy-carbonyl group (e.g. C<sub>1-6</sub> alkoxy-carbonyl such as methoxycarbonyl, ethoxycarbonyl, n-propoxycarbonyl,  
15 isopropoxycarbonyl, n-butoxycarbonyl, isobutoxycarbonyl, sec-butoxycarbonyl, tert-butoxycarbonyl, etc.), amino, an alkylamino group [e.g. mono- or di-C<sub>1-6</sub> alkylamino such as methylamino, ethylamino, n-propylamino, n-butylamino, tert-butylamino, n-pentylamino, n-hexylamino, dimethylamino,  
20 diethylamino, methylethylamino, di-(n-propyl)amino, di-(n-butyl)amino, etc.], an alkoxyimino group (e.g. C<sub>1-6</sub> alkoxyimino such as methoxyimino, ethoxyimino, n-propoxyimino, tert-butoxyimino, n-hexyloxy-imino, etc.), hydroxyimino an alkylsulfonyl group (e.g. C<sub>1-6</sub> alkylsulfonyl such as methylsulfonyl), cyano, carboxyl, hydroxy, an alkylcarbonyloxy group (e.g. C<sub>1-6</sub> alkylcarbonyloxy such as methylcarbonyloxy), an alkanoyl (e.g. C<sub>1-7</sub> alkanoyl such as formyl, acetyl),  
30 and an alkylimino group (e.g. C<sub>1-6</sub> alkylimino such as methylimino).

Also, when the hydrocarbon group is an aryl group or an aralkyl group, said hydrocarbon group may  
35 optionally be substituted by 1 to 5 (preferably 1 to 3) substituents selected from the group consisting of an

alkyl group (e.g.  $C_{1-6}$  alkyl such as methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, sec-pentyl, isopentyl, neopentyl, n-hexyl, and isohexyl,  $C_{3-6}$  cycloalkyl such as cyclohexyl, etc.), an alkenyl group (e.g.  $C_{2-6}$  alkenyl such as allyl, isopropenyl, isobutenyl, 1-methylallyl, 2-pentenyl, 2-hexenyl, etc.), an alkynyl group (e.g.  $C_{2-6}$  alkynyl such as propargyl, 2-butyne, 3-butyne, 3-pentyne, 3-hexyne, etc.), an alkoxy group (e.g.  $C_{1-6}$  alkoxy such as methoxy, ethoxy, n-propoxy, tert-butoxy, n-hexyloxy, etc.), an acyl group [e.g.  $C_{1-7}$  alkanoyl such as formyl, acetyl, propionyl, butyryl, isobutyryl, pentanoyl, hexanoyl, heptanoyl, etc.;  $C_{6-14}$  aryl-carbonyl such as benzoyl, naphthalenecarbonyl, etc.;  $C_{1-6}$  alkoxy-carbonyl such as methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, isopropoxycarbonyl, butoxycarbonyl, isobutoxycarbonyl, sec-butoxycarbonyl, tert-butoxycarbonyl, etc.;  $C_{6-14}$  aryloxy-carbonyl such as phenoxycarbonyl, etc.;  $C_{7-19}$  aralkyl-carbonyl such as phenyl- $C_{1-4}$  alkylcarbonyl (e.g. benzylcarbonyl, phenethylcarbonyl, phenylpropylcarbonyl, etc.);  $C_{7-19}$  aralkyloxycarbonyl such as benzyloxycarbonyl, etc.], nitro, amino, hydroxy, cyano, sulfamoyl, mercapto, halogen (e.g. fluorine, chlorine, bromine, iodine), and an alkylthio group (e.g.  $C_{1-4}$  alkylthio group such as methylthio, ethylthio, n-propylthio, isobutylthio, etc.).

The heterocyclic group as used throughout this specification includes 3- to 8-membered heterocyclic group, preferably 5- or 6-membered heterocyclic group which contains 1 to 4 hetero atoms selected from nitrogen (which may be in the oxide form), oxygen, and sulfur (which may be in the mono- or dioxide form) or a condensed ring group thereof with benzene ring or a 3- to 8-membered heterocyclic ring, preferably 5- or 6-

membered heterocyclic ring which may contain 1 to 4 hetero atoms selected from nitrogen (which may be in the oxide form), oxygen, and sulfur (which may be in the mono- or dioxide form), preferably condensed ring group of such 5- or 6-membered heterocyclic ring with a 5- or 6-membered heterocyclic ring which may contain 1 to 4 hetero atoms selected from nitrogen (which may be in the oxide form), oxygen, and sulfur (which may be in the mono- or dioxide form).

Specifically, the heterocyclic group includes aziridinyl (e.g. 1- or 2-aziridinyl), aziriny (e.g. 1- or 2-aziriny), azetyl (e.g. 2-, 3- or 4-azetyl), azetidiny (e.g. 1-, 2-, or 3-azetidiny), perhydroazepiny (e.g. 1-, 2-, 3-, or 4-perhydroazepiny), perhydroazociny (e.g. 1-, 2-, 3-, 4-, or 5-perhydroazociny), pyrroly (e.g. 1-, 2-, or 3-pyrroly), pyrazoly (e.g. 1-, 3-, 4- or 5-pyrazoly), imidazoly (e.g. 1-, 2-, 4-, or 5-imidazoly), triazoly (e.g. 1,2,3-triazol-1-, 4- or 5-yl, 1,2,4-triazol-1-, 3-, 4- or 5-yl), tetrazoly (e.g. tetrazol-1-, 2- or 5-yl), fury (e.g. 2- or 3-fury), thienyl (e.g. 2- or 3-thienyl), thienyl in which the sulfur atom is oxidized (e.g. 2- or 3-thienyl-1,1-dioxide), oxazoly (e.g. 2-, 4-, or 5-oxazoly), isoxazoly (e.g. 3-, 4-, or 5-isoxazoly), oxadiazoly (e.g. 1,2,3-oxadiazol-4- or 5-yl, 1,2,4-oxadiazol-3- or 5-yl, 1,2,5-oxadiazol-3-yl, 1,3,4-oxadiazol-2-yl), thiazoly (e.g. 2-, 4-, or 5-thiazoly), isothiazoly (e.g. 3-, 4-, or 5-isothiazoly), thiadiazoly (e.g. 1,2,3-thiadiazol-4- or 5-yl, 1,2,4-thiadiazol-3-, or 5-yl, 1,2,5-thiadiazol-3-yl, 1,3,4-thiadiazol-2-yl), pyrrolidinyl (e.g. 1-, 2-, or 3-pyrrolidinyl), pyridyl (2-, 3-, or 4-pyridyl), pyridyl in which the nitrogen atom is oxidized (e.g. 2-, 3-, or 4-pyridyl-N-oxide), pyridazinyl (e.g. 3- or 4-pyridazinyl), pyridazinyl in which one or both of the nitrogen atoms are oxidized

(e.g. 3-, 4-, 5- or 6-pyridazinyl-N-oxide), pyrimidinyl (e.g. 2-, 4-, or 5-pyrimidinyl), pyrimidinyl in which one or both of the nitrogen atoms are oxidized (e.g. 2-, 4-, 5- or 6-pyrimidinyl-N-oxide), pyrazinyl, 5 piperidinyl (e.g. 1-, 2-, 3-, or 4-piperidinyl), piperazinyl (e.g. 1- or 2-piperazinyl), indolyl (e.g. 3H-indol-2-, 3-, 4-, 5-, 6- or 7-yl), pyranyl (e.g. 2-, 3-, or 4-pyranyl), thiopyranyl (e.g. 2-, 3-, or 4-thiopyranyl), thiopyranyl in which the sulfur atom is 10 oxidized (e.g. 2-, 3-, or 4-thiopyranyl-1,1-dioxide), morpholinyl (e.g. 2-, 3-, or 4-morpholinyl), thiomorpholinyl, quinolyl (e.g. 2-, 3-, 4-, 5-, 6-, 7-, or 8-quinolyl), isoquinolyl, pyrido[2,3-d]pyrimidinyl (e.g. pyrido[2,3-d]pyrimidin-2-yl), naphthyridinyl such as 1,5-, 1,6-, 1,7-, 1,8-, 2,6-, or 2,7-naphthyridinyl 15 (e.g. 1,5-naphthyridin-2- or 3-yl), thieno[2,3-d]pyridyl (e.g. thieno[2,3-d]pyridin-3-yl), pyrazinoquinolyl (e.g. pyrazino[2,3-d]quinolin-2-yl), chromenyl (e.g. 2H-chromen-2- or 3-yl), etc.

20 The above-mentioned heterocyclic group may optionally be substituted by 1 to 3 substituents selected from the group consisting of an alkyl group (e.g. C<sub>1-6</sub> alkyl such as methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, sec-pentyl, isopentyl, neopentyl, n-hexyl, and 25 isohexyl, C<sub>3-6</sub> cycloalkyl such as cyclohexyl, etc.), an alkenyl group (e.g. C<sub>2-6</sub> alkenyl such as allyl, isopropenyl, isobutenyl, 1-methylallyl, 2-pentenyl, 2-hexenyl, etc.), an alkynyl group (e.g. C<sub>2-6</sub> alkynyl such as propargyl, 2-butyne, 3-butyne, 3-pentyne, 3-hexyne, etc.), an alkoxy group (e.g. C<sub>1-6</sub> alkoxy such as methoxy, ethoxy, n-propoxy, tert-butoxy, n-hexyloxy, etc.), an acyl group [e.g. C<sub>1-7</sub> alkanoyl such as formyl, acetyl, propionyl, butyryl, isobutyryl, pentanoyl, 30 hexanoyl, heptanoyl, etc.; C<sub>6-14</sub> aryl-carbonyl such as



benzoyl, naphthalenecarbonyl, etc.; C<sub>1-6</sub> alkoxy-carbonyl such as methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, isopropoxycarbonyl, butoxycarbonyl, isobutoxycarbonyl, sec-butoxycarbonyl, tert-butoxycarbonyl, etc.; C<sub>6-14</sub> aryloxy-carbonyl such as phenoxycarbonyl, etc.; C<sub>7-19</sub> aralkyl-carbonyl such as phenyl-C<sub>1-4</sub> alkylcarbonyl (e.g. benzylcarbonyl, phenethylcarbonyl, phenylpropylcarbonyl, etc.); C<sub>7-19</sub> aralkyloxycarbonyl such as benzyloxycarbonyl, etc.], nitro, amino, hydroxy, cyano, sulfamoyl, mercapto, halogen (e.g. fluorine, chlorine, bromine, iodine), and an alkylthio group (e.g. C<sub>1-4</sub> alkylthio group such as methylthio, ethylthio, n-propylthio, isobutylthio, etc.).

The acyl group as used throughout this specification includes an acyl group of 1 to 20 carbon atoms, which is derived from any organic carboxylic acid. Specifically, mention can be made of an alkanoyl group, preferably a C<sub>1-7</sub> alkanoyl group (e.g. formyl, acetyl, propionyl, butyryl, isobutyryl, pentanoyl, hexanoyl, heptanoyl, etc.), an arylcarbonyl group, preferably a C<sub>6-14</sub> aryl-carbonyl group (e.g. benzoyl, naphthalenecarbonyl, etc.), an alkoxy-carbonyl group, preferably a C<sub>1-6</sub> alkoxy-carbonyl group (e.g. methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, isopropoxycarbonyl, butoxycarbonyl, isobutoxycarbonyl, sec-butoxycarbonyl, tert-butoxycarbonyl, etc.), an aryloxycarbonyl group, preferably a C<sub>6-14</sub> aryloxy-carbonyl group (e.g. phenoxycarbonyl etc.), an aralkylcarbonyl group, preferably a C<sub>7-19</sub> aralkyl-carbonyl group (e.g. phenyl-C<sub>1-4</sub> alkylcarbonyl such as benzylcarbonyl, phenethylcarbonyl, phenylpropylcarbonyl; benzhydrylcarbonyl; naphthyl-C<sub>1-4</sub> alkylcarbonyl such as naphthylethylcarbonyl, etc.), an aralkyloxycarbonyl group, preferably a C<sub>7-19</sub>

aralkyloxycarbonyl (e.g. phenyl-C<sub>1-4</sub> alkoxy carbonyl such as benzyloxycarbonyl, phenethyloxycarbonyl, phenylpropyloxycarbonyl, etc.), a 5- or 6-membered heterocyclic-carbonyl group having 1 to 4 hetero atoms selected from nitrogen (which may be in the oxide form), oxygen, and sulfur (which may be in the mono- or dioxide form) or condensed heterocycle-carbonyl thereof with benzene ring or a 5- or 6-membered heterocyclic ring which may contain 1 to 4 hetero atoms selected from nitrogen (which may be in the oxide form), oxygen, and sulfur (which may be in the mono- or dioxide form) (e.g. pyrrolylcarbonyl such as 2-, or 3-pyrrolylcarbonyl; pyrazolylcarbonyl such as 3-, 4-, or 5-pyrazolylcarbonyl; imidazolylcarbonyl such as 2-, 4-, or 5-imidazolylcarbonyl; triazolylcarbonyl such as 1,2,3-triazol-4-ylcarbonyl, and 1,2,4-triazol-3-ylcarbonyl; tetrazolylcarbonyl such as 1H- or 2H-tetrazol-5-ylcarbonyl; furylcarbonyl such as 2- or 3-furylcarbonyl; thienylcarbonyl such as 2- or 3-thienylcarbonyl; oxazolylcarbonyl such as 2-, 4-, or 5-oxazolylcarbonyl; isoxazolylcarbonyl such as 3-, 4-, or 5-isoxazolylcarbonyl; oxadiazolylcarbonyl such as 1,2,3-oxadiazol-4- or 5-ylcarbonyl, 1,2,4-oxadiazol-3- or 5-ylcarbonyl, 1,2,5-oxadiazol-3- or 4-ylcarbonyl, and 1,3,4-oxadiazol-2-ylcarbonyl; thiazolylcarbonyl such as 2-, 4-, or 5-thiazolylcarbonyl; isothiazolylcarbonyl such as 3-, 4-, or 5-isothiazolylcarbonyl; thiadiazolylcarbonyl such as 1,2,3-thiadiazol-4- or 5-ylcarbonyl, 1,2,4-thiadiazol-3- or 5-ylcarbonyl, 1,2,5-thiadiazol-3- or 4-ylcarbonyl, and 1,3,4-thiadiazol-2-ylcarbonyl; pyrrolidinylcarbonyl such as 2- or 3-pyrrolidinylcarbonyl; pyridylcarbonyl such as 2-, 3-, or 4-pyridylcarbonyl; pyridylcarbonyl in which the nitrogen atom is oxidized such as 2-, 3-, or 4-pyridyl-N-oxidocarbonyl; pyridazinylcarbonyl such as 3- or 4-

pyridazinylcarbonyl; pyridazinylcarbonyl in which one or both of nitrogen atoms are oxidized such as 3-, 4-, 5- or 6-pyridazinyl-N-oxidocarbonyl; pyrimidinylcarbonyl such as 2-, 4-, or 5-  
5 pyrimidinylcarbonyl; pyrimidinylcarbonyl in which one or both of the nitrogen atoms are oxidized such as 2-, 4-, 5- or 6-pyrimidinyl-N-oxidocarbonyl; pyrazinylcarbonyl; piperidinylcarbonyl such as 2-, 3-, or 4-piperidinylcarbonyl; piperazinylcarbonyl;  
10 indolylcarbonyl such as 3H-indol-2- or 3-ylcarbonyl; pyranylcarbonyl such as 2-, 3-, or 4-pyranylcarbonyl; thiopyranylcarbonyl such as 2-, 3-, or 4-thiopyranylcarbonyl; quinolylcarbonyl such as 3-, 4-, 5-, 6-, 7-, or 8-quinolylcarbonyl; isoquinolylcarbonyl;  
15 pyrido[2,3-d]pyrimidinylcarbonyl such as pyrido[2,3-d]pyrimidin-2-ylcarbonyl; naphthyridinylcarbonyl such as 1,5-, 1,6-, 1,7-, 1,8-, 2,6-, or 2,7-naphthyridinylcarbonyl (e.g. 1,5-naphthyridin-2- or 3-ylcarbonyl); thieno[2,3-d]pyridylcarbonyl such as  
20 thieno[2,3-d]pyridin-3-ylcarbonyl); pyrazinoquinolylcarbonyl such as pyrazino[2,3-b]quinolin-2-ylcarbonyl; chromenylcarbonyl such as 2H-chromen-2- or 3-ylcarbonyl, etc.), and a 5- or 6-membered heterocycle-acetyl group, such as 5- or 6-  
25 membered heterocycle-acetyl which contains 1 to 4 hetero atoms selected from nitrogen (which may be in the oxide form), oxygen, and sulfur (which may be in the mono- or dioxide form) such as 2-pyrrolylacetyl, 3-imidazolylacetyl, 5-isoxazolylacetyl, etc.

30 When the acyl group is an alkanoyl group or an alkoxy-carbonyl group, said acyl group may optionally be substituted by 1 to 3 substituents selected from the group consisting of hydroxy, cyano, sulfamoyl, mercapto, carboxy, an alkylthio group (e.g. C<sub>1-4</sub>  
35 alkylthio such as methylthio, ethylthio, n-propylthio, isopropylthio, isobutylthio, etc.), halogen (e.g.

fluorine, chlorine, bromine, iodine), an alkoxy group (e.g. C<sub>1-6</sub> alkoxy such as methoxy, ethoxy, n-propoxy, tert-butoxy, n-hexyloxy, etc.), nitro, an alkoxy-carbonyl group (e.g. C<sub>1-6</sub> alkoxy-carbonyl such as methoxycarbonyl, ethoxycarbonyl, n-propoxycarbonyl, isopropoxycarbonyl, n-butoxycarbonyl, isobutoxycarbonyl, sec-butoxycarbonyl, tert-butoxycarbonyl, etc.), amino, an alkylamino group [e.g. mono- or di-C<sub>1-6</sub> alkylamino such as methylamino, ethylamino, n-propylamino, n-butylamino, tert-butylamino, n-pentylamino, n-hexylamino, dimethylamino, diethylamino, methylethylamino, di-(n-propyl)amino, di-(n-butyl)amino, etc.], an alkoxyimino group (e.g. C<sub>1-6</sub> alkoxyimino such as methoxyimino, ethoxyimino, n-propoxyimino, tert-butoxyimino, n-hexyloxy-imino, etc.), and hydroxyimino.

Also, when the acyl group is an aryl-carbonyl group, an aryloxy-carbonyl group, an aralkyl-carbonyl group, an aralkyloxycarbonyl group, a 5- or 6-membered heterocycle-carbonyl group or a 5- or 6-membered heterocycle-acetyl group, said acyl group may optionally be substituted by 1 to 5 (preferably 1 to 3) substituents selected from the group consisting of an alkyl group (e.g. C<sub>1-6</sub> alkyl such as methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, sec-pentyl, isopentyl, neopentyl, n-hexyl, and isoheptyl, C<sub>3-6</sub> cycloalkyl such as cyclohexyl, etc.), an alkenyl group (e.g. C<sub>2-6</sub> alkenyl such as allyl, isopropenyl, isobutenyl, 1-methylallyl, 2-pentenyl, 2-hexenyl, etc.), an alkynyl group (e.g. C<sub>2-6</sub> alkynyl such as propargyl, 2-butyne, 3-butyne, 3-pentyne, 3-hexyne, etc.), an alkoxy group (e.g. C<sub>1-6</sub> alkoxy such as methoxy, ethoxy, n-propoxy, tert-butoxy, n-hexyloxy, etc.), an acyl group [e.g. C<sub>1-7</sub> alkanoyl such as formyl, acetyl, propionyl, butyryl, isobutyryl,

pentanoyl, hexanoyl, heptanoyl, etc.; C<sub>6-14</sub> aryl-carbonyl such as benzoyl, naphthalenecarbonyl, etc.; C<sub>1-6</sub> alkoxy-carbonyl such as methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, isopropoxycarbonyl, butoxycarbonyl, isobutoxycarbonyl, sec-butoxycarbonyl, tert-butoxycarbonyl, etc.; C<sub>6-14</sub> aryloxy-carbonyl such as phenoxycarbonyl, etc.; C<sub>7-19</sub> aralkyl-carbonyl such as phenyl-C<sub>1-4</sub> alkylcarbonyl (e.g. benzylcarbonyl, phenethylcarbonyl, phenylpropylcarbonyl, etc.); C<sub>7-19</sub> aralkyloxy-carbonyl such as phenyl-C<sub>1-4</sub> alkyloxy-carbonyl (e.g. benzyloxy-carbonyl, etc.)], nitro, amino, hydroxy, cyano, sulfamoyl, mercapto, halogen (e.g. fluorine, chlorine, bromine, iodine), and an alkylthio group (e.g. C<sub>1-4</sub> alkylthio group such as methylthio, ethylthio, n-propylthio, isobutylthio, etc.).

The group represented by the formula -T-Q<sup>0</sup> specifically includes an alkyloxy group, an alkenyloxy group, an aryloxy group, an aralkyloxy group, a heterocycle-oxy group, an acyloxy group, an alkylthio group, an alkenylthio group, an arylthio group, an aralkylthio group, a heterocycle-thio group, an acylthio group, an alkylidithio group, an arylidithio group, an aralkylidithio group, an alkylsulfinyl group, an alkenylsulfinyl group, an arylsulfinyl group, an aralkylsulfinyl group, a heterocycle-sulfinyl group, an alkylsulfonyl group, an alkenylsulfonyl group, an arylsulfonyl group, an aralkylsulfonyl group, and a heterocycle-sulfonyl group.

The alkyloxy mentioned above is preferably a straight-chain, branched or cyclic alkyloxy group of 1 to 6 carbon atoms, e.g. C<sub>1-6</sub> alkoxy or C<sub>3-6</sub> cycloalkyloxy such as methoxy, ethoxy, n-propoxy, isopropoxy, n-butoxy, isobutoxy, sec-butoxy, tert-butoxy, n-pentyloxy, sec-pentyloxy, isopentyloxy, neopentyloxy, cyclopentyloxy, n-hexyloxy, isohexyloxy, cyclohexyloxy,

etc.

The alkenyloxy group mentioned above is preferably a straight-chain, branched, or cyclic alkenyloxy group of 2 to 6 carbon atoms, e.g. C<sub>2-6</sub> alkenyloxy such as allyloxy, isopropenyloxy, 1-butenyloxy, 2-pentenyl-  
5 or 2-hexenyloxy, C<sub>3-6</sub> cycloalkenyloxy such as 2-cyclohexenyloxy, etc.

The aryloxy group mentioned above is preferably an aryloxy group of 6 to 14 carbon atoms, such as phenoxy,  
10 naphthyloxy, etc.

The aralkyloxy group mentioned above is preferably an aralkyloxy group of 7 to 19 carbon atoms, such as phenyl-C<sub>1-4</sub> alkyloxy, e.g. benzyloxy, phenethyloxy, phenylpropyloxy, etc.

15 The heterocycle-oxy group mentioned above is a group of the formula T'-O- wherein T' represents above-mentioned heterocyclic group, specifically including pyrrolyloxy such as 2- or 3-pyrrolyloxy; pyrazolyloxy such as 3-, 4-, or 5-pyrazolyloxy; imidazolyloxy such as 2-, 4-, or 5-imidazolyloxy; triazolyloxy such as  
20 1,2,3-triazol-4-yl-oxy and 1,2,4-triazol-3-yl-oxy; tetrazolyloxy such as 1H- or 2H-tetrazol-5-yl-oxy; furyloxy such as 2- or 3-furyloxy; thienyloxy such as 2- or 3-thienyloxy; thienyloxy in which the sulfur atom is oxidized such as 2- or 3-thienyl-1,1-dioxide-oxy;  
25 oxazolyloxy such as 2-, 4-, or 5-oxazolyloxy, etc.

The acyloxy group mentioned above is a group of the formula T"-O- wherein T" represents above-mentioned acyl, specifically including C<sub>1-6</sub> alkyl-carbonyloxy such as acetoxy, propionyloxy, butyryloxy, pentanoyloxy, and hexanoyloxy; C<sub>7-19</sub> aralkylcarbonyloxy such as phenyl-C<sub>1-4</sub> alkylcarbonyloxy, (e.g. benzylcarbonyloxy, phenethylcarbonyloxy, etc.; C<sub>6-14</sub> arylcarbonyloxy such as benzoyloxy and naphthoyloxy; heterocycle-carbonyloxy  
30 such as thienylcarbonyloxy and benzothienylcarbonyloxy, etc.  
35

The alkylthio group mentioned above is preferably a straight-chain, branched, or cyclic C<sub>1-6</sub> alkylthio group, e.g. C<sub>1-6</sub> alkylthio and C<sub>3-6</sub> cycloalkylthio such as methylthio, ethylthio, n-propylthio, isopropylthio, 5 n-butylthio, isobutylthio, sec-butylthio, tert-butylthio, n-pentylthio, sec-pentylthio, isopentylthio, neopentylthio, cyclopentylthio, n-hexylthio, isohexylthio, cyclohexylthio, etc.

10 The alkenylthio group mentioned above is preferably a straight-chain, branched, or cyclic C<sub>2-6</sub> alkenylthio group, e.g. C<sub>2-6</sub> alkenylthio and C<sub>3-6</sub> cycloalkenylthio such as allylthio, isopropenylthio, 1-butenylthio, 2-pentenylthio, 2-hexenylthio, and cyclohexenylthio, etc.

15 The arylthio group mentioned above is preferably a C<sub>6-14</sub> arylthio group such as phenylthio, and naphthylthio, etc.

The aralkylthio group mentioned above is preferably a C<sub>7-19</sub> aralkylthio group, e.g. phenyl-C<sub>1-4</sub> 20 alkylthio such as benzylthio, phenethylthio, and phenylpropylthio, etc.

The heterocyclethio group mentioned above is a group of the formula T'-S- wherein T' represents above-mentioned heterocyclic group, specifically including 25 pyrrolylthio such as 2- or 3-pyrrolylthio; pyrazolylythio such as 3-, 4-, or 5-pyrazolylythio; imidazolylythio such as 2-, 4-, or 5-imidazolylythio; triazolylythio such as 1,2,3-triazol-4-ylthio and 1,2,4-triazol-5-ylthio; tetrazolylythio such as 1H- or 2H- 30 tetrazol-5-ylthio; furylthio such as 2- or 3-furylthio; thienylthio such as 2- or 3-thienylthio; thienylthio in which the sulfur atom of the thienyl group is oxidized such as 2- or 3-thienyl-1,1-dioxide-thio; and oxazolylythio such as 2-, 4-, or 5-oxazolylythio, etc.

35 The acylthio group mentioned above is a group of the formula T"-S- wherein T" represents above-mentioned

acyl group, specifically including C<sub>1-6</sub> alkyl-carbonylthio such as acetylthio, propionylthio, butyrylthio, pentanoylthio, and hexanoylthio; phenyl-C<sub>1-4</sub> alkylcarbonylthio such as benzylcarbonylthio and  
5 phenethylcarbonylthio; C<sub>6-14</sub> arylcarbonylthio such as benzoylthio, and naphthoylthio; heterocycle-carbonylthio such as thienylcarbonylthio and benzothienylcarbonylthio, etc.

The alkyldithio group mentioned above is  
10 preferably a straight-chain, branched, or cyclic C<sub>1-6</sub> alkyldithio group, e.g. C<sub>1-6</sub> alkyldithio or C<sub>3-6</sub> cycloalkyldithio such as methyldithio, ethyldithio, n-propyldithio, cyclopentyldithio, etc.

The aryldithio group mentioned above is preferably  
15 a C<sub>6-14</sub> aryldithio group such as phenyldithio, naphthyldithio, etc.

The aralkyldithio group mentioned above is preferably a C<sub>7-19</sub> aralkyldithio group e.g. phenyl-C<sub>1-4</sub> alkyldithio such as benzyldithio, phenethyldithio, etc.

20 The alkylsulfinyl group mentioned above is preferably a straight-chain, branched, or cyclic C<sub>1-6</sub> alkylsulfinyl group, e.g. C<sub>1-6</sub> alkylsulfinyl or C<sub>3-6</sub> cycloalkylsulfinyl such as methylsulfinyl, ethylsulfinyl, n-propylsulfinyl, isopropylsulfinyl, n-hexylsulfinyl, cyclohexylsulfinyl, etc.  
25

The alkenylsulfinyl group mentioned above is preferably a straight-chain, branched, or cyclic C<sub>2-6</sub> alkenylsulfinyl group, e.g. C<sub>2-6</sub> alkenylsulfinyl or C<sub>3-6</sub> cycloalkenylsulfinyl group such as allylsulfinyl.

30 The arylsulfinyl group mentioned above is preferably a C<sub>6-14</sub> arylsulfinyl group such as phenylsulfinyl.

The aralkylsulfinyl group mentioned above is preferably a C<sub>7-19</sub> aralkylsulfinyl group, e.g. phenyl-C<sub>1-4</sub>  
35 alkylsulfinyl such as benzylsulfinyl.



The heterocycle-sulfinyl group mentioned above is a group of the formula T'-SO- wherein T' represents above-mentioned heterocyclic group, specifically including pyrrolylsulfinyl such as 2- or 3-  
 5 pyrrolylsulfinyl; pyrazolylsulfinyl such as 3-, 4- or 5-pyrazolylsulfinyl, etc.

The alkylsulfonyl group mentioned above is preferably a straight-chain, branched, or cyclic C<sub>1-6</sub> alkylsulfonyl group, e.g. C<sub>1-6</sub> alkylsulfonyl or C<sub>3-6</sub>  
 10 cycloalkylsulfonyl such as methylsulfonyl, ethylsulfonyl, n-propylsulfonyl, isopropylsulfonyl, cyclohexylsulfonyl, etc.

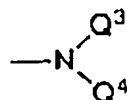
The alkenylsulfonyl group mentioned above is preferably a straight-chain, branched, or cyclic C<sub>2-6</sub> alkenylsulfonyl group, e.g. C<sub>2-6</sub> alkenylsulfonyl or C<sub>3-6</sub>  
 15 cycloalkenylsulfonyl group such as allylsulfonyl.

The arylsulfonyl group mentioned above is preferably a C<sub>6-14</sub> arylsulfonyl group such as phenylsulfonyl, naphthylsulfonyl, etc.

20 The aralkylsulfonyl group mentioned above is preferably a C<sub>7-19</sub> aralkylsulfonyl group, e.g. phenyl-C<sub>1-4</sub> alkylsulfonyl such as benzylsulfonyl, phenethylsulfonyl, phenylpropylsulfonyl, etc.

The heterocycle-sulfonyl group mentioned above is a group of the formula T'-SO<sub>2</sub>- wherein T' represents above-mentioned heterocyclic group, specifically including pyrrolylsulfonyl such as 2- or 3-  
 25 pyrrolylsulfonyl; pyrazolylsulfonyl such as 3-, 4-, or 5-pyrazolylsulfonyl, etc.

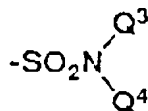
30 The group of the formula:



specifically includes (1) an alkylamino group,  
 35 preferably a mono- or di-(C<sub>1-6</sub> alkyl)amino group, e.g.

methylamino, ethylamino, n-propylamino, n-butylamino, tert-butylamino, n-pentylamino, n-hexylamino, dimethylamino, diethylamino, methylethylamino, di-(n-propyl)amino, di-(n-butyl)amino, etc., (2) a  
5 cycloalkylamino group, preferably a mono- or di-(C<sub>3-6</sub> cycloalkyl)amino group, e.g. cyclopropylamino, cyclopentylamino, cyclohexylamino, dicyclohexylamino, etc., (3) an arylamino group, preferably a C<sub>6-14</sub> arylamino group, e.g. anilino etc.; N-C<sub>1-6</sub> alkyl-N-C<sub>6-14</sub>  
10 arylamino, e.g. N-methylanilino, etc., (4) an aralkylamino group, preferably a C<sub>7-19</sub> aralkylamino group, e.g. phenyl-C<sub>1-4</sub> alkylamino such as benzylamino, 1-phenylethylamino, benzhydrylamino, tritylamino, etc., (5) an acylamino group, i.e. a group of the formula  
15 T''T'-N- wherein T'' represents above-mentioned acyl group; T'' represents hydrogen, a hydrocarbon group as mentioned above, or an acyl group as mentioned above; T'' and T'' may form a ring together with the adjacent nitrogen atom; such as a C<sub>1-6</sub> alkylcarbonylamino, a C<sub>6-14</sub>  
20 arylcarbonylamino group, and a heterocycle-carbonylamino group wherein the alkyl, aryl, and heterocyclic group of the heterocycle-carbonylamino are preferably those mentioned in the substituent of the aromatic ring group for Q<sup>1</sup> thus specifically including  
25 acetamido, propionamido, butyrylamino, pentanoylamino, hexanoylamino, 2-oxopyrrolidino, succinimido, benzylcarbonylamino, phenethylcarbonylamino, benzoylamino, naphthoylamino, phthalimido, thienylcarbonylamino, benzothienylcarbonylamino, etc., and (6)  
30 a cyclic amino group. Here, Q<sup>3</sup> and Q<sup>4</sup> may form a ring together with the adjacent nitrogen atom, preferably a 3- to 7-membered ring, e.g. pyrrolidino, piperidino, morpholino, thiomorpholino, 1-piperazinyl, aziridino, azetidino, etc.

35 The group of the formula:



specifically includes (1) a mono- or di-alkylsulfamoyl group, preferably a mono- or di(C<sub>1-6</sub> alkyl)sulfamoyl group, e.g. methylsulfamoyl, ethylsulfamoyl, n-propylsulfamoyl, n-hexylsulfamoyl, dimethylsulfamoyl, diethylsulfamoyl, methylethylsulfamoyl, di(n-butyl)sulfamoyl, etc., (2) a cycloalkylsulfamoyl group, preferably a C<sub>3-6</sub> cycloalkylsulfamoyl group, e.g. cyclopropylsulfamoyl, cyclohexylsulfamoyl, etc., (3) an arylsulfamoyl group, preferably a C<sub>6-14</sub> arylsulfamoyl group, e.g. phenylsulfamoyl etc., (4) an aralkylsulfamoyl group, preferably a C<sub>7-19</sub> aralkylsulfamoyl group, e.g. phenyl-C<sub>1-4</sub> alkylsulfamoyl such as benzylsulfamoyl or phenylethylsulfamoyl; benzhydrylsulfamoyl, tritylsulfamoyl, etc., and (5) an acylsulfamoyl group, i.e. a group of the formula T" T' NSO<sub>2</sub>- wherein the respective symbols have the same meanings as defined hereinbefore, e.g. C<sub>1-6</sub> alkylcarbonylsulfamoyl such as acetylsulfamoyl, phenyl-C<sub>1-4</sub> alkylcarbonylsulfamoyl such as benzylcarbonylsulfamoyl, heterocyclecarbonylsulfamoyl such as thienylcarbonylsulfamoyl, etc. Here, Q<sup>3</sup> and Q<sup>4</sup> may form a ring together with the adjacent nitrogen atom, e.g. pyrrolidino, piperidino, morpholino, thiomorpholino, 1-piperadiny, aziridino, azetidino, etc.

The group of the formula Q<sup>4</sup>-SO<sub>2</sub>-O- specifically includes (1) an alkylsulfonyloxy group, preferably a C<sub>1-6</sub> alkylsulfonyloxy group, e.g. methanesulfonyloxy, ethanesulfonyloxy, etc., (2) an arylsulfonyloxy group, preferably a C<sub>6-14</sub> arylsulfonyloxy group, e.g. benzenesulfonyloxy, p-toluenesulfonyloxy, etc., (3) an aralkylsulfonyloxy group, preferably a C<sub>7-19</sub>

aralkylsulfonyloxy group, e.g. phenyl-C<sub>1-4</sub>  
alkylsulfonyloxy such as benzylsulfonyloxy,  
phenethylsulfonyloxy, etc., and (4) an acylsulfonyloxy  
group, e.g. C<sub>1-6</sub> alkylcarbonylsulfonyloxy such as  
5 acetylsulfonyloxy, butyrylsulfonyloxy, etc.

R<sup>1</sup> represents a hydrogen atom or a hydrocarbon  
group which may optionally be substituted. R<sup>2</sup>  
represents a hydrocarbon group which may optionally be  
substituted. Also, R<sup>1</sup> and R<sup>2</sup> may form a ring together  
10 with the adjacent carbon atom, wherein the ring may  
optionally be substituted. The hydrocarbon group and  
its substituent or substituents for R<sup>1</sup> or R<sup>2</sup> mentioned  
just above includes those mentioned hereinbefore.

The ring formed by R<sup>1</sup> and R<sup>2</sup> together with the  
15 adjacent carbon atom and which may optionally be  
substituted includes C<sub>4-7</sub> cycloalkanes, e.g.  
cyclobutane, cyclopentane, cyclohexane, cycloheptane,  
etc. and C<sub>4-7</sub> cycloalkenes, e.g. cyclobutene,  
cyclopentene, cyclohexene, cycloheptene, etc., each of  
20 which may optionally be substituted by the substituent  
or substituents as mentioned for the hydrocarbon group  
for R<sup>1</sup> and R<sup>2</sup>.

The substituent which may be present at 3- to 6-  
position in the triazine derivative of the present  
25 invention includes a group bonded through a carbon  
atom, a nitrogen atom, an oxygen atom, a sulfur atom or  
a phosphorus atom or halogen (e.g. fluorine, chlorine,  
bromine, iodine).

The group bonded through a carbon atom is not  
30 particularly limited but can be any group which is  
bonded through a carbon atom. For example, a  
hydrocarbon group which may optionally be substituted,  
an acyl group which may optionally be substituted,  
cyano, a carbamoyl group which may optionally be  
35 substituted, a thiocarbamoyl group which may optionally

be substituted, an amidino group which may optionally be substituted, or a heterocyclic group bonded through a carbon atom which may optionally be substituted, can be mentioned. The hydrocarbon group, its substituent or substituents, and the acyl group, its substituent or substituents may be the same groups as mentioned hereinbefore for substituents on  $Q^1$ . The carbamoyl group or thiocarbamoyl group may have 1 or 2 substituents, and the amidino group may have 1 to 3 substituents. The substituents for said carbamoyl, thiocarbamoyl and amidino may for example be the above-mentioned hydrocarbon group, heterocyclic group or acyl group.

The above-mentioned heterocyclic group bonded through a carbon atom includes a 3- to 8-membered heterocyclic group which contains 1 to 4 hetero atoms selected from nitrogen (which may be in the oxide form), oxygen, and sulfur (which may be in the mono- or dioxide form) besides carbon and a condensed ring group thereof with benzene ring or a 3- to 8-membered heterocyclic ring which contains 1 to 4 hetero atoms selected from nitrogen (which may be in the oxide form), oxygen, and sulfur (which may be in the mono- or dioxide form).

Specifically, mention can be made of aziridinyl (e.g. 2-aziridinyl), azirinyl (e.g. 2-azirinyl), azetyl (e.g. 2- or 3-azetyl), azetidiny (e.g. 2- or 3-azetidiny), perhydroazepiny (e.g. 2-, 3- or 4-perhydroazepiny), perhydroazociny (e.g. 2-, 3-, 4- or 5-perhydroazociny), thienyl (e.g. 2- or 3-thienyl), furyl (e.g. 2- or 3-furyl), pyrrolidinyl (e.g. 2- or 3-pyrrolidinyl), pyrrolyl (e.g. 2- or 3-pyrrolyl), oxazolyl (e.g. 2-, 4-, or 5-oxazolyl), thiazolyl (e.g. 2-, 4-, or 5-thiazolyl), pyrazolyl (e.g. 3-, 4-, or 5-pyrazolyl), imidazolyl (e.g. 2-, 4-, or 5-imidazolyl), isoxazolyl (e.g. 3-, 4-, or 5-isoxazolyl), isothiazolyl

(e.g. 3-, 4-, or 5-isothiazolyl), oxadiazolyl (e.g. 1,2,4-oxadiazol-3- or 5-yl), 1,3,4-oxadiazolyl), thiadiazolyl [e.g. 1,2,4-thiadiazol-3- or 5-yl), 1,3,4-thiadiazolyl, 1,2,3-thiadiazol-4- or 5-yl), 1,2,5-thiadiazolyl], triazolyl (e.g. 1,2,3-triazolyl, 1,2,4-triazol-3- or 5-yl), tetrazolyl (e.g. 1H- or 2H-tetrazol-5-yl), pyridyl (e.g. 2-, 3-, or 4-pyridyl), pyridyl in which the nitrogen atom is oxidized (e.g. 2-, 3- or 4-pyridyl-N-oxido), pyrimidinyl (e.g. 2-, 4-, or 5-pyrimidinyl), pyrimidinyl in which one or both of the nitrogen atoms are oxidized (e.g. 2-, 4-, 5- or 6-pyrimidinyl-N-oxido), thiomorpholinyl (e.g. 2- or 3-thiomorpholinyl), morpholinyl (e.g. 2- or 3-morpholinyl), triazinyl (e.g. 1,2,4-triazin-3-, 5- or 6-yl), piperidinyl (e.g. 2- or 3-piperidinyl), pyranyl (e.g. 2- or 3-pyranyl), thiopyranyl (e.g. 2- or 3-thiopyranyl), oxazinyl (e.g. 1,4-oxazin-2- or 3-yl), thiazinyl (e.g. 1,4-thiazin-2- or 3-yl, 1,3-thiazinyl), piperazinyl (e.g. 2- or 3-piperazinyl), pyridazinyl (e.g. 3- or 4-pyridazinyl), pyrazinyl, pyridazinyl in which one or both of the nitrogen atoms are oxidized (e.g. 3-, 4-, 5- or 6-pyridazinyl-N-oxido), benzofuryl, benzothiazolyl, benzoxazolyl, tetrazolo[1,5-b]pyridazinyl, triazolo[4,5-b]pyridazinyl, imidazo[1,2-a]pyridinyl, benzimidazolyl, quinolyl, isoquinolyl, cinnolinyl, phthalazinyl, quinazolinyl, quinoxalinyl, indolidinyl, quinolidinyl, naphthyridinyl (e.g. 1,8-naphthyridinyl), purinyl, pteridinyl, dibenzofuranyl, carbazolyl, acridinyl, phenanthridinyl, chromanyl, benzoxazinyl, phenazinyl, phenothiazinyl, phenoxazinyl, etc.

The substituent for said heterocyclic group bonded through a carbon atom includes (1) nitro, (2) hydroxy, (3) oxo, (4) thioxo, (5) cyano, (6) carbamoyl, (7) carboxy, (8) a C<sub>1-6</sub> alkoxy-carbonyl group (e.g. methoxycarbonyl, ethoxycarbonyl, etc.), (9) sulfo, (10)

halogen (e.g. fluorine, chlorine, bromine, iodine),  
(11) a C<sub>1-6</sub> alkoxy group (e.g. methoxy, ethoxy, propoxy,  
isopropoxy, butoxy, isobutoxy, s-butoxy, t-butoxy,  
etc.), (12) a C<sub>6-14</sub> aryloxy group (e.g. phenoxy,  
5 naphthyloxy, etc.), (13) a C<sub>6-14</sub> aryloxy group which is  
halogenated by 1 to 3 halogens (e.g. halophenyloxy such  
as o-, m-, or p-chlorophenoxy, o-, m-, or p-  
bromophenoxy, etc.), (14) a C<sub>1-6</sub> alkylthio group (e.g.  
methylthio, ethylthio, n-propylthio, isopropylthio, n-  
10 butylthio, t-butylthio, etc.), (15) a C<sub>6-14</sub> arylthio  
group (e.g. phenylthio etc.), (16) a C<sub>1-6</sub> alkylsulfinyl  
group (e.g. methylsulfinyl, ethylsulfinyl, etc.), (17)  
a C<sub>1-6</sub> alkylsulfonyl group (e.g. methylsulfonyl,  
ethylsulfonyl, etc.), (18) amino, (19) a C<sub>1-6</sub>  
15 alkylcarbonylamino group (e.g. acetylamino,  
propionylamino, etc.), (20) a mono- or di-C<sub>1-6</sub>  
alkylamino group (e.g. methylamino, ethylamino, n-  
propylamino, isopropylamino, n-butylamino,  
dimethylamino, diethylamino, etc.), (21) imino, (22) a  
20 C<sub>1-5</sub> alkylimino group (e.g. methylimino, ethylimino,  
propylimino, butylimino, etc.), (23) hydroxyimino, (24)  
a C<sub>1-6</sub> alkoxyimino group (e.g. methoxyimino,  
ethoxyimino, n-propoxyimino, etc.), (25) hydrazono,  
(26) a mono- or di-C<sub>1-4</sub> alkylhydrazono group (e.g.  
25 methylhydrazono, ethylhydrazono, dimethylhydrazono,  
etc.), (27) a C<sub>1-6</sub> alkylcarbonyl group (e.g. formyl,  
acetyl, propionyl, etc.), (28) a C<sub>6-14</sub> arylcarbonyl  
group (e.g. benzoyl), (29) a 5- or 6-membered  
heterocyclic group which contains 1 to 4 hetero atoms  
30 selected from oxygen, sulfur, and nitrogen, besides  
carbon, and which may optionally be substituted by 1 to  
4 substituents selected from the group consisting of  
(a) halogen (e.g. fluorine, chlorine, bromine, iodine),  
(b) a C<sub>1-4</sub> alkyl group (e.g. methyl, ethyl, propyl,  
35 isopropyl, etc.), and (c) halophenoxy (e.g. phenoxy

which is substituted by 1 to 3 halogens such as o-, m-, or p-chlorophenoxy, o-, m-, or p-bromophenoxy, etc.); for example, thienyl such as 2- or 3-thienyl, furyl such as 2- or 3-furyl, pyrazolyl such as 3-, 4-, or 5-pyrazolyl, thiazolyl such as 2-, 4-, or 5-thiazolyl, isothiazolyl such as 3-, 4-, or 5-isothiazolyl, oxazolyl such as 2-, 4-, or 5-oxazolyl, isoxazolyl such as 3-, 4-, or 5-isoxazolyl, imidazolyl such as 2-, 4-, or 5-imidazolyl, triazolyl such as 1,2,3- or 1,2,4-triazolyl, tetrazolyl such as 1H- or 2H-tetrazolyl, pyridyl such as 2-, 3-, or 4-pyridyl, pyrimidyl such as 2-, 4-, or 5-pyrimidyl, pyridazinyl such as 3- or 4-pyridazinyl, quinolyl, isoquinolyl, indolyl, etc., and (30) a C<sub>1-6</sub> alkyl which is halogenated by 1 to 5 halogens (e.g. difluoromethyl, trifluoromethyl, trifluoroethyl, trichloroethyl, etc.). The number of substituents may range from 1 to 5 within the limit of substitutable positions available and preferably 1 to 3.

The group bonded through a nitrogen atom is not particularly limited but can be any group which is bonded through a nitrogen atom. For example, there can be used any of (1) nitro, (2) a group of the formula -NR<sup>9</sup>R<sup>10</sup> wherein each of R<sup>9</sup> and R<sup>10</sup> represents hydrogen, a hydrocarbon group which may optionally be substituted, an acyl group which may optionally be substituted, a carbamoyl group which may optionally be substituted, a heterocyclic group which may optionally be substituted, or a group of the formula -SO<sub>p</sub>R<sup>11</sup> wherein R<sup>11</sup> represents hydrogen or a hydrocarbon group which may optionally be substituted; p represents 1 or 2, (3) a heterocyclic group bonded through a nitrogen atom which may optionally be substituted, and (4) a group of the formula -N=C(R<sup>12</sup>)R<sup>13</sup> wherein R<sup>12</sup> and R<sup>13</sup> are the same or different and each represents hydrogen, a hydrocarbon group which may optionally be substituted, a



heterocyclic group which may optionally be substituted,  
a hydrocarbon-oxy group which may optionally be  
substituted, a hydrocarbon-thio group, or a group of  
the formula  $-NR^{14}R^{15}$  wherein  $R^{14}$  and  $R^{15}$  each represents  
5 hydrogen or a hydrocarbon group which may optionally be  
substituted.

The hydrocarbon group which may optionally be  
substituted as mentioned for  $R^9$ ,  $R^{10}$ ,  $R^{11}$ ,  $R^{12}$ ,  $R^{13}$ ,  $R^{14}$ ,  
or  $R^{15}$  includes the same hydrocarbon group which may  
10 optionally be substituted as mentioned above for the  
substituent of the aromatic ring group for  $Q^1$ .

The optionally substituted hydrocarbon group of  
the hydrocarbon-oxy group which may optionally be  
substituted or the hydrocarbon-thio group which may  
15 optionally be substituted as mentioned for  $R^{12}$  or  $R^{13}$   
includes the same hydrocarbon group which may  
optionally be substituted as mentioned above for the  
substituent of the aromatic ring group for  $Q^1$ .

The acyl group which may optionally be substituted  
20 mentioned for  $R^9$  or  $R^{10}$  includes the same acyl group  
which may optionally be substituted as mentioned above  
for the substituent of the aromatic ring group for  $Q^1$ .

The carbamoyl group which may optionally be  
substituted mentioned for  $R^9$  or  $R^{10}$  includes the same  
25 carbamoyl group which may optionally be substituted as  
mentioned above for the substituent of the aromatic  
ring group for  $Q^1$ .

The heterocyclic group mentioned for  $R^9$ ,  $R^{10}$ ,  $R^{12}$ ,  
or  $R^{13}$  includes the same 3- to 8-membered heterocyclic  
30 group which contains 1 to 4 hetero atoms selected from  
nitrogen (which may be in the oxide form), oxygen,  
sulfur (which may be in the mono- or dioxide form),  
besides carbon and its condensed ring group with  
benzene ring or a 3- to 8-membered heterocyclic ring  
35 which may contain 1 to 4 hetero atoms selected from

nitrogen (which may be in the oxide form), oxygen, and sulfur (which may be in the mono- or dioxide form) as mentioned above for the substituent of the aromatic ring group for Q<sup>1</sup>.

5           The substituent for this heterocyclic group includes the same substituent as those mentioned for the heterocyclic group bonded through a carbon atom.

          The heterocyclic group bonded through a nitrogen atom includes a 3- to 8-membered heterocyclic group  
10       which contains 1 to 4 hetero atoms selected from nitrogen, oxygen, and sulfur besides carbon and a condensed ring group thereof with benzene ring or a 3- to 8-membered heterocyclic ring which may contains 1 to 4 hetero atoms selected from nitrogen, oxygen, and  
15       sulfur.

          Specifically, there can be mentioned 1-aziridinyl, 1-aziriny, 1-azetyl, 1-azetidiny, 1-perhydroazepiny, 1-perhydroazociny, 1-pyrrolidinyl, 1-pyrroliny, 1-pyrrolyl, 1-pyrazoly, 1-imidazoly, 1,2,3-triazol-1-  
20       or 2-yl, 1,2,4-triazol-1- or 4-yl, 1H-tetrazol-1-yl, 2H-tetrazol-2-yl, 1-piperidinyl, 4-thiomorpholinyl, 4-morpholinyl, 1-dihydropyridyl, 1-tetrahydropyridyl, 2- or 4-oxodihydropyridin-1-yl, 1-tetrahydropyrimidyl, 1-perhydropyrimidyl, 1-dihydrotriazinyl, 1-  
25       tetrahydrotriazinyl, 2-oxodihydrotriazin-1-yl, 1,4-oxazin-4-yl, 1,4-thiazin-4-yl, 1,3-thiazin-3-yl, 1-piperazinyl, 1-perhydropyridazinyl, indol-1-yl, indolin-1-yl, isoindol-2-yl, isoindolin-2-yl, 1H-indazol-1-yl, 2,3-dihydrobenzoxazol-3-yl, 2,3-  
30       dihydrobenzothiazol-3-yl, 7-puriny, 9-carbazoly, etc.

          The substituent for this heterocyclic group bonded through a nitrogen atom includes the same substituent as those mentioned for the heterocyclic group bonded through a carbon atom.

35           The group bonded through an oxygen atom is not particularly limited but can be any group which is

bonded through an oxygen atom. For example, it may for example be a group of the formula  $-OR^{16}$  wherein  $R^{16}$  represents (1) hydrogen, (2) a hydrocarbon group which may optionally be substituted, (3) a heterocyclic group which may optionally be substituted, (4) an acyl group, (5) a carbamoyl group which may optionally be substituted, (6)  $-SiR^{17}_3$  wherein  $R^{17}$  represents a hydrocarbon group which may optionally be substituted, or (7)  $-SO_pR^{11}$  wherein  $p$  and  $R^{11}$  have the same meanings as mentioned above.

The hydrocarbon group which may optionally be substituted as mentioned for  $R^{16}$  or  $R^{17}$  includes the same hydrocarbon group which may optionally be substituted as those mentioned hereinbefore for the substituent of the aromatic ring group for  $Q^1$ .

The heterocyclic group which may optionally be substituted as mentioned for  $R^{16}$  includes the same heterocyclic group which may optionally be substituted as mentioned above for  $R^9$  or  $R^{10}$ .

The acyl group for  $R^{16}$  includes the same acyl group as mentioned for the substituent of the aromatic ring group for  $Q^1$ .

The carbamoyl group which may optionally be substituted for  $R^{16}$  includes the same carbamoyl group which may optionally be substituted as mentioned for the substituent of the aromatic ring group for  $Q^1$ .

The group bonded through a sulfur atom is not particularly limited but can be any group which is bonded through a sulfur atom. For example, there can be used any of (1) a group of the formula  $-S(O)_nR^{18}$ , wherein  $R^{18}$  represents (i) a hydrogen atom, (ii) a hydrocarbon group which may optionally be substituted, or (iii) a heterocyclic group which may optionally be substituted;  $n$  represents 0, 1 or 2, (2) a group of the formula  $-SO_2NR^{18}R^{19}$  wherein  $R^{18}$  has the same meaning as

defined hereinbefore;  $R^{19}$  represents (i) a hydrogen atom, (ii) a hydrocarbon group which may optionally be substituted, or (iii) a heterocyclic group which may optionally be substituted, (3) a group of the formula -  
5  $SCOOR^{31}$  wherein  $R^{31}$  represents (i) a hydrocarbon group which may optionally be substituted, or (ii) a heterocyclic group which may optionally be substituted, or (4) a group of the formula  $-SNR^{32}COOR^{33}$  wherein  $R^{32}$  and  $R^{33}$  are the same or different and each represents  
10 (i) a hydrocarbon group which may optionally be substituted, or (ii) a heterocyclic group which may optionally be substituted.

The hydrocarbon group which may optionally be substituted as mentioned for  $R^{18}$ ,  $R^{19}$ ,  $R^{31}$ ,  $R^{32}$  or  $R^{33}$   
15 includes the same hydrocarbon group which may optionally be substituted as mentioned above for the substituent of the aromatic ring group for  $Q^1$ .

The heterocyclic group which may optionally be substituted as mentioned for  $R^{18}$ ,  $R^{19}$ ,  $R^{31}$ ,  $R^{32}$  or  $R^{33}$   
20 includes the same heterocyclic group which may optionally be substituted as mentioned for  $R^9$  or  $R^{10}$ .

The group bonded through a phosphorous atom is not particularly limited but can be any group which is bonded through a phosphorous atom. For example, there  
25 can be used any of (1) a group of the formula  $-PO(OR^{30})_2$  wherein  $R^{30}$  represents a hydrocarbon group which may optionally be substituted or a heterocyclic group which may optionally be substituted, or (2) a group of the formula  $-PO(R^{34})OR^{35}$  wherein  $R^{34}$  and  $R^{35}$  are the same or  
30 different and each represents a hydrocarbon group which may optionally be substituted or a heterocyclic group which may optionally be substituted.

The hydrocarbon group which may optionally be substituted as mentioned for  $R^{30}$ ,  $R^{34}$  or  $R^{35}$  includes the  
35 same hydrocarbon group which may optionally be

substituted as mentioned above for the substituent of the aromatic ring group for  $Q^1$ .

The heterocyclic group which may optionally be substituted as mentioned for  $R^{30}$ ,  $R^{34}$  or  $R^{35}$  includes the  
5 same heterocyclic group which may optionally be substituted as mentioned for  $R^9$  or  $R^{10}$ .

The triazine derivative may preferably have an optionally substituted aromatic ring group, an optionally substituted aliphatic heterocyclic group or  
10 an optionally substituted aliphatic hydrocarbon group at the 3-position.

The optionally substituted aromatic ring group as mentioned above includes the same aromatic ring group which may optionally be substituted as mentioned for  
15  $Q^1$ .

The aliphatic heterocyclic group of said optionally substituted aliphatic heterocyclic group as mentioned above includes 4- to 6-membered aliphatic heterocyclic group which contains 1 to 3 hetero atoms  
20 selected from nitrogen, oxygen, and sulfur, such as oxiranyl, azetidiny, oxetanyl, thietanyl, pyrrolidinyl, tetrahydrofuryl, thiolanyl, piperidyl, tetrahydropyranyl, morpholinyl, thiomorpholinyl, piperazinyl, etc.

The aliphatic hydrocarbon group of the optionally substituted aliphatic hydrocarbon group as mentioned above includes a straight-chain or branched  $C_{1-6}$  hydrocarbon group and an alicyclic  $C_{3-14}$  hydrocarbon group.  
25

The above-mentioned straight-chain or branched aliphatic hydrocarbon group includes a  $C_{1-6}$  alkyl group such as methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, sec-pentyl, isopentyl, neopentyl, n-hexyl, isohexyl, etc., a  $C_{2-6}$   
35 alkenyl group such as allyl, isopropenyl, isobutenyl,

2-pentenyl, 2-hexenyl, etc., and a C<sub>2-6</sub> alkynyl group such as propargyl, 2-butyryl, 3-butyryl, 3-pentyryl, 3-hexenyl, etc.

The above-mentioned alicyclic hydrocarbon group includes a C<sub>3-14</sub> cycloalkyl group, preferably C<sub>3-7</sub> cycloalkyl group such as cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, perhydronaphthyl, perhydroanthranyl, bicyclo[2.2.1]heptyl, etc. and C<sub>3-14</sub> cycloalkenyl group, preferably C<sub>3-7</sub> cycloalkenyl group such as cyclopropenyl, cyclobuten-1- or 3-yl, cyclopenten-1-, 3-, or 4-yl, cyclohexen-1- or 3-yl, etc.

The aliphatic heterocyclic group and aliphatic hydrocarbon group may respectively have 1 to 4 substituents, selected from for example, hydroxy, amino, cyano, sulfamoyl, sulfamoyloxy, mercapto, nitro, halogen, organic residue, sulfo, oxo, and thioxo.

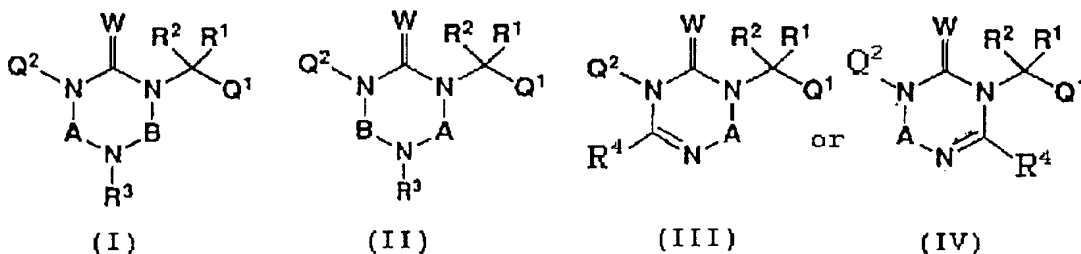
The above-mentioned halogen and organic residue have the same meaning as defined in substituent of the aromatic ring group for Q<sup>1</sup> as mentioned above.

Preferred, among the above-mentioned substituent, are cyano, nitro, halogen, organic residue, and oxo. Particularly preferred are halogen and organic residue.

The compound (Ia) is preferably a compound (I)-(IV) of the formula:

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wherein Q<sup>1</sup> represents an aromatic ring group which may optionally be substituted; R<sup>1</sup> represents a hydrogen atom or a hydrocarbon group which may optionally be

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substituted;  $R^2$  represents a hydrocarbon group which may optionally be substituted or  $R^1$  and  $R^2$  may form a ring together with the adjacent carbon atom wherein the ring may optionally be substituted; A represents an optionally substituted methylene group, carbonyl group or thiocarbonyl group; B represents an optionally substituted methylene group;  $Q^2$ ,  $R^3$  and  $R^4$  are the same or different and each represents a hydrogen atom or a group bonded through a carbon atom, a nitrogen atom, an oxygen atom, a sulfur atom or a phosphorus atom; and W represents O or S: or a salt thereof.

Among group as mentioned above,  $Q^1$  is preferably phenyl which may optionally be substituted, naphthyl which may optionally be substituted, partially hydrogenated naphthyl (e.g. 1,2,3,4-tetrahydro-5- or 6-naphthyl), pyridyl (e.g. 2-, 3- or 4-pyridyl) which may optionally be substituted, thienyl (e.g. 2- or 3-thienyl) which may optionally be substituted or benzofuryl which may optionally be substituted. Especially, phenyl which may optionally be substituted is preferred.

The substituent for such phenyl, naphthyl, pyridyl, thienyl or benzofuryl group as mentioned above is preferably (1) hydroxy, (2) amino which may optionally be substituted with one or two  $C_{1-6}$  alkyl groups (e.g. methyl, ethyl, propyl), (3) cyano, (4) sulfamoyl, (5) sulfamoyloxy, (6) mercapto, (7) nitro, (8) halogen (e.g. fluorine, chlorine, bromine, iodine), (9) sulfo, (10) a  $C_{1-6}$  alkyl group which may optionally be substituted with one to three substituents selected from the group consisting of nitro, amino, hydroxy, cyano, sulfamoyl, mercapto, carboxy, halogen (e.g. fluorine, chlorine, bromine, iodine), a  $C_{1-6}$  alkoxy group (e.g. methoxy) and a  $C_{1-6}$  alkylthio group (e.g. methylthio), wherein the alkyl group includes methyl,

ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, etc.), (11) a C<sub>1-6</sub> alkoxy group which may optionally be substituted with one to three substituents selected from the group consisting of nitro, amino hydroxy, cyano, sulfamoyl, mercapto, carboxy and halogen (e.g. fluorine, chlorine, bromine, iodine), wherein the alkoxy group includes methoxy, ethoxy, n-propoxy, isopropoxy, n-butoxy, isobutoxy, sec-butoxy, tert-butoxy), (12) a C<sub>7-19</sub> aralkyloxy group (e.g. phenyl-C<sub>1-4</sub> alkyloxy such as benzyloxy, phenethyloxy, etc.), (13) a C<sub>1-6</sub> alkylthio group which may optionally be substituted with one to three substituents selected from the group consisting of cyano and halogen (e.g. methylthio, cyanomethylthio, trifluoromethylthio, etc.), (14) a C<sub>1-6</sub> alkylsulfinyl group (e.g. methylsulfinyl), (15) a C<sub>1-6</sub> alkylsulfonyl group (e.g. methylsulfonyl), (16) a C<sub>6-14</sub> aryloxy group (e.g. phenoxy), (17) a C<sub>1-6</sub> alkylsulfonyloxy group (e.g. methylsulfonyloxy), or (18) a C<sub>1-6</sub> alkoxycarbonyloxy group (e.g. methoxycarbonyloxy). Among them, preferred is halogen or a C<sub>1-6</sub> alkyl group which may optionally be substituted with one to three substituents selected from the group consisting of nitro, amino, hydroxy, cyano, sulfamoyl, mercapto, carboxy, halogen, a C<sub>1-6</sub> alkoxy group and a C<sub>1-6</sub> alkylthio group. Especially preferred is halogen or a C<sub>1-3</sub> alkyl group which may optionally be substituted with one to three halogen atoms, wherein the alkyl group includes methyl, ethyl, n-propyl or isopropyl.

30 R<sup>1</sup> is preferably hydrogen or a C<sub>1-6</sub> alkyl group (e.g. methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl) which may optionally be substituted. Preferred is a C<sub>1-3</sub> alkyl group such as methyl, ethyl, n-propyl or isopropyl.

35 R<sup>2</sup> is preferably a C<sub>1-6</sub> alkyl group (e.g. methyl,



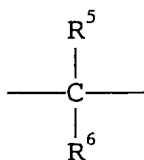
ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl) which may optionally be substituted. Preferred is a C<sub>1-3</sub> alkyl group such as methyl, ethyl, n-propyl or isopropyl.

5       The C<sub>1-6</sub> alkyl group for R<sup>1</sup> and R<sup>2</sup> as mentioned above may optionally be substituted with one to three substituents selected from the group consisting of nitro, amino, hydroxy, cyano, sulfamoyl, mercapto, carboxy and halogen (e.g. fluorine, chlorine, bromine, iodine).

10       Both R<sup>1</sup> and R<sup>2</sup> may be preferably methyl.

      The methylene which may optionally be substituted for A or B is represented for example a group of the formula:

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      wherein R<sup>5</sup> and R<sup>6</sup> are the same or different and each represents hydrogen or a hydrocarbon group which may optionally be substituted.

25       R<sup>5</sup> or R<sup>6</sup> is preferably (1) hydrogen, (2) halogen such as fluorine, chlorine, bromine, iodine, (3) a C<sub>1-6</sub> alkyl group such as methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, in which the alkyl group may optionally be substituted  
30       with one to three substituents selected from the group consisting of nitro, amino, hydroxy, cyano, sulfamoyl, mercapto, carboxy and halogen (e.g. fluorine, chlorine, bromine, iodine) or (4) a C<sub>6-14</sub> aryl group such as phenyl, in which the aryl group may optionally be  
35       substituted with one to three substituents selected from the group consisting of nitro, amino, hydroxy, cyano, sulfamoyl, mercapto, carboxy, halogen (e.g.

fluorine, chlorine, bromine, iodine), a C<sub>1-4</sub> alkyl group (e.g. methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl) and a C<sub>1-6</sub> alkoxy group (e.g. methoxy, ethoxy). Among them mentioned above, R<sup>5</sup> or R<sup>6</sup> is preferably hydrogen or a C<sub>1-6</sub> alkyl group. Especially preferred is hydrogen or a C<sub>1-3</sub> alkyl group such as methyl, ethyl, n-propyl or isopropyl.

A group bonded through a carbon atom, a nitrogen atom, an oxygen atom, a sulfur atom or a phosphorus atom for Q<sup>2</sup> has the same meaning as defined above.

Q<sup>2</sup> is preferably (1) hydroxy, (2) a C<sub>1-6</sub> alkoxy group, (3) a C<sub>2-6</sub> alkenyloxy group, (4) a C<sub>2-6</sub> alkynyloxy group, (5) an optionally substituted cyclic group, (6) an optionally substituted C<sub>1-6</sub> alkyl group, (7) an optionally substituted C<sub>2-6</sub> alkenyl group, (8) a C<sub>1-20</sub> acyl group, (9) an optionally substituted carbamoyl group, (10) an optionally substituted amidino group, (11) a group of the formula -S(O)<sub>n</sub>R<sup>20</sup> wherein n is 0, 1 or 2 and R<sup>20</sup> represents a hydrogen atom, a C<sub>1-6</sub> alkyl group, a C<sub>6-14</sub> aryl group or an optionally substituted amino group, (12) a C<sub>3-6</sub> cycloalkyloxy group, (13) a C<sub>1-6</sub> alkylcarbonyloxy group, (14) a C<sub>6-14</sub> arylcarbonyloxy group, (15) an optionally substituted carbamoyloxy group, (16) an optionally substituted amino group, or (17) a group of the formula -N=CR<sup>21</sup>R<sup>22</sup> wherein R<sup>21</sup> and R<sup>22</sup> are the same or different and each represents a hydrogen atom, a C<sub>1-6</sub> alkyl group, a C<sub>1-6</sub> alkoxy group or a C<sub>1-6</sub> alkylthio group.

The alkoxy group as mentioned above includes methoxy, ethoxy, n-propoxy, or isopropoxy. The alkenyloxy group as mentioned above includes allyloxy, isopropenyloxy or isobutenyloxy. The alkynyloxy group as mentioned above includes propargyloxy, 2-butyloxy, or 3-butyloxy.

The cyclic group as mentioned above includes (i) a

C<sub>6-14</sub> aryl group, (ii) a 5- or 6-membered heterocyclic group bonded through a carbon atom or a condensed ring group thereof with benzene ring or a 5- or 6-membered heterocyclic ring, (iii) a 5- or 6-membered heterocyclic group bonded through a nitrogen atom or a condensed ring group thereof with benzene ring or a 5- or 6-membered heterocyclic ring, (iv) a C<sub>3-14</sub> cycloalkyl group or (v) a C<sub>3-14</sub> cycloalkenyl group.

The C<sub>6-14</sub> aryl group includes phenyl, 1-naphthyl or 2-naphthyl.

The 5- or 6-membered heterocyclic group bonded through a carbon atom or a condensed ring group thereof with benzene ring or a 5- or 6-membered heterocyclic ring includes thienyl (e.g. 2- or 3-thienyl), furyl (e.g. 2- or 3-furyl), pyrrolidinyl (e.g. 2- or 3-pyrrolidinyl), pyrrolyl (e.g. 2- or 3-pyrrolyl), oxazolyl (e.g. 2-, 4-, or 5-oxazolyl), thiazolyl (e.g. 2-, 4-, or 5-thiazolyl), pyrazolyl (e.g. 3-, 4-, or 5-pyrazolyl), imidazolyl (e.g. 2-, 4-, or 5-imidazolyl), isoxazolyl (e.g. 3-, 4-, or 5-isoxazolyl), isothiazolyl (e.g. 3-, 4-, or 5-isothiazolyl), oxadiazolyl [e.g. 3- or 5-(1,2,4-oxadiazolyl), 1,3,4-oxadiazolyl], thiadiazolyl [e.g. 3- or 5-(1,2,4-thiadiazolyl), 1,3,4-thiadiazolyl, 4- or 5-(1,2,3-thiadiazolyl), 1,2,5-thiadiazolyl], triazolyl (e.g. 1,2,3-triazolyl, 1,2,4-triazolyl), tetrazolyl (e.g. 1H- or 2H-tetrazolyl), pyridyl (e.g. 2-, 3-, or 4-pyridyl), pyridyl in which the nitrogen atom is oxidized (e.g. N-oxido-2-, 3- or 4-pyridyl), pyrimidinyl (e.g. 2-, 4-, or 5-pyrimidinyl), pyrimidinyl in which one or both of the nitrogen atoms are oxidized (e.g. N-oxido-2-, 4- or 5-pyrimidinyl), thiomorpholinyl (e.g. 2- or 3-thiomorpholinyl), morpholinyl (e.g. 2- or 3-morpholinyl), piperidinyl, pyranyl, thiopyranyl, oxazinyl (e.g. 1,4-oxazinyl), thiazinyl (e.g. 1,4-thiazinyl, 1,3-thiazinyl), piperazinyl (e.g. 2- or 3-

piperazinyl), triazinyl, pyridazinyl (e.g. 3- or 4-pyridazinyl), pyrazinyl, pyridazinyl in which one or both of the nitrogen atoms are oxidized (e.g. N-oxido-3- or 4-pyridazinyl), benzofuryl, benzothiazolyl, benzoxazolyl, tetrazolo[1,5-b]pyridazinyl, triazolo[4,5-b]pyridazinyl, imidazo[1,2-a]pyridinyl, benzimidazolyl, quinolyl, isoquinolyl, cinnolinyl, phthalazinyl, quinazolinyl, quinoxalinyl, indolidinyl, quinolidinyl, naphthyridinyl (e.g. 1,8-naphthyridinyl), purinyl, pteridinyl, dibenzofuranyl, carbazolyl, acridinyl, phenanthridinyl, chromanyl, benzoxazinyl, phenazinyl, phenothiazinyl, phenoxazinyl, etc.

The 5- or 6-membered heterocyclic group bonded through a nitrogen atom or a condensed ring group thereof with benzene ring or a 5- or 6-membered heterocyclic ring includes 1-pyrrolidinyl, 1-pyrrolinyl, 1-pyrrolyl, 1-pyrazolyl, 1-imidazolyl, 1,2,3-triazol-1- or 2-yl, 1,2,4-triazol-1- or 4-yl, 1H-tetrazol-1-yl, 2H-tetrazol-2-yl, 1-piperidinyl, 4-thiomorpholinyl, 1-morpholinyl, 1-dihydropyridyl, 1-tetrahydropyridyl, 2- or 4-oxodihydropyridin-1-yl, 1-tetrahydropyrimidyl, 1-perhydropyrimidyl, 1-dihydrotriazinyl, 1-tetrahydrotriazinyl, 2-oxodihydrotriazin-1-yl, 1,4-oxazin-4-yl, 1,4-thiazin-4-yl, 1,3-thiazin-3-yl, 1-piperazinyl, 1-perhydropyridazinyl, indol-1-yl, indolin-1-yl, isoindol-2-yl, isoindolin-2-yl, 1H-indazol-1-yl, 2,3-dihydrobenzoxazol-3-yl, 2,3-dihydrobenzothiazol-3-yl, 7-purinyl, 9-carbazolyl, etc.

The C<sub>3-14</sub> cycloalkyl group includes a C<sub>3-7</sub> cycloalkyl group such as cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl or cycloheptyl.

The C<sub>3-14</sub> cycloalkenyl group includes 2-cyclohexen-1-yl or 3-cyclohexen-1-yl.

The substituent for the cyclic group is preferably nitro, amino, hydroxy, cyano, sulfamoyl, mercapto,

carboxy, halogen (e.g. fluorine, chlorine, bromine, iodine), a C<sub>1-6</sub> alkyl group (e.g. methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl) and a C<sub>1-6</sub> alkoxy group (e.g. methoxy, ethoxy). Especially preferred is halogen.

The number of the substituents for the cyclic group is 1 to 4, preferably 1 to 3, especially preferably 1 or 2.

The C<sub>1-6</sub> alkyl group for the optionally substituted C<sub>1-6</sub> alkyl group includes methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, sec-pentyl, isopentyl, neopentyl or n-hexyl. The substituent for the C<sub>1-6</sub> alkyl group includes nitro, amino, hydroxy, cyano, sulfamoyl, mercapto, carboxy, halogen (e.g. fluorine, chlorine, bromine, iodine), or a C<sub>1-6</sub> alkoxyimino group (e.g. methoxyimino, ethoxyimino). Especially preferred is a C<sub>1-6</sub> alkoxyimino group.

The number of the substituents for the alkyl group is 1 to 3.

The C<sub>2-6</sub> alkenyl group includes allyl, isopropenyl, isobutenyl, 1-methylallyl, 2-pentenyl or 2-hexenyl.

The substituent for the C<sub>2-6</sub> alkenyl group includes nitro, amino, hydroxy, cyano, sulfamoyl, mercapto, carboxy or halogen (e.g. fluorine, chlorine, bromine, iodine). The number of the substituents for the alkenyl group is 1 to 3.

The C<sub>1-20</sub> acyl group includes (1) a C<sub>1-6</sub> alkyl-carbonyl such as formyl, acetyl, propionyl, butyryl, isobutyryl, pentanoyl or hexanoyl, (2) a C<sub>6-14</sub> arylcarbonyl group such as benzoyl or naphthalenecarbonyl, or (3) a C<sub>1-6</sub> alkoxy carbonyl group such as methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, isopropoxycarbonyl, butoxycarbonyl, isobutoxycarbonyl, sec-butoxycarbonyl or

tert-butoxycarbonyl.

The optionally substituted carbamoyl group includes carbamoyl or a mono- or di- $C_{1-6}$  alkylcarbamoyl group such as methylcarbamoyl or dimethylcarbamoyl.

5       The substituent for the optionally substituted amidino group includes a  $C_{1-6}$  alkyl group such as methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, sec-pentyl, isopentyl, neopentyl or n-hexyl. The number of the substituents  
10       is 1 to 3.

      The  $C_{1-6}$  alkyl group for  $R^{20}$  as mentioned above includes methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, sec-pentyl, isopentyl, neopentyl or n-hexyl. The  $C_{6-14}$  aryl group  
15       for  $R^{20}$  includes phenyl, 1-naphthyl or 2-naphthyl. The substituent for the amino group of  $R^{20}$  includes a  $C_{1-6}$  alkyl group as mentioned above. The number of the substituents is 1 to 2.

      The  $C_{3-6}$  cycloalkyloxy group as mentioned above  
20       includes cyclopropyloxy, cyclobutyloxy, cyclopentyloxy or cyclohexyloxy.

      The  $C_{1-6}$  alkylcarbonyloxy group includes acetyloxy, propionyloxy, butyryloxy, isobutyryloxy, pentanoyloxy or hexanoyloxy.

25       The  $C_{6-14}$  arylcarbonyloxy group includes phenylcarbonyloxy.

      The substituent for the carbamoyloxy includes a  $C_{1-6}$  alkyl group such as methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl,  
30       n-pentyl, sec-pentyl, isopentyl, neopentyl or n-hexyl. The number of the substituents for the carbamoyloxy is 1 or 2.

      The substituent for the amino group includes (1) a  $C_{1-6}$  alkyl group (e.g. methyl, ethyl, propyl), (2) a  $C_{1-6}$   
35       alkyl-carbonyl group (e.g. methylcarbonyl,

ethylcarbonyl), (3) a C<sub>1-6</sub> alkylsulfonyl group (e.g. methylsulfonyl, ethylsulfonyl) or (4) aminocarbonyl which may optionally be substituted with one or two C<sub>1-6</sub> alkyl groups, in which the alkyl group has the same meaning as mentioned for the substituent for the carbamoyloxy group. The number of the substituents is 1 to 2.

The C<sub>1-6</sub> alkyl group for R<sup>21</sup> or R<sup>22</sup> has the same meaning as mentioned for the substituent for the carbamoyloxy group.

The C<sub>1-6</sub> alkoxy group for R<sup>21</sup> or R<sup>22</sup> includes methoxy or ethoxy. The C<sub>1-6</sub> alkylthio group for R<sup>21</sup> or R<sup>22</sup> includes methylthio or ethylthio.

Q<sup>2</sup> is preferably an optionally cyclic group.

Among them, (1) a C<sub>6-14</sub> aryl group such as phenyl, 1-naphthyl or 2-naphthyl, in which the aryl group may optionally be substituted with one to five halogen atoms, (2) pyridyl such as 2-, 3- or 4-pyridyl, (3) pyrrolyl such as 1-pyrrolyl, (4) thiazolyl such as 2-, 4- or 5-thiazolyl, (5) piperidyl such as 1-piperidyl, (6) morphorinyl such as 4-morphorinyl, (7) imidazopyridyl, and (8) a C<sub>3-6</sub> cycloalkyl group such as cyclohexyl are preferable. Especially preferable Q<sup>2</sup> is phenyl which may optionally be substituted with one to three halogen atoms.

The group bonded through a carbon atom, a nitrogen atom, an oxygen atom, a sulfur atom or a phosphorus atom for R<sup>3</sup> or R<sup>4</sup> has the same meaning as defined above. Among them, hydroxy, amino, cyano, sulfamoyl, sulfamoyloxy, mercapto, nitro, halogen, an organic residue or sulfo group is preferable.

The halogen or the organic residue has the same meaning as defined for Q<sup>1</sup>.

R<sup>3</sup> or R<sup>4</sup> is preferably (1) a hydrogen atom, (2) hydroxy, (3) an optionally substituted C<sub>1-6</sub> alkyl group

(e.g. methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, etc.), (4) an optionally substituted C<sub>3-14</sub> cycloalkyl group (e.g. cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, etc.), (5) an optionally substituted C<sub>2-6</sub> alkenyl group (e.g. allyl, isopropenyl, isobutenyl, 1-methylallyl, 2-pentenyl, 2-hexenyl, etc.), (6) an optionally substituted C<sub>2-6</sub> alkynyl group (e.g. propargyl, 2-butyne, 3-butyne, 3-pentyne, 3-hexyne, etc.), (7) an optionally substituted C<sub>1-6</sub> alkoxy group (e.g. methoxy, ethoxy, n-propoxy, isopropoxy, n-butoxy, isobutoxy, sec-butoxy, tert-butoxy, n-pentyloxy, sec-pentyloxy, isopentyloxy, neopentyloxy, etc.), (8) an optionally substituted C<sub>2-6</sub> alkenyloxy group (e.g. allyloxy, isopropenyloxy, isobutenyloxy, etc.), (9) an optionally substituted C<sub>2-6</sub> alkynyloxy group (e.g. propargyloxy, 2-butyne, 3-butyne, etc.), (10) an optionally substituted C<sub>6-14</sub> aryl group (e.g. phenyl, 1-naphthyl, 2-naphthyl, etc.), (11) a C<sub>7-19</sub> aralkyl group (e.g. phenyl-C<sub>1-4</sub> alkyl such as benzyl, phenethyl or phenylpropyl; benzhydryl; trityl, etc.), (12) an optionally substituted C<sub>6-14</sub> aryloxy group (e.g. phenoxy, naphthyloxy, etc.), (13) an optionally substituted carbamoyloxy group, (14) a C<sub>1-20</sub> acyl group (e.g. C<sub>1-7</sub> alkanoyl such as formyl, acetyl, propionyl, butyryl, isobutyryl, pentanoyl, hexanoyl or heptanoyl, which may optionally be substituted with one to three halogen atoms such as fluorine; C<sub>6-14</sub> arylcarbonyl such as benzoyl or naphthalenecarbonyl; C<sub>1-6</sub> alkoxycarbonyl such as methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, isopropoxycarbonyl, butoxycarbonyl, isobutoxycarbonyl, sec-butoxycarbonyl, or tert-butoxycarbonyl; C<sub>6-14</sub> aryloxy carbonyl such as phenoxycarbonyl; C<sub>7-19</sub> aralkylcarbonyl such as phenyl-C<sub>1-4</sub> alkylcarbonyl, e.g. benzylcarbonyl,



phenethylcarbonyl, phenylpropylcarbonyl; C<sub>7-19</sub> aralkyloxy carbonyl such as benzyloxy carbonyl, etc.), (15) an optionally substituted amino group, (16) an optionally substituted carbamoyl group, (17) an optionally substituted thiocarbamoyl group, (18) a group of the formula -S(O)<sub>n</sub>-R<sup>23</sup> wherein n is 0, 1 or 2 and R<sup>23</sup> represents a hydrogen atom, an optionally substituted C<sub>1-6</sub> alkyl group (e.g. methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, etc.), a C<sub>6-14</sub> aryl group (e.g. phenyl, naphthyl), an optionally substituted amino group or a C<sub>1-20</sub> acyl group (e.g. acyl group as mentioned above), (19) a C<sub>1-6</sub> alkylcarbonyloxy group (e.g. acetyloxy, propionyloxy, butyryloxy, isobutyryloxy, pentanoyloxy, hexanoyloxy, etc.), (20) a C<sub>1-6</sub> alkylsulfonyloxy group (e.g. methylsulfonyloxy, etc.), (21) a group of the formula -N=CR<sup>24</sup>R<sup>25</sup> wherein R<sup>24</sup> and R<sup>25</sup> are the same or different, and each represents a hydrogen atom, a C<sub>1-6</sub> alkyl group (e.g. methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, etc.) or a C<sub>1-6</sub> alkoxy group (e.g. methoxy, ethoxy, etc.), (22) a 5- or 6-membered heterocyclic group bonded through a nitrogen atom or condensed ring group thereof with benzene ring or a 5- or 6-membered heterocyclic ring (e.g. the 5- or 6-membered heterocyclic group bonded through a nitrogen atom or condensed ring group thereof with benzene ring or a 5- or 6-membered heterocyclic ring as defined for Q<sup>2</sup>), or (23) a group of the formula -PO(R<sup>26</sup>)<sub>2</sub> wherein R<sup>26</sup> represents a C<sub>1-6</sub> alkoxy group (e.g. methoxy, ethoxy, n-propoxy, isopropoxy, n-butoxy, isobutoxy, sec-butoxy, tert-butoxy, n-pentyloxy, sec-pentyloxy, isopentyloxy, neopentyloxy, etc.).

The substituent of the C<sub>1-6</sub> alkyl group for R<sup>3</sup>, R<sup>4</sup> or R<sup>23</sup>, or the substituent of the C<sub>3-14</sub> cycloalkyl group,

C<sub>1-6</sub> alkoxy group, C<sub>2-6</sub> alkenyl group, C<sub>2-6</sub> alkynyl group, C<sub>2-6</sub> alkenyloxy group, or C<sub>2-6</sub> alkynyloxy group for R<sup>3</sup> or R<sup>4</sup> includes hydroxy, carboxy, cyano, halogen (e.g. fluorine, chlorine, bromine, iodine), a C<sub>1-6</sub> alkoxy group (e.g. methoxy, ethoxy, n-propoxy, isopropoxy, n-butoxy, isobutoxy, sec-butoxy, tert-butoxy, n-pentyloxy, sec-pentyloxy, isopentyloxy, neopentyloxy, etc.), a C<sub>1-6</sub> alkylthio group (e.g. methylthio, ethylthio, propylthio, etc.), a C<sub>1-6</sub> alkylsulfonyl group (e.g. methylsulfonyl, ethylsulfonyl, propylsulfonyl, etc.), a C<sub>1-20</sub> acyl group (e.g. C<sub>1-7</sub> alkanoyl such as formyl, acetyl, propionyl, butyryl, isobutyryl, pentanoyl, hexanoyl or heptanoyl; C<sub>6-14</sub> arylcarbonyl such as benzoyl or naphthalenecarbonyl; C<sub>1-6</sub> alkoxy carbonyl such as methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, isopropoxycarbonyl, butoxycarbonyl, isobutoxycarbonyl, sec-butoxycarbonyl, or tert-butoxycarbonyl; C<sub>6-14</sub> aryloxycarbonyl such as phenoxycarbonyl; C<sub>7-19</sub> aralkylcarbonyl such as phenyl-C<sub>1-4</sub> alkylcarbonyl, e.g. benzylcarbonyl, phenethylcarbonyl, phenylpropylcarbonyl; C<sub>7-19</sub> aralkyloxycarbonyl such as benzyloxycarbonyl, etc.), a C<sub>6-14</sub> aryl group (e.g. phenyl, naphthyl, etc.), a C<sub>1-7</sub> alkanoyloxy group (e.g. formyloxy, acetyloxy, propionyloxy, butyryloxy, isobutyryloxy, pentanoyloxy, hexanoyloxy, heptanoyloxy, etc.), or a C<sub>1-6</sub> alkylimino (e.g. methylimino, ethylimino, etc.). The number of the substituent is 1 to 3.

The substituent of the C<sub>6-14</sub> aryl group, C<sub>6-14</sub> aryloxy group or C<sub>3-14</sub> cycloalkyl group for R<sup>3</sup> or R<sup>4</sup> is preferably nitro, amino, hydroxy, cyano, sulfamoyl, mercapto, carboxy, halogen (e.g. fluorine, chlorine, bromine, iodine), a C<sub>1-4</sub> alkyl group (e.g. methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl etc.) or a C<sub>1-6</sub> alkoxy group (e.g.

methoxy, ethoxy, etc.). The number of the substituent is 1 to 5, preferably 1 to 3.

The substituent of amino for  $R^3$ ,  $R^4$ , or  $R^{23}$ , or the substituent of carbamoyl, thicarbamoyl or carbamoyloxy is preferably a  $C_{1-6}$  alkyl group (e.g. methyl, ethyl), a  $C_{3-6}$  cycloalkyl group (e.g. cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl), a  $C_{7-19}$  aralkyl group (e.g. phenyl- $C_{1-4}$  alkyl such as benzyl), a  $C_{1-7}$  alkanoyl group (e.g. formyl, acetyl, propionyl, butyryl, isobutyryl, pentanoyl, hexanoyl, heptanoyl, etc.), a  $C_{6-14}$  arylcarbonyl group (e.g. benzoyl, naphthalenecarbonyl, etc.), a  $C_{1-6}$  alkoxycarbonyl group (e.g. methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, isopropoxycarbonyl, butoxycarbonyl, isobutoxycarbonyl, sec-butoxycarbonyl, tert-butoxycarbonyl, etc.), a  $C_{6-14}$  aryloxycarbonyl group (e.g. phenoxycarbonyl, etc.), a  $C_{7-19}$  aralkylcarbonyl group (e.g. phenyl- $C_{1-4}$  alkylcarbonyl such as benzylcarbonyl, phenethylcarbonyl, phenylpropylcarbonyl, etc.), carbamoyl which may optionally be substituted one or two  $C_{1-6}$  alkyl groups (e.g. methyl, ethyl, propyl, etc.), or a  $C_{1-6}$  alkylsulfonyl group (e.g. methylsulfonyl, ethylsulfonyl, propylsulfonyl, etc.).

The number of such a substituent is one to two.

$R^3$  or  $R^4$  is preferably (1) a  $C_{1-6}$  alkyl group which may optionally be substituted with one to three substituents selected from the group consisting of hydroxy, carboxy, halogen, a  $C_{1-6}$  alkoxy group, a  $C_{1-6}$  alkoxycarbonyl group and a  $C_{1-7}$  alkanoyloxy group, (2) a  $C_{1-6}$  alkoxy group, (3) a  $C_{6-14}$  aryl group, (4) di- $C_{1-6}$  alkylamino group, (5) a  $C_{1-7}$  alkanoyl group, (6) N-di- $C_{1-6}$  alkylcarbamoyl group, or (7) a group of the formula  $-SO_2-R^{24}$  wherein  $R^{24}$  represents a  $C_{1-6}$  alkyl group which may optionally be substituted with one to three halogen atoms.

W is preferably O.

Among the compound as mentioned above, preferred is a compound (I), (II), (III) or (IV) wherein  $Q^1$  represents (1) a  $C_{6-14}$  aryl group, (2) a pyridyl group, (3) a thienyl group or (4) a benzofuryl group, wherein each of said groups may optionally be substituted with one to three substituents selected from the group consisting of (i) halogen, (ii) hydroxy, (iii) a  $C_{1-6}$  alkyl group which may optionally be substituted with one to three substituents selected from the group consisting of halogen, cyano, a  $C_{1-6}$  alkoxy group and a  $C_{1-6}$  alkylthio group, (iv) a  $C_{1-6}$  alkoxy group which may optionally be substituted with one to three substituents selected from the group consisting of cyano and halogen, (v) amino which may optionally be substituted with one or two  $C_{1-6}$  alkyl groups, (vi) benzyloxy, (vii) a  $C_{1-6}$  alkylthio group which may optionally be substituted with one to three substituents selected from the group consisting of cyano and halogen, (viii) a  $C_{1-6}$  alkylsulfinyl group, (ix) a  $C_{1-6}$  alkylsulfonyl group, (x) a  $C_{6-14}$  aryloxy group, (xi) a  $C_{1-6}$  alkylsulfonyloxy group and (xii) a  $C_{1-6}$  alkoxy-carbonyloxy group;

$R^1$  represents a hydrogen atom or a  $C_{1-3}$  alkyl group;

$R^2$  represents a  $C_{1-3}$  alkyl group which may optionally be substituted with one to three halogen atoms; or  $R^1$  and  $R^2$  may form a  $C_{3-7}$  cycloalkane ring together with the adjacent carbon atom;

A represents (1) a methylene group which may optionally be substituted with one or two halogen atoms or  $C_{1-6}$  alkyl groups, (2) a carbonyl group or (3) a thiocarbonyl group;

B represents a methylene group which may optionally be substituted with one or two  $C_{1-6}$  alkyl groups;

$Q^2$  represents (1) hydroxy,

- (2) a C<sub>1-6</sub> alkoxy group,
- (3) (i) a C<sub>6-14</sub> aryl group, (ii) a pyridyl group, (iii) a pyrrolyl group, (iv) a thiazolyl group, (v) a piperidyl group, (vi) a morpholinyl group, (vii) a imidazopyridyl group, (viii) a pyrrolidinyl group, (ix) a C<sub>3-14</sub> cycloalkyl group, or (x) a C<sub>3-14</sub> cycloalkenyl group, wherein each of said groups may optionally substituted with one to four halogen atoms,
- (4) a C<sub>1-6</sub> alkyl group which may optionally be substituted with one to three C<sub>1-6</sub> alkoxyimino groups,
- (5) a C<sub>2-6</sub> alkenyl group,
- (6) an acyl group selected from the group consisting of a C<sub>1-6</sub> alkyl-carbonyl group, a C<sub>6-14</sub> arylcarbonyl group and a C<sub>1-6</sub> alkoxy carbonyl group,
- (7) a carbamoyl group which may optionally be substituted with one or two C<sub>1-6</sub> alkyl groups,
- (8) an amidino group which may optionally be substituted with one to three C<sub>1-6</sub> alkyl groups,
- (9) a group of -S(O)<sub>n</sub>R<sup>20</sup> wherein n is 0, 1 or 2, and R<sup>20</sup> represents a C<sub>1-6</sub> alkyl group, a C<sub>6-14</sub> aryl group or an amino group which may optionally be substituted with one or two C<sub>1-6</sub> alkyl groups,
- (10) a C<sub>3-6</sub> cycloalkyloxy group,
- (11) a C<sub>1-6</sub> alkylcarbonyloxy group,
- (12) a C<sub>6-14</sub> arylcarbonyloxy group,
- (13) a carbamoyloxy group which may optionally be substituted with one or two C<sub>1-6</sub> alkyl groups,
- (14) amino which may optionally be substituted with one or two substituents selected from the group consisting of (i) a C<sub>1-6</sub> alkyl group, (ii) a C<sub>1-6</sub> alkyl-carbonyl group, (iii) a C<sub>1-6</sub> alkylsulfonyl group and (iv) aminocarbonyl which may optionally be substituted with one or two C<sub>1-6</sub> alkyl groups, or
- (15) a group of -N=CR<sup>21</sup>R<sup>22</sup> wherein R<sup>21</sup> and R<sup>22</sup> are the same or different, and each represents a hydrogen atom,

a C<sub>1-6</sub> alkyl group or a C<sub>1-6</sub> alkoxy group;  
R<sup>3</sup> and R<sup>4</sup> are the same or different, and each  
represents

- (1) a hydrogen atom,
- 5 (2) hydroxy,
- (3) a C<sub>1-6</sub> alkyl group which may optionally be  
substituted with one to three substituents selected  
from the group consisting of (i) carboxyl, (ii) cyano,  
10 (iii) halogen, (iv) a C<sub>1-6</sub> alkoxy group, (v) a C<sub>1-6</sub>  
alkylthio group, (vi) a C<sub>1-6</sub> alkylsulfonyl group, (vii)  
a C<sub>1-7</sub> alkanoyl group, (viii) a C<sub>1-6</sub> alkoxy carbonyl  
group, (ix) a C<sub>6-14</sub> aryl group, (x) a C<sub>1-6</sub> alkylimino  
group, and (xi) hydroxy,
- (4) a C<sub>3-14</sub> cycloalkyl group,
- 15 (5) a C<sub>2-6</sub> alkenyl group,
- (6) a C<sub>2-6</sub> alkynyl group,
- (7) a C<sub>1-6</sub> alkoxy group which may optionally be  
substituted with one to three C<sub>1-6</sub> alkoxy groups,
- (8) a C<sub>2-6</sub> alkenyloxy group,
- 20 (9) a C<sub>2-6</sub> alkynyloxy group,
- (10) a C<sub>6-14</sub> aryl group,
- (11) a C<sub>7-19</sub> aralkyl group,
- (12) carbamoyloxy which may optionally be substituted  
with one or two C<sub>1-6</sub> alkyl groups,
- 25 (13) an acyl group selected from the group consisting  
of (i) a C<sub>1-7</sub> alkanoyl group which may optionally be  
substituted with one to three halogen atoms, (ii) a C<sub>1-6</sub>  
alkoxy carbonyl group and (iii) a C<sub>7-19</sub>  
aralkyloxy carbonyl group,
- 30 (14) amino which may optionally be substituted with one  
or two substituents selected from the group consisting  
of (i) a C<sub>1-6</sub> alkyl group, (ii) a C<sub>1-7</sub> alkanoyl group,  
(iii) carbamoyl which may optionally be substituted  
with one or two C<sub>1-6</sub> alkyl groups and (iv) a C<sub>1-6</sub>

alkylsulfonyl group,

(15) carbamoyl which may optionally be substituted with one or two C<sub>1-6</sub> alkyl groups,

(16) thiocarbamoyl which may optionally be substituted with one or two C<sub>1-6</sub> alkyl groups,

(17) a group of -S(O)<sub>n</sub>-R<sup>23</sup> wherein n is 0, 1 or 2, and R<sup>23</sup> represents (i) a C<sub>1-6</sub> alkyl group which may optionally be substituted with one to three halogen atoms, (ii) a C<sub>6-14</sub> aryl group, (iii) amino which may optionally be substituted with one or two substituents selected from the group consisting of a C<sub>1-6</sub> alkyl group and a C<sub>1-6</sub> alkoxy carbonyl group, and (iv) a C<sub>1-6</sub> alkoxy carbonyl group,

(18) a C<sub>1-6</sub> alkylcarbonyloxy group,

(19) a C<sub>1-6</sub> alkylsulfonyloxy group,

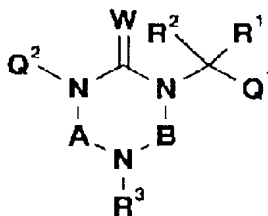
(20) a group of -N=CR<sup>24</sup>R<sup>25</sup> wherein R<sup>24</sup> and R<sup>25</sup> are the same or different, and each represents a hydrogen atom, a C<sub>1-6</sub> alkyl group or a C<sub>1-6</sub> alkoxy group,

(21) a pyrrolidinyl group or a morpholinyl group, or

(22) a group of -PO(R<sup>26</sup>)<sub>2</sub> wherein R<sup>26</sup> represents a C<sub>1-6</sub> alkoxy group.

Among the compounds (I)-(IV) as mentioned above, Compound (I) or (II) is especially preferable.

Especially preferred compound is a compound represented by the formula:



wherein Q<sup>1</sup> represents a C<sub>6-10</sub> aryl group which may optionally be substituted with one to three substituents selected from the group consisting of (1) halogen, (2) a C<sub>1-4</sub> alkyl group which may optionally be substituted with one to five halogen atoms, (3) a C<sub>1-4</sub>

alkoxy group which may optionally be substituted with one to five halogen atoms, (4) a C<sub>1-4</sub> alkylthio group which may optionally be substituted with one to five halogen atoms and (5) an amino group which may  
5 optionally be substituted with one or two C<sub>1-4</sub> alkyl groups; Q<sup>2</sup> represents phenyl which may optionally be substituted with one to three halogen atoms; R<sup>1</sup> and R<sup>2</sup> are the same or different and each represents methyl which may optionally be substituted with one to three  
10 halogen atoms; R<sup>3</sup> represents a C<sub>1-4</sub> alkyl group, a C<sub>2-4</sub> alkenyl group, a C<sub>2-4</sub> alkynyl group or a C<sub>1-4</sub> alkoxy group; A and B are the same or different and each represents methylene which may optionally be substituted with one or two C<sub>1-4</sub> alkyl groups which may  
15 optionally be substituted with one to three halogen atoms; and W represents O.

When the compounds of the present invention contain acidic groups such as sulfo and carboxy in substituents, they may form agrochemically acceptable  
20 salts with bases such as inorganic or organic bases, and when the compounds contain the basic nitrogen atom and basic groups such as amino in substituents, they may form agrochemically acceptable acid addition salts with inorganic or organic acids.

25 The salt of compound (Ia) with an inorganic base includes salts with alkali metals (e.g. sodium, potassium, etc.), alkaline earth metals (e.g. calcium etc.), and ammonia, and the salt of compound (Ia) with an organic base includes salts with dimethylamine,  
30 triethylamine, piperazine, pyrrolidine, piperidine, 2-phenylethylamine, benzylamine, ethanolamine, or diethanolamine.

The inorganic acid addition salt of compound (Ia) includes salts with hydrochloric acid, hydrobromic  
35 acid, sulfuric acid, nitric acid, and phosphoric acid, and the organic acid addition salt of compound (Ia)



includes salts with p-toluenesulfonic acid, methanesulfonic acid, formic acid and trifluoroacetic acid.

The compound or a salt thereof of the present invention can be used as a highly safe agrochemical typically herbicide. It is especially of value as a herbicide, since the compound or salt exhibits a broad spectrum against weeds, such as those listed below, at low concentrations substantially without doing any harm to crop plants such as rice, wheat, barley, maize, soybean, and other useful plants, that is to say with high safety. The list of weeds which can be controlled includes paddy field weeds such as Echinochloa crus-galli var. oryzicola, Cyperus difformis Linnaeus, Scirpus juncoides Roxburgh var. hotarui Ohwi, Monochoria vaginalis Presl, Sagittaria pygmaea Miquel, Eleocharis acicularis Römer et Schultes [Scirpus acicularis Linnaeus], Cyperus serotinus Rottboell [Juncus serotinus Clarke], Eleocharis kuroguwai Ohwi [Eleocharis tuberosa Schultes var. kuroguwai Makino], Alisma canaliculatum A. Braun et Bouché, Sagittaria trifolia Linnaeus, Fimbristylis subbispicata, Lindernia pyxidaria Linnaeus, Rotala indica, Potamogeton distinctus A. Bennett, Jussiaea prostrata Léveillé [Ludwigia prostrata Roxburgh], Elatine triandra Schkuhr [Elatine orientalis Makino], etc. and plow land weeds such as Digitaria sanguinalis Scopoli [Digitaria adscendens Henrard], Setaria viridis Beauvois, Amaranthus retroflexus Linnaeus, Indian mallow, Chenopodium album var. centrorubrum, Polygonum blumei Meisner [Persicaria blumei Gross], Portulaca oleracea Linnaeus, American kingofiga, Datura alba, Ipomoea purpurea, Xanthium strumarium, Echinochloa crus-galli var. praticola, ohkusakibi, Sorghum halepense, Cyperus rotundus Linnaeus, Avena fatua, black grass, umanochahiki, Stellaria media Villars, mustard plant,

Cassia obtusifolia, Matricaria chamomilla, Commelina communis, etc. The compound or a salt thereof of the invention shows a high selectivity against crop-weeds in its action, and is least toxic to mammals, fishes and shellfishes and can be used very safely as a herbicide for the paddy field, plow land, orchard, and non-crop land without polluting the environment.

For application of the compound or a salt thereof of the present invention as an agrochemical, particularly a herbicide, it can be used in any application form that is known or available for agrochemicals in general. Thus, according to the intended mode of use, one or more species of the compound or a salt thereof of the present invention are dissolved or dispersed in a suitable liquid vehicle or admixed with, or adsorbed on, a suitable solid carrier for use as an emulsifiable liquids, an oil-soluble, a spray, wettable powders, dusts, driftless (DL) powders, granules, fine granules, fine granules F, flowables, dry flowables, jumbo granules, and tablets. Those application forms may be optionally supplemented with an emulsifier, dispersant, spreader, penetrating agent, wetting agent, mucilage, stabilizer, etc. and can be manufactured by the per se known production technique.

The liquid vehicle (solvent) that can be used includes water, alcohols (e.g. methanol, ethanol, 1-propanol, 2-propanol, ethylene glycol, etc.), ketones (e.g. acetone, methyl ethyl ketone, etc.), ethers (e.g. dioxane, tetrahydrofuran, ethylene glycol monomethyl ether, diethylene glycol monomethyl ether, propylene glycol monomethyl ether, etc.), aliphatic hydrocarbons (e.g. kerosene, fuel oil, machine oil, etc.), aromatic hydrocarbons (e.g. benzene, toluene, xylene, solvent naphtha, methylnaphthalene, etc.), halogenated hydrocarbons (e.g. dichloromethane, chloroform, carbon tetrachloride, etc.), acid amides (e.g. N,N-

dimethylformamide, N,N-dimethylacetamide, etc.), esters (e.g. ethyl acetate, butyl acetate, fatty acid glycerol esters, etc), and nitriles (e.g. acetonitrile, propionitrile, etc.). Those solvents can be used  
5 either singly or in combination.

The solid carrier (diluent/volume builder) includes vegetable powders (e.g. soybean meal, tobacco flour, wheat flour, sawdust, etc.), mineral powders (e.g. clays such as kaolin, bentonite, acid clay, and  
10 clay, and talcs such as talc powder, pyrophyllite (agalmatolite) powder, silicas such as diatomaceous earth, mica powder, etc.), alumina, sulfur powder, activated carbon, etc. Those materials can be used either singly or in combination.

15 The liquid vehicle or solid carrier is used in a proportion of generally about 1 to 99 weight % and preferably about 1 to 80 weight % based on the whole composition.

The surfactant which can be used as said  
20 emulsifier, spreader, penetrating agent, or dispersant as necessary are not limited but includes nonionic and anionic surfactants such as soaps, polyoxyethylene alkyl aryl ethers [e.g. Noigen<sup>TM</sup> and E•A142<sup>TM</sup> (TM stands for trademark and the same applies below; Dai-ichi Kogyo  
25 Seiyaku Co. Ltd.)], polyoxyethylene aryl esters (e.g. Nonal<sup>TM</sup>; Toho Chemical), alkyl sulfates (e.g. Emal 10<sup>TM</sup>, Emal 40<sup>TM</sup>; Kao Corporation), alkylbenzenesulfonic acid salts (e.g. Neogen<sup>TM</sup>, Neogen T<sup>TM</sup>, Dai-ichi Kogyo Seiyaku Co. Ltd.; Neopelex<sup>TM</sup>, Kao Corporation), polyethylene  
30 glycol ethers (e.g. Nonipol 85<sup>TM</sup>, Nonipol 100<sup>TM</sup>, Nonipol 160<sup>TM</sup>; Sanyo Chemical Industries, Ltd.), and polyhydric alcohol esters (e.g. Tween 20<sup>TM</sup>, Tween 80<sup>TM</sup>; Kao Corporation).

The surfactant can be used in a proportion of  
35 generally about 0.1% to about 50% and preferably about

0.1% to about 25% with respect to the whole composition.

The preferred concentration of the compound or a salt thereof of the present invention in herbicidal compositions is about 1 to 90 weight % for emulsifiable liquids and wettable powders, about 0.01 to 10 weight % for oil-solubles, dusts, and DL powders, and about 0.05 to 10 weight % for fine granules F and granules, although the concentration may be adjusted according to the intended application. Emulsifiable liquids and wettable powders may be diluted (for example, 100-100,000-fold) with water or the like in advance in the field and applied.

The amount of the compound or salt of the present invention for use as a herbicide varies with the type of field, season, application method, weeds to be controlled, and crop plants under cultivation. Generally, however, the proper amount in terms of the active ingredient, i.e. compound (Ia) or its salt is: about 0.05 to 50 g, preferably about 0.1 to 10 g, per are of paddy field, or about 0.05 to 50 g, preferably about 0.1 to 10 g, per are of plow land.

For the control of paddy field weeds, the compound or a salt thereof of the present invention can be used with advantage as a preemergence soil treatment or a leaf-stem-soil treatment. For example, the herbicidal composition of the present invention can be safely applied without harm to crop plants immediately after transplanting of rice seedlings or even 2-3 weeks after the transplanting, with a lasting herbicidal effect.

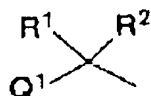
The agrochemical composition containing the compound or a salt thereof of the present invention can be supplemented, where necessary, with other herbicides, plant growth regulators, germicides (e.g. organochlorine fungicides, organosulfur fungicides, azole fungicides, antibiotics, etc.), insecticides

(e.g. pyrethroid insecticides, organophosphorus insecticides, carbamate insecticides, etc.), acaricides, nematocides, synergists, attractants, repellents, pigments, and fertilizers. As said other  
5 herbicides, there can be mentioned (1) sulfonylurea herbicides [bensulfuron-methyl, pyrazosulfuron-ethyl, imazosulfuron, sulfosulfuron, cinosulfuron, azimsulfuron, halosulfuron, ethoxysulfuron, 1-(2-cyclopropylcarbonylphenylsulfamoyl)-3-(4,6-  
10 dimethoxypyrimidin-2-yl)urea, etc.], (2) pyrazole herbicides [pyrazolate, pyrazoxyfen, benzofenap, etc.], (3) carbamate herbicides [benthiocarb, molinate, esprocarb, pyributycarb, dimepiperate, swep, etc.], (4) chloroacetanilide herbicides [butachlor, pretilachlor, thenylchlor, etc.], (5) diphenyl ether herbicides  
15 [chloromethoxynil, bifenox, etc.], (6) triazine herbicides [simetryn, dimethametryn, etc.], (7) phenoxy acid herbicides [2,4-PA, MCP, MCPB, phenothiol, etc.], (8) acid amide or urea herbicides [mefenacet, chlomeprop, naproanilide, bromobutide, dymron, cumylron, ethobenzanide, 3-(1-(3,5-dichlorophenyl)-1-methylethyl)-2,3-dihydro-6-methyl-5-phenyl-4H-1,3-oxazin-4-one, etc.], (9) organophosphorus herbicides [piperophos, butamifos, anilofos, etc.]. (10) As  
25 herbicides in other series, there can be mentioned bentazon, benfuresate, oxadiazon, oxadiargyl, pentoxazon, cyhalohop butyl, cafenstrol, piriminovac methyl, bispyrivac sodium, 1-(2-chlorophenyl)-4-(N-cyclohexyl-N-ethylcarbamoyl)-5(4H)-tetrazolinone, 2-(2-(3-chlorophenyl)-2,3-epoxypropyl)-2-ethylindan-1,3-dione, ACN, etc.

The compound or a salt thereof of the present invention can also be used as a pesticide or germicide in the same manner as above.

35 The compound (Ia) or a salt thereof of the present invention can be synthesized by a process in which a

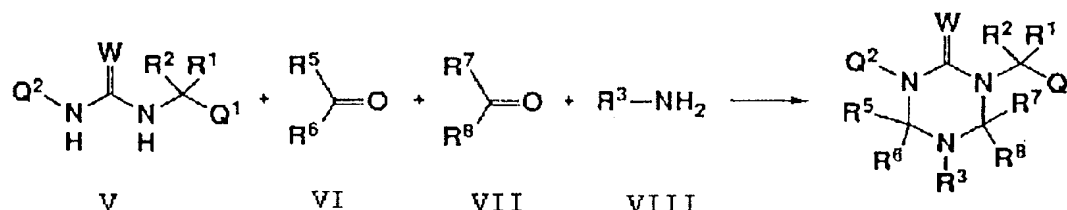
urea or thiourea compound, or a salt thereof, which has a group of the formula:



wherein the respective symbols have the same meanings, on the ring-forming nitrogen atoms is subjected to a cyclization reaction.

More specifically, said compound (Ia) or a salt thereof can be produced in accordance with the following reaction schemes 1 to 9. As regards salts of the following compounds, those of the same kinds as the salt of compound (Ia) described hereinbefore can be employed.

Reaction Scheme 1



wherein  $R^7$  and  $R^8$  are the same or different and each represents hydrogen or an optionally substituted hydrocarbon group, and the other symbols have the same meanings as defined hereinbefore.

The optionally substituted hydrocarbon group for  $R^7$  and  $R^8$  has the same meanings as defined for  $R^5$  and  $R^6$ .

This reaction is an addition-condensation reaction among compound (V), (VI), (VII) and (VIII).

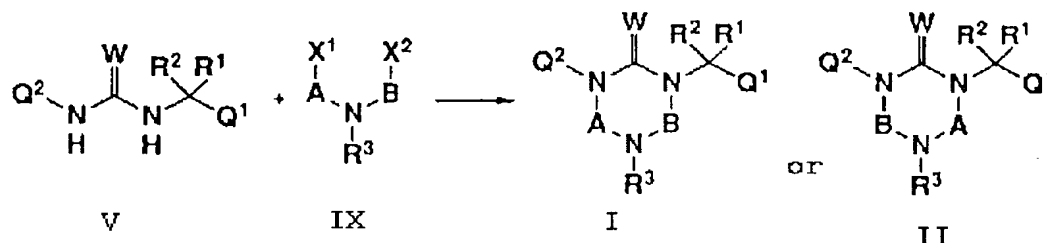
For this reaction, relative to compound (V) or a salt thereof, generally about equimolar to a large excess of compound (VI), (VII) and (VIII) or a salt thereof is used. This reaction can be carried out in a solvent that does not interfere with the reaction. The solvent suitable for this reaction includes aromatic

hydrocarbons such as benzene, toluene, xylene, etc., halogenated hydrocarbons such as dichloromethane, chloroform, carbon tetrachloride, 1,2-dichloroethane, etc., ethers such as ethyl ether, isopropyl ether, di-  
 5 oxane, tetrahydrofuran (hereinafter briefly, THF), 1,2-dimethoxyethane, etc., nitriles such as acetonitrile, propionitrile, etc., ketones such as acetone, methyl ethyl ketone, methyl isobutyl ketone, etc., esters such as ethyl acetate, butyl acetate, etc., amides such as  
 10 N,N-dimethylformamide (hereinafter briefly, DMF), N,N-dimethylacetamide, etc., dimethyl sulfoxide (briefly, DMSO), water, and mixtures of such solvents.

The reaction temperature is generally about  $-10^{\circ}\text{C}$  to  $150^{\circ}\text{C}$  and preferably about  $10^{\circ}\text{C}$  to  $100^{\circ}\text{C}$ . The  
 15 reaction goes to completion in about 30 minutes to about 20 hours and the completion of reaction can be ascertained by thin-layer chromatography or high-performance liquid chromatography.

Reaction Scheme 2

20

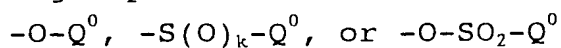


25

wherein  $X^1$  and  $X^2$  each represents a leaving group, and the other symbols have the same meanings as defined hereinbefore.

30

The leaving group represented by  $X^1$  and  $X^2$  includes halogen (e.g. fluorine, chlorine, bromine, etc.) and a group of the formula:



35

wherein  $\text{Q}^0$  and  $k$  have the same meanings as defined hereinbefore, e.g. methoxy, methylsulfonyloxy, trifluoromethylsulfonyloxy, p-toluenesulfonyloxy,

benzenesulfonyloxy, etc. Particularly preferred is halogen, with chlorine or bromine being most advantageous.

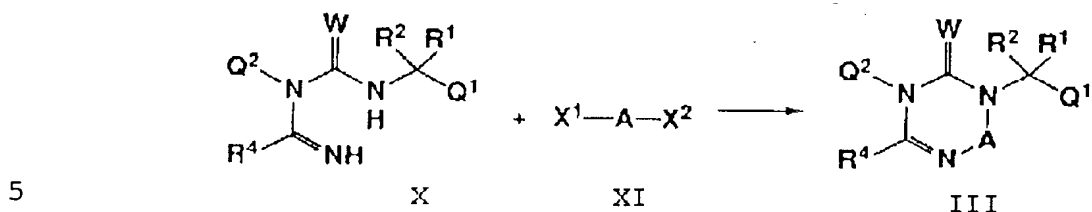
5 This reaction is a condensation reaction between compound (V) and compound (IX).

For this reaction, compound (V) or a salt thereof and compound (IX) or a salt thereof are used generally in a substantially equimolar ratio. This reaction can be carried out in a solvent that does not interfere  
10 with the reaction. The solvent thus may be any of the solvents mentioned for the reaction according to Reaction Scheme 1.

This reaction can be generally promoted by adding a base. The base that can be used for this purpose  
15 includes organic bases such as triethylamine, tri-n-propylamine, N,N-dimethylaniline, pyridine, 1,8-diazabicyclo[5.4.0]-7-undecene (hereinafter briefly, DBU), 1,4-diazabicyclo[2.2.2]octane, 1,5-diazabicyclo[4.3.0]non-5-ene, etc.; inorganic bases  
20 such as sodium hydride, potassium hydroxide, potassium carbonate, sodium carbonate, sodium hydroxide, etc.; n-butyllithium, lithium diisopropylamide, etc. The base can be used generally in a proportion of about 0.01 to 5 moles per mole of compound (V) or a salt thereof.  
25 The reaction temperature is generally about -10°C to 150°C and preferably about 10°C to 100°C. The reaction goes to completion in about 30 minutes to about 20 hours, and the completion of reaction can be  
30 ascertained by thin-layer chromatography or high-performance liquid chromatography.

Reaction Scheme 3





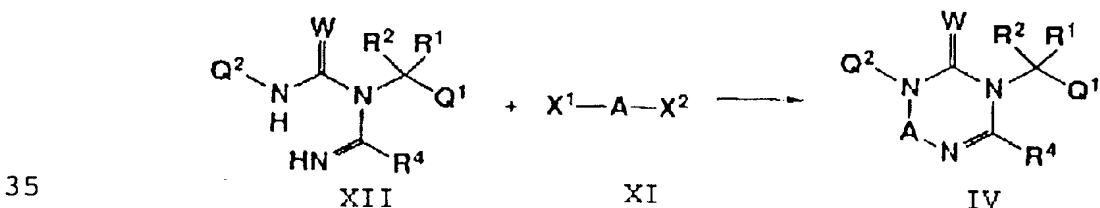
wherein the respective symbols have the same meanings as defined hereinbefore.

10 This reaction is a condensation reaction between compound (X) and compound (XI).

For this reaction, compound (X) or a salt thereof and compound (XI) or a salt thereof are used generally in a substantially equimolar ratio. This reaction can be carried out in a solvent that does not interfere with the reaction, and the solvent that can be used includes the same solvents as those mentioned for the reaction according to Reaction Scheme 1.

15 This reaction can be generally promoted by adding a base. The base that can be used for this purpose includes the same bases as those mentioned for the reaction according to Reaction Scheme 2. The base can be used generally in a proportion of about 0.01 to 5 molar equivalents relative to one molar of compound (X). The reaction temperature is generally about -10°C to 150°C and preferably about 10°C to 100°C. The reaction goes to completion in about 30 minutes to about 20 hours and the completion of reaction can be ascertained by thin-layer chromatography or high-performance liquid chromatography.

20 Reaction Scheme 4



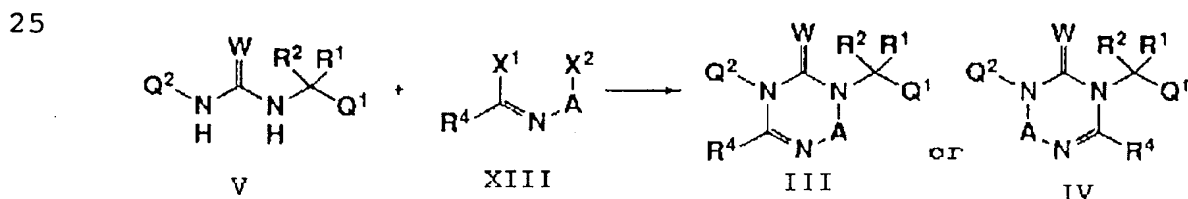
wherein the respective symbols have the same meanings as defined hereinbefore.

This reaction is a condensation reaction between compound (XII) and compound (XI).

5 For this reaction, compound (XII) or a salt thereof and compound (XI) or a salt thereof are used generally in substantially equimolar ratio. This reaction can be carried out in a solvent that does not interfere with the reaction. The solvent which can be used includes the same solvents as those mentioned for the reaction according to Reaction Scheme 1.

This reaction can be generally promoted by adding a base. The base which can be used for this purpose includes the same acids as those mentioned for the reaction according to Reaction Scheme 2. The base can be used generally in a proportion of about 0.01 to 5 moles per mole of compound (XII) or a salt thereof. The reaction temperature is generally about  $-10^{\circ}\text{C}$  to  $150^{\circ}\text{C}$  and preferably about  $10^{\circ}\text{C}$  to  $100^{\circ}\text{C}$ . The reaction goes to completion in about 30 minutes to about 20 hours and the completion of reaction can be ascertained by thin-layer chromatography or high-performance liquid chromatography.

Reaction Scheme 5



wherein the respective symbols have the same meanings as defined hereinbefore.

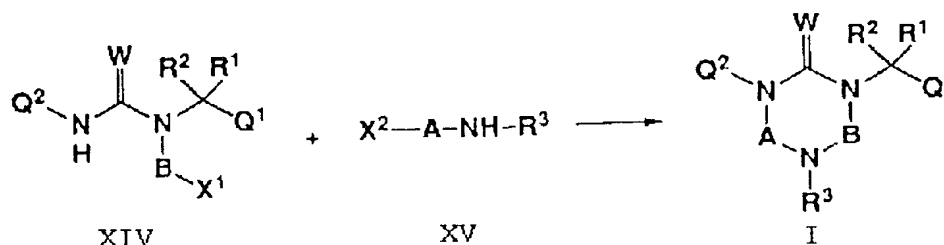
This reaction is a condensation reaction between compound (V) and compound (XIII).

35 For this reaction, compound (V) or a salt thereof and compound (XIII) or a salt thereof are used

generally in a substantially equimolar ratio. This reaction can be carried out in a solvent which does not interfere with the reaction. The solvent that can be used includes the same solvents as those mentioned for the reaction according to Reaction Scheme 1.

This reaction can be generally promoted by adding a base. The base that can be used for this purpose includes the same bases as those mentioned for the reaction according to Reaction Scheme 3. The base can be used generally in a proportion of about 0.01 to 5 moles per mole of compound (V) or a salt thereof. The reaction temperature is generally about  $-10^{\circ}\text{C}$  to  $150^{\circ}\text{C}$  and preferably about  $10^{\circ}$  to  $100^{\circ}\text{C}$ . The reaction goes to completion in about 30 minutes to about 20 hours and the completion of reaction can be ascertained by thin-layer chromatography or high-performance liquid chromatography.

Reaction Scheme 6



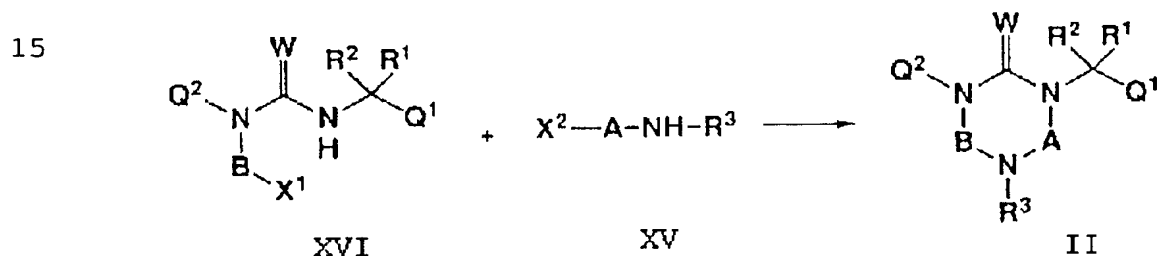
wherein the respective symbols have the same meanings as defined hereinbefore.

This reaction is a condensation reaction between compound (XIV) and compound (XV).

For this reaction, compound (XIV) or a salt thereof and compound (XV) or a salt thereof are used generally in a substantially equimolar ratio. This reaction can be carried out in a solvent that does not interfere with the reaction. The solvent may be any of the solvents mentioned for the reaction according to Reaction Scheme 1.

This reaction can be generally promoted by adding a base. The base that can be used for this purpose includes the same bases as those mentioned for the reaction according to Reaction Scheme 1. The base can be used generally in a proportion of about 0.01 to 5 moles per mole of compound (XIV) or a salt thereof. The reaction temperature is generally about  $-10^{\circ}\text{C}$  to  $150^{\circ}\text{C}$  and preferably about  $10^{\circ}\text{C}$  to  $100^{\circ}\text{C}$ . The reaction goes to completion in about 30 minutes to about 20 hours, and the completing of reaction can be ascertained by thin-layer chromatography or high-performance liquid chromatography.

Reaction Scheme 7



wherein the respective symbols have the same meanings as defined hereinbefore.

This reaction is a condensation reaction between compound (XVI) and compound (XV).

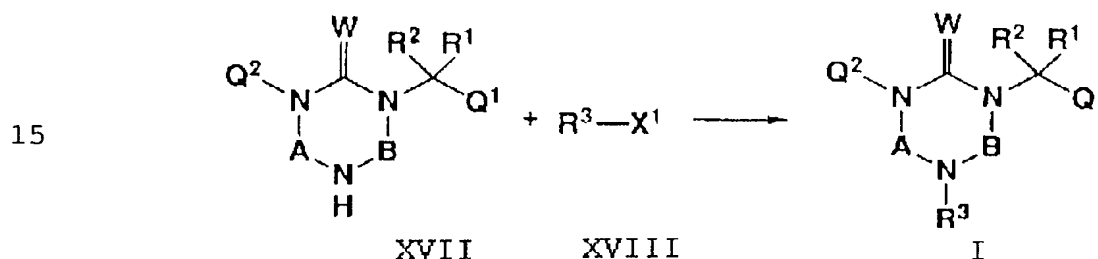
For this reaction, compound (XVI) or a salt thereof and compound (XV) or a salt thereof are used generally in a substantially equimolar ratio. This reaction can be carried out in a solvent which does not interfere with the reaction. The solvent that can be used includes the same solvents as those mentioned for the reaction according to Reaction Scheme 1.

This reaction can be generally promoted by adding a base. The base that can be used for this purpose includes the same bases as those mentioned for the reaction according to Reaction Scheme 2. The base can be used generally in a proportion of about 0.01 to 5

moles per mole of compound (XVI) or a salt thereof.  
 The reaction temperature is generally about  $-10^{\circ}\text{C}$  to  $150^{\circ}\text{C}$  and preferably about  $10^{\circ}\text{C}$  to  $100^{\circ}\text{C}$ . The reaction goes to completion in about 30 minutes to about 20  
 5 hours and the completion of reaction can be ascertained by thin-layer chromatography or high-performance liquid chromatography.

The compound (Ia) can also be produced according to the following Reaction Scheme 8-13 or analogous  
 10 method thereof.

Reaction Scheme 8



20 wherein the respective symbols have the same meanings as defined hereinbefore.

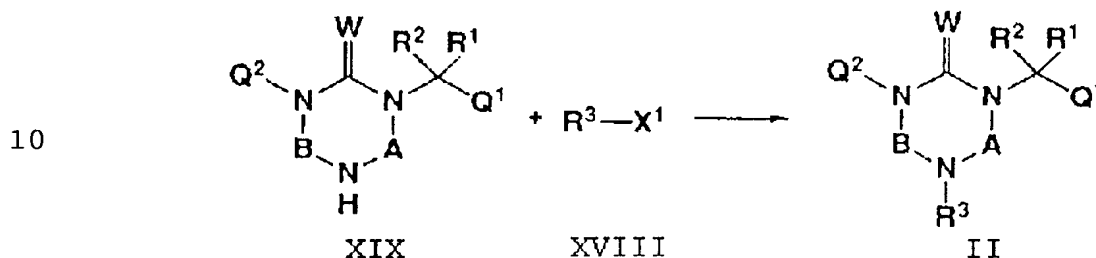
This reaction is a condensation reaction between compound (XVII) and compound (XVIII).

For this reaction, compound (XVII) or a salt thereof and compound (XVIII) or a salt thereof are used  
 25 generally in a substantially equimolar ratio. This reaction can be carried out in a solvent that does not interfere with the reaction. The solvent may be any of the solvents mentioned for the reaction according to Reaction Scheme 1.

30 This reaction can be generally promoted by adding a base. The base that can be used for this purpose includes the same bases as those mentioned for the reaction according to Reaction Scheme 2. The base can be used generally in a proportion of about 0.01 to 5  
 35 moles per mole of compound (XVII) or a salt thereof. The reaction temperature is generally about  $-10^{\circ}\text{C}$  to

150°C and preferably about 10°C to 100°C. The reaction goes to completion in about 30 minutes to about 20 hours, and the completing of reaction can be ascertained by thin-layer chromatography or high-

5 performance liquid chromatography.  
Reaction Scheme 9



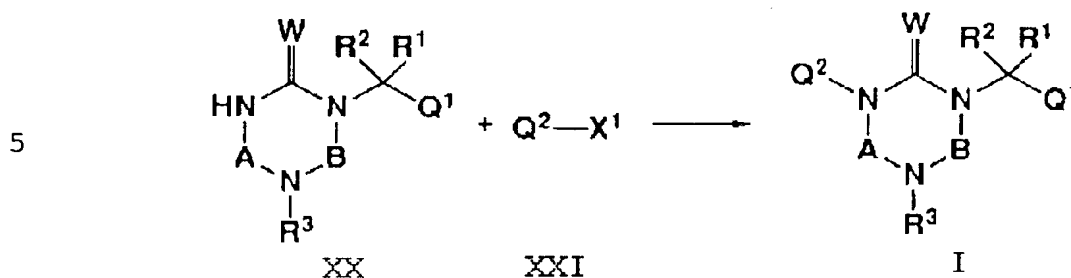
wherein the respective symbols have the same meanings as defined hereinbefore.

This reaction is a condensation reaction between compound (XIX) and compound (XVIII).

For this reaction, compound (XIX) or a salt thereof and compound (XVIII) or a salt thereof are used generally in a substantially equimolar ratio. This reaction can be carried out in a solvent that does not interfere with the reaction. The solvent may be any of the solvents mentioned for the reaction according to Reaction Scheme 1.

This reaction can be generally promoted by adding a base. The base that can be used for this purpose includes the same bases as those mentioned for the reaction according to Reaction Scheme 2. The base can be used generally in a proportion of about 0.01 to 3 moles per mole of compound (XIX) or a salt thereof. The reaction temperature is generally about -10°C to 150°C and preferably about 10°C to 100°C. The reaction goes to completion in about 30 minutes to about 20 hours, and the completing of reaction can be ascertained by thin-layer chromatography or high-performance liquid chromatography.

Reaction Scheme 10



10 wherein the respective symbols have the same meanings as defined hereinbefore.

This reaction is a condensation reaction between compound (XX) and compound (XXI).

15 For this reaction, compound (XX) or a salt thereof and compound (XXI) or a salt thereof are used generally in a substantially equimolar ratio. This reaction can be carried out in a solvent that does not interfere with the reaction. The solvent may be any of the solvents mentioned for the reaction according to Reaction Scheme 1.

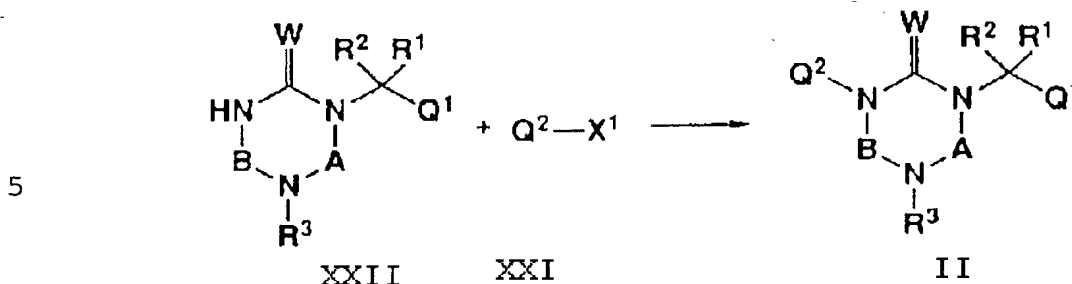
20

This reaction can be generally promoted by adding a base. The base that can be used for this purpose includes the same bases as those mentioned for the reaction according to Reaction Scheme 2. The base can be used generally in a proportion of about 0.01 to 3 moles per mole of compound (XX) or a salt thereof. The reaction temperature is generally about -10°C to 150°C and preferably about 10°C to 100°C. The reaction goes to completion in about 30 minutes to about 20 hours, and the completing of reaction can be ascertained by thin-layer chromatography or high-performance liquid chromatography.

25

30

Reaction Scheme 11



wherein the respective symbols have the same meanings as defined hereinbefore.

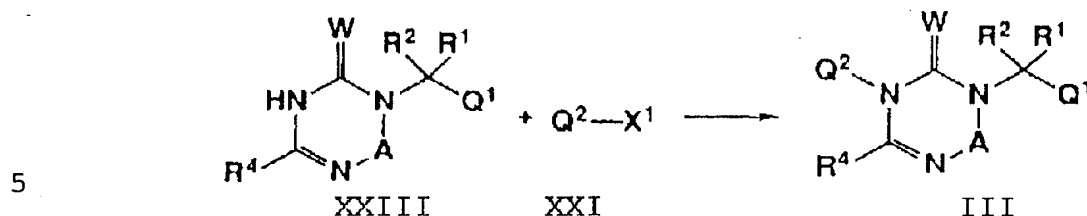
10            This reaction is a condensation reaction between compound (XXII) and compound (XXI).

          For this reaction, compound (XXII) or a salt thereof and compound (XXI) or a salt thereof are used generally in a substantially equimolar ratio. This  
15 reaction can be carried out in a solvent that does not interfere with the reaction. The solvent may be any of the solvents mentioned for the reaction according to Reaction Scheme 1.

          This reaction can be generally promoted by adding  
20 a base. The base that can be used for this purpose includes the same bases as those mentioned for the reaction according to Reaction Scheme 2. The base can be used generally in a proportion of about 0.01 to 3 moles per mole of compound (XXII) or a salt thereof.  
25 The reaction temperature is generally about -10°C to 150°C and preferably about 10°C to 100°C. The reaction goes to completion in about 30 minutes to about 20 hours, and the completing of reaction can be  
30 ascertained by thin-layer chromatography or high-performance liquid chromatography.

Reaction Scheme 12





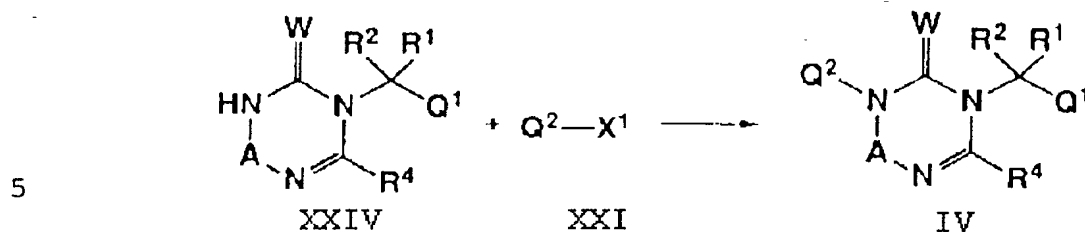
wherein the respective symbols have the same meanings as defined hereinbefore.

10 This reaction is a condensation reaction between compound (XXIII) and compound (XXI).

For this reaction, compound (XXIII) or a salt thereof and compound (XXI) or a salt thereof are used generally in a substantially equimolar ratio. This reaction can be carried out in a solvent that does not  
15 interfere with the reaction. The solvent may be any of the solvents mentioned for the reaction according to Reaction Scheme 1.

This reaction can be generally promoted by adding a base. The base that can be used for this purpose  
20 includes the same bases as those mentioned for the reaction according to Reaction Scheme 2. The base can be used generally in a proportion of about 0.01 to 5 moles per mole of compound (XXIII) or a salt thereof. The reaction temperature is generally about -10°C to  
25 150°C and preferably about 10°C to 100°C. The reaction goes to completion in about 30 minutes to about 20 hours, and the completing of reaction can be ascertained by thin-layer chromatography or high-performance liquid chromatography.

30 Reaction Scheme 13



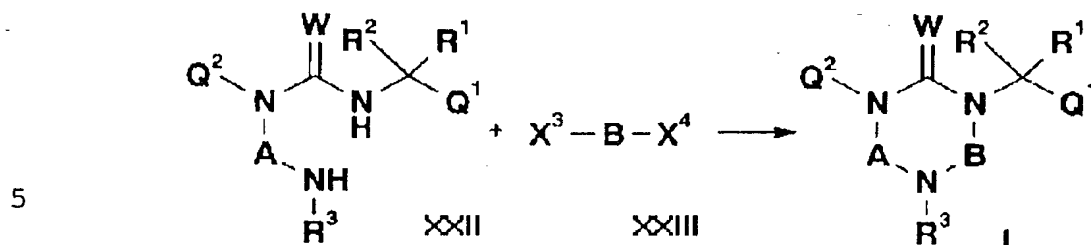
wherein the respective symbols have the same meanings as defined hereinbefore.

10 This reaction is a condensation reaction between compound (XXIV) and compound (XXI).

For this reaction, compound (XXIV) or a salt thereof and compound (XXI) or a salt thereof are used generally in a substantially equimolar ratio. This reaction can be carried out in a solvent which does not interfere with the reaction. The solvent that can be used includes the same solvents as those mentioned for the reaction according to Reaction Scheme 1.

20 This reaction can be generally promoted by adding a base. The base that can be used for this purpose includes the same bases as those mentioned for the reaction according to Reaction Scheme 2. The base can be used generally in a proportion of about 0.01 to 3 moles per mole of compound (XXIV) or a salt thereof. 25 The reaction temperature is generally about -10°C to 150°C and preferably about 10°C to 100°C. The reaction goes to completion in about 30 minutes to about 20 hours and the completion of reaction can be ascertained by thin-layer chromatography or high-performance liquid chromatography. 30

Reaction Scheme 14



wherein  $X^3$  or  $X^4$  represents a  $C_{1-6}$  alkoxy group, and the other symbols have the same meanings as defined hereinbefore.

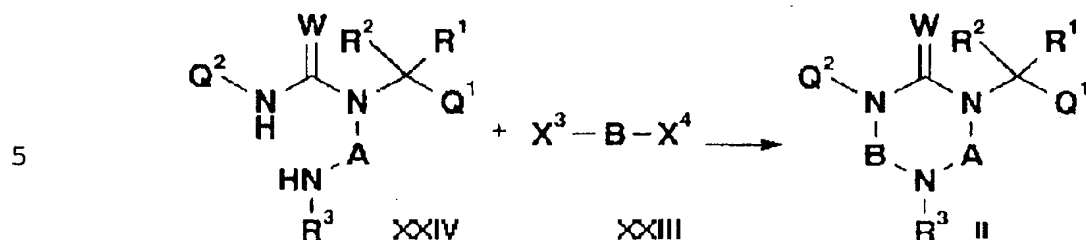
10            The alkoxy group mentioned for  $X^3$  and  $X^4$  includes methoxy, ethoxy, n-propoxy or isopropoxy.

This reaction is a condensation reaction between compound (XXII) and compound (XXIII).

15            For this reaction, compound (XXII) or a salt thereof and compound (XXIII) or a salt thereof are used generally in a substantially equimolar ratio. This reaction can be carried out in a solvent that does not interfere with the reaction. The solvent may be any of the solvents mentioned for the reaction according to  
20            Reaction Scheme 1.

            This reaction can be generally promoted by adding an acid. The acid that can be used for this purpose includes inorganic acids such as hydrochloric acid, sulfuric acid, phosphoric acid, etc.; organic acids  
25            such as formic acid, acetic acid, trifluoroacetic acid, methanesulfonic acid, p-toluenesulfonic acid, etc.; and Lewis acids such as trifluoroborane, titanium tetrachloride, zinc chloride etc. The acid can be used generally in a proportion of about 0.01 to 5 moles per  
30            mole of compound (XXII) or a salt thereof. The reaction temperature is generally about  $-10^{\circ}\text{C}$  to  $150^{\circ}\text{C}$  and preferably about  $10^{\circ}\text{C}$  to  $100^{\circ}\text{C}$ . The reaction goes to completion in about 30 minutes to about 20 hours, and the completing of reaction can be ascertained by  
35            thin-layer chromatography or high-performance liquid chromatography.

Reaction Scheme 15



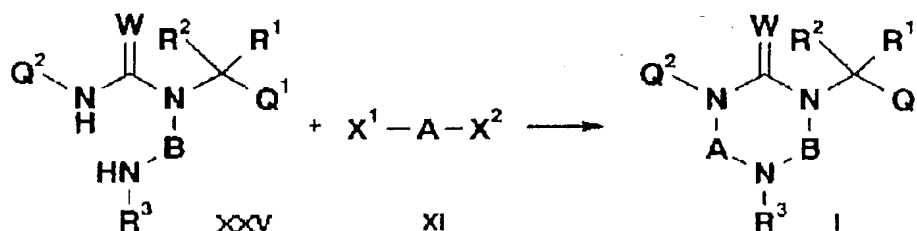
wherein the respective symbols have the same meanings as defined hereinbefore.

10 This reaction is a condensation reaction between compound (XXIV) and compound (XXIII).

For this reaction, compound (XXIV) or a salt thereof and compound (XXIII) or a salt thereof are used generally in a substantially equimolar ratio. This reaction can be carried out in a solvent that does not interfere with the reaction. The solvent may be any of the solvents mentioned for the reaction according to Reaction Scheme 1.

20 This reaction can be generally promoted by adding an acid. The base that can be used for this purpose includes the same acids as those mentioned for the reaction according to Reaction Scheme 14. The acid can be used generally in a proportion of about 0.01 to 5 moles per mole of compound (XXIV) or a salt thereof. The reaction temperature is generally about -10°C to 150°C and preferably about 10°C to 100°C. The reaction goes to completion in about 30 minutes to about 20 hours, and the completing of reaction can be ascertained by thin-layer chromatography or high-performance liquid chromatography.

30 Reaction Scheme 16



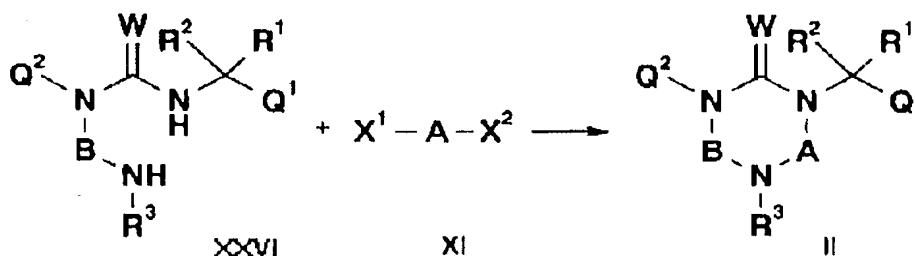
wherein the respective symbols have the same meanings as defined hereinbefore.

This reaction is a condensation reaction between compound (XXV) and compound (XI).

For this reaction, compound (XXV) or a salt thereof and compound (XI) or a salt thereof are used generally in a substantially equimolar ratio. This reaction can be carried out in a solvent that does not interfere with the reaction. The solvent may be any of the solvents mentioned for the reaction according to Reaction Scheme 1.

This reaction can be generally promoted by adding a base. The base that can be used for this purpose includes the same bases as those mentioned for the reaction according to Reaction Scheme 2. The base can be used generally in a proportion of about 0.01 to 5 moles per mole of compound (XXV) or a salt thereof. The reaction temperature is generally about  $-10^{\circ}\text{C}$  to  $150^{\circ}\text{C}$  and preferably about  $10^{\circ}\text{C}$  to  $100^{\circ}\text{C}$ . The reaction goes to completion in about 30 minutes to about 20 hours, and the completing of reaction can be ascertained by thin-layer chromatography or high-performance liquid chromatography.

Reaction Scheme 17



wherein the respective symbols have the same meanings as defined hereinbefore.

This reaction is a condensation reaction between compound (XXVI) and compound (XI).

5 For this reaction, compound (XXVI) or a salt thereof and compound (XI) or a salt thereof are used generally in a substantially equimolar ratio. This reaction can be carried out in a solvent that does not interfere with the reaction. The solvent may be any of  
10 the solvents mentioned for the reaction according to Reaction Scheme 1.

This reaction can be generally promoted by adding a base. The base that can be used for this purpose includes the same bases as those mentioned for the  
15 reaction according to Reaction Scheme 2. The base can be used generally in a proportion of about 0.01 to 5 moles per mole of compound (XXVI) or a salt thereof. The reaction temperature is generally about -10°C to 150°C and preferably about 10°C to 100°C. The reaction  
20 goes to completion in about 30 minutes to about 20 hours, and the completing of reaction can be ascertained by thin-layer chromatography or high-performance liquid chromatography.

The structures of the compounds obtained by the  
25 Reaction Scheme 1-17 can be changed by objecting further reaction.

For example, compound in which  $R^3$  is an alkyl group can be synthesized by using compound having carboxy on  $R^3$  as a starting material according to the  
30 reaction described in J. Chem. Soc., Chem. Commun., p1298, 1984.

Also, compound in which  $R^3$  is hydroxy can be synthesized by using compound having hydrogen at the 1-position of  $R^3$  as a starting material according to the  
35 reaction described in J. Org. Chem., P6239, 1992.

Further, compound in which  $R^3$  is a group bonded

through an oxygen atom can be synthesized by using compound in which such  $R^3$  is hydroxy as a starting material.

Compound in which  $R^3$  is amino can be synthesized by using compound in which  $R^3$  is hydrogen as a starting material according to the reaction described in Synth., Pl, 1977. In addition, compound in which  $R^3$  is a group bonded through a nitrogen atom can be synthesized by using compound in which  $R^3$  is amino as a starting material. Compound (III) can be synthesized by using compound (XVII) and (XIX) as starting materials according to the reaction described in Bull. Chem. Soc. Jpn., P1297, 1974.

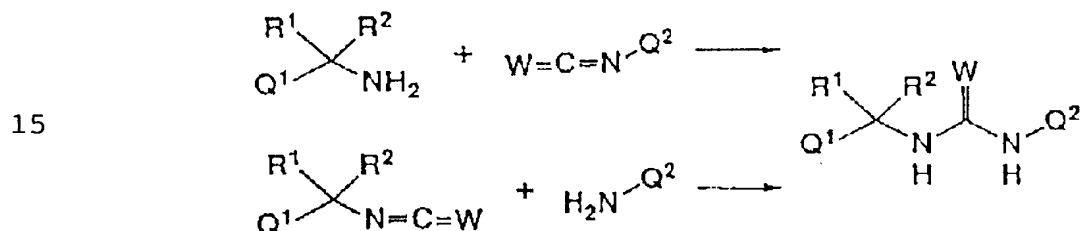
When the compound (Ia) obtained by the above reaction and (I)-(IV) contain an acidic group such as sulfo or carboxyl within its molecule, the reaction product may be in the form of a salt with a base used in the reaction. If such is the case, the salt can be converted to a free form of the compound by adding an acid such as the above-mentioned acid. When the compound (Ia) produced and (I)-(IV) are a free form of the compound, it can be converted to a base salt by adding the corresponding base such as the above-mentioned base. When compound (Ia) and (I)-(IV) contain a basic group such as amino within its molecule, the above reaction conducted in the presence of an acid may yield a salt with an acid used. If such is the case, the salt can be converted to a free form of the compound by adding a base such as the above-mentioned base. When the compound (Ia) and (I)-(IV) obtained as a free form of the compound, it can be converted to form an acid addition salt with the corresponding acid such as the above-mentioned acid.

The compound (Ia) or a salt thereof thus obtained can be isolated and purified by the per se known procedures such as concentration, concentration under

reduced pressure, extraction, redistribution, crystallization, recrystallization, and chromatography.

The starting compounds inclusive of their salts, which are used for producing the compound (Ia) are either known compounds or compounds which can be easily prepared from known compounds.

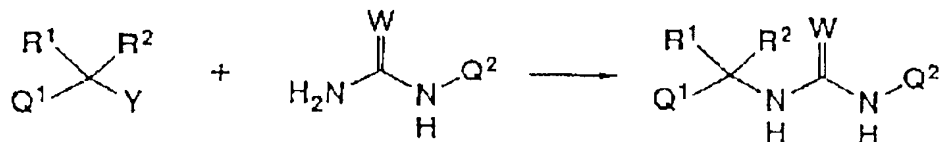
For example, compound (V) or a salt thereof can be produced by the process described in Chemical Review, 43, p203, 1948 or any process analogous thereto, e.g. in accordance with the following reaction scheme.  
Reaction Scheme 18



wherein the respective symbols have the same meanings as defined hereinbefore.

In addition, it can be produced by the process described in JP-A 6943/1976 or any process analogous thereto, e.g. in accordance with the following reaction scheme.

Reaction Scheme 19



wherein Y represents a halogen atom, and the other symbols have the same meanings as defined hereinbefore.

Compound (VI) or (VII) or a salt thereof is for example formaldehyde, acetaldehyde or acetone, and is either a known compound or can be produced easily from known compound. Also, it is a carbonyl equivalent such as paraformaldehyde or dimethoxyethane, and is also



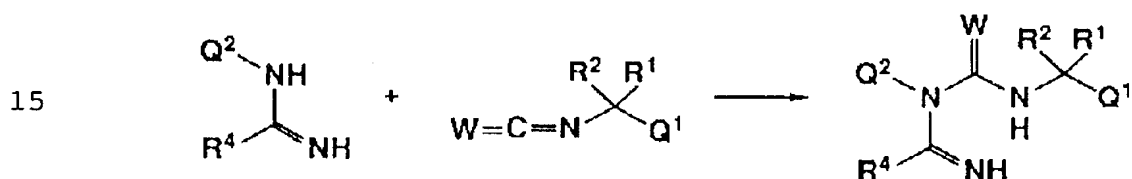
either a known compound or can be produced easily from known compound.

Compound (VIII) or a salt thereof is for example amines such as ammonia, methylamine, aniline or O-methylhydroxylamine, and is either a known compound or  
5 can be produced easily from known compound.

Compound (IX) or a salt thereof is for example bischloromethylmethylamine, and is either a known compound or can be produced easily from known compound.

10 Compound (X) or a salt thereof can be produced for example by the following reaction scheme.

Reaction Scheme 20

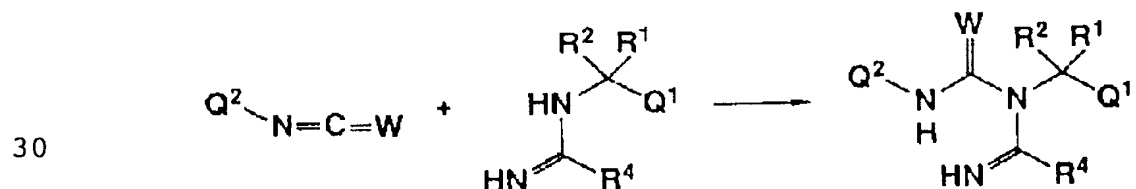


wherein the respective symbols have the same meanings as defined hereinbefore.

20 Compounds (XI) or a salt thereof, such as dichloromethane, dibromomethane, methylchloroformate, etc., are either known compounds or compounds which can be easily produced from known compounds.

Compound (X) or a salt thereof can be produced,  
25 for example, by the following reaction scheme.

Reaction Scheme 21



wherein the respective symbols have the same meanings as defined hereinbefore.

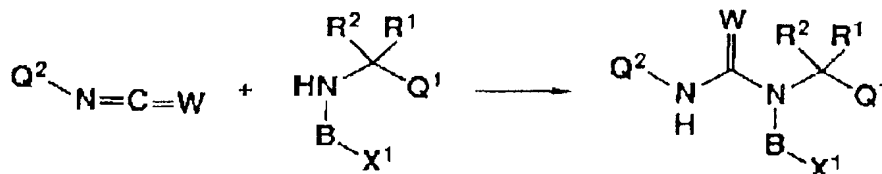
35 Compound (XIII) or a salt thereof in for example chlorobenzylideneurethane, and such a compound is a known compound or can be produced from known compound

easily.

Compound (XIV) can be produced for example by the following reaction scheme.

Reaction Scheme 22

5



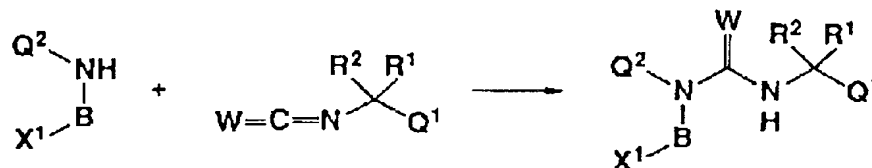
10 wherein the respective symbols have the same meanings as defined hereinbefore.

Compound (XV) or a salt thereof is for example N-methylurethane, and such a compound is a known compound or can be produced from known compound easily.

15 Compound (XVI) can also be produced for example by the following reaction scheme.

Reaction Scheme 23

20

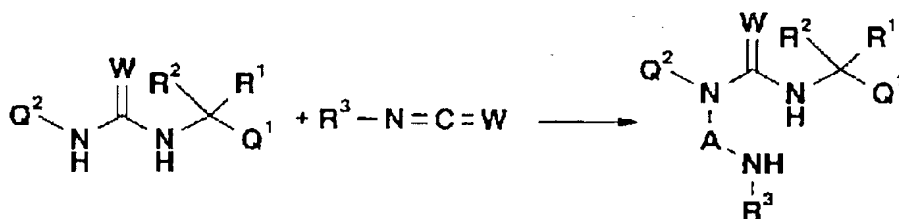


wherein the respective symbols have the same meanings as defined hereinbefore.

25 Compound (XVIII) and compound (XVIII) or salts thereof are for example methyl iodide, acetyl chloride, N,N-dimethylcarbamoyl chloride, etc., and such a compound is known compound or can be produced from known compound easily.

30 Compound (XXI) or salts thereof are for example allyl iodide, benzoyl chloride, chloroethyl carbonate, N,N-dimethylcarbamoyl chloride, or methane sulfonyl chloride, etc., and such a compound is known compound or can be produced from known compound easily.

35 Compound (XXII) or salts thereof can be produced for example by the following reaction scheme.

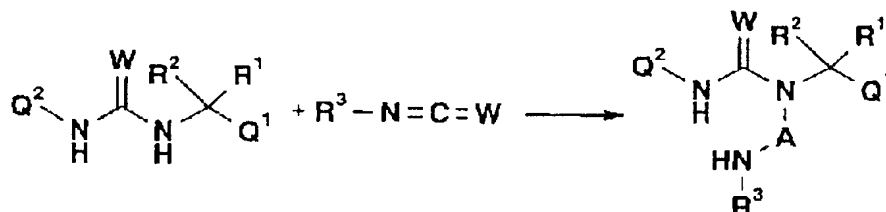


5

Compound (XXIII) or salts thereof are for example dimethoxymethane, etc., and such a compound is known compound or can be produced from known compound easily.

Compound (XXIV) or salts thereof can be produced for example by the following reaction scheme.

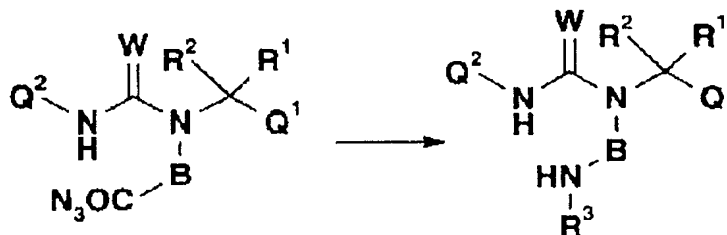
10



15

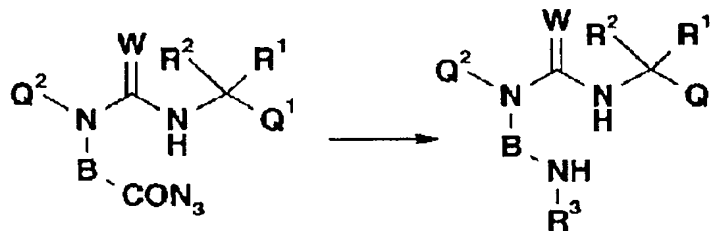
Compound (XXV) or salts thereof can be produced for example by the following reaction scheme.

20



Compound (XXVI) or salts thereof can be produced for example by the following reaction scheme.

25



30

#### [Industrial Application]

The compound or a salt thereof of the present invention has potent herbicidal activity against a broad spectrum of weeds including paddy field weeds and plow land weeds at low concentrations. Furthermore, it

35

is least phytotoxic to crop plants such as rice, wheat, barley, soybean, and corn plants, thus having very satisfactory selective herbicidal activity. Moreover, this selective herbicidal action lasts long. In addition, the compound or a salt thereof of the present invention does no substantial harm to mammalian animals and fish, is free from the pollution problem, and can be used very safely as a herbicide in paddy fields, plow lands, orchards, and non-crop lands.

[Examples]

The following reference examples, working examples, formulation examples, and test examples are all intended to describe the present invention in further detail and should by no means be construed as limiting the scope of the invention.

In the reference examples and working examples, the term "room temperature" is generally used to mean a temperature within the range of about 10 to 30°C.

<sup>1</sup>H-NMR stands for proton nuclear magnetic resonance spectrum. The NMR spectra were recorded with Bruker AC200P Spectrometer (200 MHz) using tetramethylsilane as internal standard and the chemical shifts ( $\delta$ ) were expressed in ppm. IR stands for infrared absorption spectrum. The IR spectra were recorded with Shimadzu IR420 or IR435 Infrared Spectrophotometer and the absorption bands were expressed in wave-numbers ( $\text{cm}^{-1}$ ). The other symbols used in the working examples have the following meanings. DMSO-d<sub>6</sub>: deuterated dimethyl sulfoxide; s: singlet; d: doublet; t: triplet; q: quartet; dd: doublet doublet; ddd: doublet doublet doublet; dt: doublet triplet; td: triplet doublet; qd: quartet doublet; m: multiplet, br.: broad; J: coupling constant; %: weight percent; v/v: volume-to-volume ratio; Me: methyl; Et: ethyl; n-Pr: n-propyl; i-Pr: isopropyl; n-Bu: n-butyl; dec.: decomposition; def.s:

defused singlet.

[Reference Example 1]

1-[1-(3,5-Dichloro-4-methoxyphenyl)-1-methylethyl]-3-phenylurea

5 To a solution of 1-(3,5-dichloro-4-methoxyphenyl)-1-methylethylamine 1.31 g (5.60 mmol) in 20 ml of diethyl ether, phenyl isocyanate 0.60 ml (5.32 mmol) was added at room temperature and the mixture was stirred for 2 hours. The resulting crystals were  
10 collected by filtration and washed with diethyl ether to provide 1.88 g (5.32 mmol, 95%) of 1-[1-(3,5-dichloro-4-methoxyphenyl)-1-methylethyl]-3-phenylurea as white crystals.

mp: 208-210°C.

15 <sup>1</sup>H-NMR(DMSO-d<sub>6</sub>) δ ppm: 1.56 (6H, s), 3.81 (3H, s), 6.67 (1H, def.s), 6.87 (1H, t J=7.2Hz), 7.18 (2H, t J=7.9 Hz), 7.31 (2H, d J=8.8 Hz), 7.42 (2H, s), 8.42 (1H, def.s).

IR(Nujol) ν cm<sup>-1</sup> : 3357, 1654, 1601, 1559, 1272, 1246,  
20 994, 804, 753, 743, 694.

[Reference Example 2]

1-[1-Methyl-1-(1,2,3,4-tetrahydronaphthalen-6-yl)ethyl]-3-phenylurea

To a solution of 1-Methyl-1-(1,2,3,4-tetrahydronaphthalen-6-yl)ethyl isocyanate 1.31 g (5.60  
25 mmol) in 20 ml of toluene, a solution of 1.0 ml (11 mmol) of aniline in 5 ml of toluene was added under ice cooling and the mixture was stirred for 11 days at room temperature. The resulting crystals were collected by  
30 filtration and washed with hexane to provide 1.1 g (3.7 mmol, 34%) of 1-[1-Methyl-1-(1,2,3,4-tetrahydronaphthalen-6-yl)ethyl]-3-phenylurea as white crystals.

mp: 171-172 °C.

35 <sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.56 (6H, s), 1.70-1.80 (4H, m), 2.63-2.78 (4H, m), 6.52 (1H, s), 6.81-7.21 (6H, m),

7.30 (2H, dd, J = 1.2, 8.6 Hz), 8.38 (1H, s).

IR (Nujol)  $\text{cm}^{-1}$ : 3356, 1652, 1597; 1554, 1443, 1313, 1245, 1169

5 The compounds which were synthesized by the same procedures as Reference Example 1 and 2 are shown as follows.

1-[1-(2,3-Dichlorophenyl)-1-methylethyl]-3-phenylurea;  
mp: 198-199 °C

10

1-[1-(3,4-Dichlorophenyl)-1-methylethyl]-3-phenylurea;  
mp: 203 °C (decomp.)

15

1-[1-(2,5-Dichlorophenyl)-1-methylethyl]-3-phenylurea;  
mp: 232-235 °C

20

1-[1-(3-Chloro-4-methoxyphenyl)-1-methylethyl]-  
3-phenylurea;  
mp: 215-216 °C

25

1-[1-(3-Chloro-4-methylthiophenyl)-1-methylethyl]-  
3-phenylurea;  
mp: 209-210 °C

30

1-[1-(3-Chloro-4-methylphenyl)-1-methylethyl]-  
3-phenylurea;  
mp: 195 °C

1-[1-(3,5-Dichloro-4-dimethylaminophenyl)-1-methylethyl]  
]-3-phenylurea;  
mp: 214-215 °C

35

1-[1-(4-Benzyloxy-3,5-dichlorophenyl)-1-methylethyl]-3-  
phenylurea;  
mp: 185-189 °C

- 1-[1-(3,5-Dichloro-4-phenoxyphenyl)-1-methylethyl]-3-phenylurea;  
mp: 223-224 °C
- 5 1-[1-[3,5-Dichloro-4-(2,2,2-trifluoroethoxy)phenyl]-1-methylethyl]-3-phenylurea;  
mp: 202-203 °C
- 10 1-[1-(3,5-Dichlorophenyl)-2-fluoro-1-methylethyl]-3-phenylurea;  
mp: 203-205 °C
- 15 1-[1-(3,5-Dichlorophenyl)-1-methyl-2,2,2-trifluoroethyl]-3-phenylurea;  
mp: 244-245 °C
- 1-[1-(3,5-Dichlorophenyl)cyclobutyl]-3-phenylurea;  
mp: 236-238 °C
- 20 1-[1-Methyl-1-(naphthalen-2-yl)ethyl]-3-phenylurea;  
mp: 129-130 °C
- 25 1-[1-Methyl-1-(4-chloronaphthalen-2-yl)ethyl]-3-phenylurea;  
mp: 221-224 °C
- 1-[1-Methyl-1-(benzofuran-5-yl)ethyl]-3-phenylurea;  
mp: 198-201 °C
- 30 1-[1-Methyl-1-(7-chlorobenzofuran-5-yl)ethyl]-3-phenylurea;  
mp: 226-227 °C
- 35 1-Allyloxy-3-[1-(3,5-dichlorophenyl)-1-methylethyl]urea;  
mp: below 30°C.

$^1\text{H}$ -NMR(DMSO- $d_6$ )  $\delta$  ppm: 1.67 (6H, s), 3.81 (3H, s), 4.34 (2H, dt  $J=6.5, 1.0\text{Hz}$ ), 5.37-5.48 (2H, m), 5.92-6.12 (2H, m), 6.90 (1H, br), 7.21-7.23 (1H, m), 7.25-7.27 (2H, m).

5

[Example 1]

Synthesis of ethyl 2-[3-[1-(3,5-dichlorophenyl)-1-methylethyl]-5-phenylhexahydro-4-oxo-1,3,5-triazin-1-yl]acetate

- 10 To a mixture of ethyl glycinate hydrochloride (1.04 g, 7.43 mmol) and 37% formalin (6.0 ml, 80 mmol) was added triethylamine to make pH = 7. Then, 1-phenyl-3-[1-(3,5-dichlorophenyl)-1-methylethyl]urea (2.00 g, 6.19 mmol) and toluene (80 ml) were added, and
- 15 the mixture was refluxed for 3 hours, whilst toluene was supplementally added and water was removed azeotropically. To a mixture of ethyl glycinate hydrochloride (1.04 g, 7.43 mmol) and 37% formalin (6.0 mol, 80 mmol) was added triethylamine to make pH = 7.
- 20 This mixture was added to the above reaction mixture on reflux and while toluene was supplementally added, the byproduct water was removed azeotropically. After 5.5 hours of refluxing, the solvent was distilled off and the residue was purified by preparative liquid
- 25 chromatography (silica gel eluent = hexane:ethyl acetate = 5:3) to provide ethyl 2-[3-[1-(3,5-dichlorophenyl)-1-methylethyl]-5-phenylhexahydro-4-oxo-1,3,5-triazin-1-yl]acetate as colorless crystals (2.20 g, 4.88 mmol). Yield 79%
- 30  $^1\text{H}$ -NMR (200MHz,  $\text{CDCl}_3$ )  $\delta$  ppm: 1.32 (3H, t  $J=7.1\text{Hz}$ ), 1.66 (6H, s), 3.77 (2H, s), 4.26 (2H, q  $J=7.1\text{Hz}$ ), 4.64 (4H, s), 7.10-7.31 (8H, m)
- IR (nujol)  $\nu$   $\text{cm}^{-1}$ : 1736, 1670, 1430, 1296, 1239, 1207, 1165, 752
- 35 mp: 100-102°C
- [Example 2]



Synthesis of 2-[3-[1-(3,5-dichlorophenyl)-1-methylethyl]-5-phenylhexahydro-4-oxo-1,3,5-triazin-1-yl]acetic acid

To an ice-cooling suspension of ethyl 2-[3-[1-(3,5-dichlorophenyl)-1-methylethyl]-5-phenylhexahydro-4-oxo-1,3,5-triazin-1-yl]acetate (1.00 g, 2.22 mmol) in ethanol (50 ml) was added 0.1 N aqueous solution of sodium hydroxide (5 ml, NaOH 0.50 mmol). The mixture was stirred on an ice-water bath for 30 minutes, at the end of which time an aqueous solution of sodium hydroxide (70 mg/ml, 1.75 mmol) was further added. The mixture was then stirred at room temperature for 2 hours. The solvent was then distilled off and the residue was diluted with ethyl acetate and water. Then, under ice-cooling, the mixture was acidified with 2 N hydrochloric acid. After phase separation, the aqueous layer was further extracted with ethyl acetate. The ethyl acetate layers were combined and dried over anhydrous magnesium sulfate, and the solvent was distilled off. The resulting crystal crop was rinsed with diethyl ether and dried to provide 2-[3-[1-(3,5-dichlorophenyl)-1-methylethyl]-5-phenylhexahydro-4-oxo-1,3,5-triazin-1-yl]acetic acid as colorless crystals (0.84 g, 1.99 mmol). Yield 90%

<sup>1</sup>H-NMR (200MHz, DMSO-d<sub>6</sub>) δ ppm: 1.56 (6H, s), 3.74 (2H, s), 4.61 (2H, s), 4.69 (2H, s), 7.07-7.31 (8H, m), 12.63 (1H, br)

IR (nujol) ν cm<sup>-1</sup>: 2740-2360, 1728, 1606, 1583, 1489, 1463, 1451, 1314, 1222, 798, 753

mp: 232°C (dec.)

[Example 3]

Synthesis of 1-[1-(3,5-dichlorophenyl)-1-methylethyl]-5-methyl-3-phenyltetrahydro-1,3,5-triazine-2(1H)-one

In tetrahydrofuran (THF, 5 ml) was suspended 2-[3-[1-(3,5-dichlorophenyl)-1-methylethyl]-5-phenylhexahydro-4-oxo-1,3,5-triazin-1-yl]acetic acid

(0.50 g, 1.18 mmol), and N-methylmorpholine (0.13 ml, 1.18 mmol) was added. This mixture was cooled to -40°C and a solution of isopropyl chlorocarbonate (0.15 g, 1.24 mmol) in tetrahydrofuran (THF, 5 ml) was added  
5 portionwise over 10 minutes. The mixture was then stirred at -35°C to -40°C for 10 minutes. Then, a solution of 2-mercaptopyridine-N-oxide (0.15 g, 1.18 mmol) in THF (3 ml) was added dropwise and, then, a solution of triethylamine (0.16 ml, 1.18 mmol) in THF  
10 (3 ml) was added portionwise. The mixture was stirred at -30°C for 20 minutes. Then, a solution of t-butylmercaptan (1.33 ml, 11.8 mmol) in THF (3 ml) was added dropwise. The ice-water bath was then removed and the reaction mixture was irradiated with a high-  
15 pressure mercury vapor lamp for 10 minutes (at ca 0°C). The solvent was then distilled off and the residue was diluted with water and extracted with chloroform. The chloroform layer was washed with saturated aqueous solution of sodium hydrogen carbonate and dried over  
20 anhydrous magnesium sulfate and the solvent was distilled off. The residue was purified by silica gel column chromatography (hexane:ethyl acetate = 3:2) to provide 1-[1-(3,5-dichlorophenyl)-1-methylethyl]-5-methyl-3-phenyltetrahydro-1,3,5-triazine-2(1H)-one as  
25 colorless crystals (0.30 g, 0.793 mmol). Yield 67%  
<sup>1</sup>H-NMR (200MHz, DMSO-d<sub>6</sub>) δ ppm: 1.66 (s, 6H), 2.78 (s, 3H), 4.49 (s, 2H), 4.53 (s, 2H), 7.12-7.34 (m, 8H)  
IR (nujol) ν cm<sup>-1</sup>: 1651, 1475, 1442, 1305, 1270, 848, 739, 748  
30 mp: 101.5-102.5°C

[Example 4]

Synthesis of 1-[1-(3,5-dichlorophenyl)-1-methylethyl]-5-(2-hydroxyethyl)-3-phenyltetrahydro-1,3,5-triazine-2(1H)-one

35 In THF (15 ml) was dissolved ethyl 2-[3-[1-(3,5-dichlorophenyl)-1-methylethyl]-5-phenylhexahydro-4-oxo-

1,3,5-triazin-1-yl]acetate (1.14 g, 2.53 mmol) followed by addition of sodium borohydride (0.14 g, 3.7 mmol), 97% zinc chloride (0.36 g, 2.5 mmol), and N,N-dimethylaniline (0.31 g, 2.5 mmol), and the mixture was  
5 refluxed for 4 hours. To this reaction mixture was added 5 ml of methanol, and after overnight stirring, the solvent was distilled off under reduced pressure. The residue was diluted with water and ethyl acetate and, after phase separation, the aqueous layer was  
10 further extracted with ethyl acetate. The ethyl acetate layers were combined and dried over anhydrous magnesium sulfate, and the solvent was distilled off under reduced pressure. The residue was purified by silica gel column chromatography (chloroform:acetone =  
15 5:1) to provide 1-[1-(3,5-dichlorophenyl)-1-methylethyl]-5-(2-hydroxyethyl)-3-phenyltetrahydro-1,3,5-triazine-2(1H)-one as colorless crystals (0.89 g, 2.2 mmol). Yield 86%  
<sup>1</sup>H-NMR (200MHz, CDCl<sub>3</sub>) δ ppm: 1.67 (6H, s), 2.18 (1H, t  
20 J=5.6Hz), 3.14 (1H, t J=5.1Hz), 3.74-3.82 (2H, m), 4.58 (2H, s), 4.59 (2H, s), 7.10-7.34 (8H, m).  
IR (nujol) ν cm<sup>-1</sup>: 3456, 1627, 1480, 1446, 1300, 763.  
mp: 105.5-106.0°C

[Example 5]

25 Synthesis of 1-[1-(3,5-dichlorophenyl)-1-methylethyl]-5-(2-bromoethyl)-3-phenyltetrahydro-1,3,5-triazine-2(1H)-one

A solution of 1-[1-(3,5-dichlorophenyl)-1-methylethyl]-5-(2-hydroxyethyl)-3-phenyltetrahydro-  
30 1,3,5-triazine-2(1H)-one (0.36 g, 0.88 mmol) in dichloroethane (5 ml) was cooled with ice and triphenylphosphine (0.25 g, 0.95 mmol) and carbon tetrabromide (0.32 g, 0.95 mmol) were added. The mixture was stirred for 2 hours. This reaction mixture  
35 was subjected to silica gel column chromatography (chloroform:acetone = 15:1) to provide 1-[1-(3,5-

dichlorophenyl)-1-methylethyl]-5-(2-bromoethyl)-3-phenyltetrahydro-1,3,5-triazine-2(1H)-one (0.34 g, 0.72 mmol) as colorless crystals. Yield 82%

<sup>1</sup>H-NMR (200MHz, CDCl<sub>3</sub>) δ ppm: 1.64 (6H, s), 3.29-3.51 (4H, m), 4.53 (2H, s), 4.55 (2H, s), 7.09-7.29 (8H, m). IR (nujol) v cm<sup>-1</sup>: 1644, 1464, 1452, 1308, 1279, 795, 748.

mp: 114-117°C

[Example 6]

10 Synthesis of 1-[1-(3,5-dichlorophenyl)-1-methylethyl]-5-ethyl-3-phenyltetrahydro-1,3,5-triazine-2(1H)-one

To a solution of 1-[1-(3,5-dichlorophenyl)-1-methylethyl]-5-(2-bromoethyl)-3-phenyltetrahydro-1,3,5-triazine-2(1H)-one (0.15 g, 0.32 mmol) in dimethyl sulfoxide (DMSO, 3 ml) was added sodium borohydride (36 mg, 0.95 mmol), and the reaction was carried out at 50°C for 1.5 hours. After spontaneous cooling, the reaction mixture was diluted with water and ethyl acetate and the aqueous layer was further extracted with ethyl acetate. The ethyl acetate layers were combined and dried over anhydrous magnesium sulfate and the solvent was distilled off. The residue was purified by silica gel column chromatography

(hexane:ethyl acetate = 1:1) to provide 1-[1-(3,5-dichlorophenyl)-1-methylethyl]-5-ethyl-3-phenyltetrahydro-1,3,5-triazine-2(1H)-one (80 mg, 0.20 mmol) as colorless crystals. Yield 63%

<sup>1</sup>H-NMR (200MHz, CDCl<sub>3</sub>) δ ppm: 1.21 (3H, t J=7.2Hz), 1.67 (6H, s), 3.01 (2H, q J=7.2Hz), 4.55 (2H, s), 4.58 (2H, s), 7.08-7.33 (6H, m), 7.21 (2H, d J=1.9Hz). IR (nujol) v cm<sup>-1</sup>: 1651, 1468, 1440, 1309, 1273, 1250, 749.

mp: 79-83°C

[Example 7]

35 Synthesis of 1-[1-(3,5-dichlorophenyl)-1-methylethyl]-5-(2-methoxyethyl)-3-phenyltetrahydro-1,3,5-triazine-

## 2(1H)-one

A suspension of 60% sodium hydride/oil (18 mg, 0.45 mmol) in THF (3 ml) was cooled with ice and 1-[1-(3,5-dichlorophenyl)-1-methylethyl]-5-(2-hydroxyethyl)-3-phenyltetrahydro-1,3,5-triazine-2(1H)-one (0.15 g, 0.37 mmol) was added at a temperature of not over 5°C. The mixture was then stirred at room temperature for 30 minutes, at the end of which time methyl iodide (27  $\mu$ l, 0.43 mmol) was added. The mixture was further stirred at room temperature for 30 minutes. Then, methyl iodide (27  $\mu$ l, 0.43 mmol) was further added and the mixture was stirred for another 30 minutes. Then, dimethyl sulfate (42  $\mu$ l, 0.44 mmol) was added and the mixture was stirred at room temperature for 1 hour. Thereafter, dimethyl sulfate (42  $\mu$ l, 0.44 mmol) and 60% sodium hydride/oil (10 mg, 0.25 mmol) were further added and the mixture was stirred at room temperature overnight. The solvent was then distilled off under reduced pressure. The residue was diluted with water and ethyl acetate and, after phase separation, the aqueous layer was further extracted with ethyl acetate. The ethyl acetate layers were combined and dried over anhydrous magnesium sulfate and the solvent was distilled off under reduced pressure to provide 1-[1-(3,5-dichlorophenyl)-1-methylethyl]-5-(2-methoxyethyl)-3-phenyltetrahydro-1,3,5-triazine-2(1H)-one as a colorless syrup (0.10 g, 0.24 mmol). Yield 65%  
 $^1\text{H-NMR}$  (200MHz,  $\text{CDCl}_3$ )  $\delta$  ppm: 1.65 (6H, s), 3.16 (2H, t J=5.0Hz), 3.40 (3H, s), 3.62 (2H, t J=5.0Hz), 4.66 (2H, s), 4.63 (2H, s), 7.08-7.32 (8H, m).  
IR (neat)  $\nu$   $\text{cm}^{-1}$ : 2924, 1657, 1652, 1646, 1439, 1303, 1248, 795.

## [Example 8]

Synthesis of 1-[1-(3,5-dichlorophenyl)-1-methylethyl]-5-methyl-3-phenyltetrahydro-1,3,5-triazine-2(1H)-one

To a refluxing suspension of phosphorus

pentachloride (3.35 g, 16.1 mmol) in dichloromethane (25 ml) was added a solution of 1,3,5-trimethylhexahydro-1,3,5-triazine (1.04 g, 8.05 mmol) in dichloromethane (25 ml) dropwise. The mixture was further refluxed for 4.5 hours and then cooled to room temperature. A suspension of 1-[1-(3,5-dichlorophenyl)-1-methylethyl]-3-phenylurea (2.00 g, 6.19 mmol) in dichloromethane (15 ml) was cooled with ice and the above reaction mixture was added thereto dropwise. Then, a solution of triethylamine (2.23 ml, 16.1 mmol) in dichloromethane (15 ml) was added dropwise, and the whole mixture was stirred under ice-cooling for 30 minutes. Then, 50 ml of a saturated aqueous solution of sodium hydrogencarbonate was added. After phase separation, the aqueous layer was further extracted with 30 ml of dichloromethane. The dichloromethane layers were combined, washed with 30 ml of saturated sodium chloride solution (NaCl/H<sub>2</sub>O), and dried over anhydrous magnesium sulfate (MgSO<sub>4</sub>). The solvent was then distilled off, the residue was purified by silica gel column chromatography (chloroform:acetone = 15:1, hexane:ethyl acetate = 2:1), and the crystal crop was harvested from hexane to provide 1.89 g (5.00 mmol) of 1-[1-(3,5-dichlorophenyl)-1-methylethyl]-5-methyl-3-phenyltetrahydro-1,3,5-triazine-2(1H)-one as colorless crystals. Yield 81%.

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.66 (6H, s), 2.78 (3H, s), 4.49 (2H, s), 4.53 (2H, s), 7.12-7.34 (8H, m)  
IR (nujol) ν cm<sup>-1</sup>: 1651, 1475, 1442, 1305, 1270, 848, 739, 748

mp: 101.5-102.5°C

[Example 9]

Synthesis of 5-benzyloxycarbonyl-1-[1-(3,5-dichlorophenyl)-1-methylethyl]-3-phenyltetrahydro-1,3,5-triazine-2(1H)-one

A suspension of sodium hydride (60% in oil) (3.71 g, 92.8 mmol) in DMF (150 ml) was cooled with ice and a solution of 1-[1-(3,5-dichlorophenyl)-1-methylethyl]-3-phenylurea (15.00 g, 46.41 mmol) in DMF (50 ml) was added thereto dropwise. The mixture was stirred under ice-cooling for 1 hour. Then, a solution of benzyl N,N-bis(chloromethyl)carbamate (11.51 g, 46.41 mmol) in THF (50 ml) was added dropwise over 20 minutes and the reaction was carried out at room temperature for 1 hour. A solution of benzyl N,N-bis(chloromethyl)carbamate (1.15 g, 4.64 mmol) in THF (5 ml) was further added and the reaction was further continued at room temperature for 2 hours. The reaction mixture was diluted with 5 ml of water and the THF was distilled off. To the residue, 150 ml of water and 150 ml of chloroform were added. After phase separation, the aqueous layer was further extracted with 100 ml of chloroform. The chloroform layers were combined, washed with 100 ml of water, and dried over MgSO<sub>4</sub>, and the solvent was distilled off. To the residue was added chloroform, followed by cooling, and the insoluble matter was filtered off. The crystal crop available on removal of the solvent was harvested from isopropyl ether to provide 18.37 g (36.86 mmol) of 5-benzyloxycarbonyl-1-[1-(3,5-dichlorophenyl)-1-methylethyl]-3-phenyltetrahydro-1,3,5-triazine-2(1H)-one as colorless crystals. Yield 79%.

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.68 (6H, bs), 5.02 (2H, bs), 5.13 (2H, s), 5.27 (2H, s), 7.14-7.37 (13H, m)  
IR (nujol) ν cm<sup>-1</sup>: 1721, 1652, 1393, 1251, 1219, 1179  
mp: 152-153°C

[Example 10]

Synthesis of 1-[1-(3,5-dichlorophenyl)-1-methylethyl]-3-phenyltetrahydro-1,3,5-triazine-2(1H)-one

To a suspension of 5-benzyloxycarbonyl-1-[1-(3,5-dichlorophenyl)-1-methylethyl]-3-phenyltetrahydro-

1,3,5-triazin-2(1H)-one in ethanol (200 ml) was added  
250 mg of 10% palladium-on-carbon, and the mixture was  
stirred in a hydrogen atmosphere at room temperature  
for 8 hours. Then, chloroform was added until the  
5 crystals formed in the reaction mixture had dissolved  
and the catalyst was then filtered off. The filtrate  
was purified by silica gel column chromatography  
(chloroform:acetone = 30:1) to provide 4.31 g (11.8  
mmol) of 1-[1-(3,5-dichlorophenyl)-1-methylethyl]-3-  
10 phenyltetrahydro-1,3,5-triazine-2(1H)-one as colorless  
crystals. Yield 85%.

<sup>1</sup>H-NMR (CDCl<sub>3</sub>)  $\delta$  ppm: 1.67 (6H, s), 2.57 (1H, brt),  
4.54 (2H, s), 4.59 (2H, s), 7.08-7.33 (8H, m)  
IR (nujol)  $\nu$  cm<sup>-1</sup>: 3304, 1634, 1499, 1475, 1450, 1438,  
15 1309, 1285, 751  
mp: 198-200°C

[Example 11]

Synthesis of 1-[1-(3,5-dichlorophenyl)-1-methylethyl]-  
5-methylsulfonyl-3-phenyltetrahydro-1,3,5-triazine-  
20 2(1H)-one

To a solution of 1-[1-(3,5-dichlorophenyl)-1-  
methylethyl]-3-phenyltetrahydro-1,3,5-triazine-2(1H)-  
one (0.15 g, 0.41 mmol) in THF (5 ml) was added 68  $\mu$ l  
of triethylamine (0.49 mmol). Then, a solution of  
25 methanesulfonyl chloride (38  $\mu$ l, 0.49 mmol) in THF (3  
ml) was added thereto dropwise under ice-cooling.  
After the mixture was stirred at room temperature for 2  
hours, methanesulfonyl chloride (159  $\mu$ l, 2.06 mmol) and  
triethylamine (0.29 ml, 2.06 mmol) were further added  
30 and the mixture was stirred at room temperature for 1  
hour. The solvent was then distilled off, and 30 ml of  
ethyl acetate and 20 ml of water were added to the  
residue. After phase separation, the organic layer was  
washed with 20 ml of water and dried over MgSO<sub>4</sub>, and  
35 the solvent was distilled off. The residue was  
purified by silica gel column chromatography



(hexane:ethyl acetate = 1:1) to provide 0.14 g (0.32 mmol) of 1-[1-(3,5-dichlorophenyl)-1-methylethyl]-5-methylsulfonyl-3-phenyltetrahydro-1,3,5-triazine-2(1H)-one as colorless amorphous powders. Yield 77%.

5 <sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.74 (6H, s), 3.15 (3H, s), 5.13 (2H, s), 5.13 (2H, s), 7.15-7.38 (8H, m)  
IR (neat) ν cm<sup>-1</sup>: 1659, 1442, 1335, 1252, 1155

[Example 12]

Synthesis of 1-[1-(3,5-dichlorophenyl)-1-methylethyl]-5-isopropyl-3-phenyltetrahydro-1,3,5-triazine-2(1H)-one

10 To a refluxing solution of phosphorus pentaoxide (13.75 g, 66.02 mmol) in dichloromethane (100 ml) was added a solution of 1,3,5-triisopropylhexahydro-1,3,5-triazine (7.04 g, 33.0 mmol) in dichloromethane (50 ml)  
15 dropwise. After 4 hours of refluxing, the reaction mixture was cooled to room temperature and added dropwise to a solution of 1-[1-(3,5-dichlorophenyl)-1-methylethyl]-3-phenylurea (9.70 g, 30.0 mmol) in dichloromethane (100 ml) under ice-cooling. Then, a  
20 solution of triethylamine (9.15 ml, 66.0 mmol) in dichloromethane (50 ml) was added dropwise and the mixture was stirred under ice-cooling for 30 minutes. This reaction mixture was added dropwise into 10% sodium hydroxide/water and stirred for 15 minutes under  
25 ice-cooling. The dichloromethane layer was separated and the aqueous layer was further extracted with 100 ml of dichloromethane. The dichloromethane layers are combined, washed with 300 ml of water twice, 0.1N-hydrochloric acid, and saturated NaCl/H<sub>2</sub>O in that order  
30 and dried over MgSO<sub>4</sub>. The solvent was then distilled off under reduced pressure and the residue was purified by silica gel column chromatography (chloroform:acetone = 15:1) to provide 10.61 g (26.11 mmol) of 1-[1-(3,5-dichlorophenyl)-1-methylethyl]-5-isopropyl-3-phenyltetrahydro-1,3,5-triazine-2(1H)-one as light-  
35 yellow crystals. Yield 87%.

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.22 (6H, d, J=6.3Hz), 1.68 (6H, s), 3.38 (1H, quint, J=6.3Hz), 4.64 (2H, s), 4.66 (2H, s), 7.12-7.29 (8H, m)

IR (nujol) ν cm<sup>-1</sup>: 1651, 1645, 1464, 1453, 1311, 1285, 798, 746, 695

mp: 92-95°C

[Example 13]

Synthesis of 1-[1-(3,5-dichlorophenyl)-1-methylethyl]-5-hydroxy-3-phenyltetrahydro-1,3,5-triazin-2(1H)-one

To a solution of 1-[1-(3,5-dichlorophenyl)-1-methylethyl]-5-isopropyl-3-phenyltetrahydro-1,3,5-triazin-2(1H)-one (1.00 g, 2.46 mmol) in 1,2-dichloroethane (50 ml) was added 0.61 g (2.46 mmol) of m-chloroperbenzoic acid (70%) and the reaction was carried out at room temperature for 15 minutes. This reaction mixture was washed with 50 ml of 5% sodium hydroxide/water twice and 50 ml of water once and dried over MgSO<sub>4</sub>. The desiccant was then filtered off. The filtrate was refluxed for 1 hour and the solvent was then distilled off under reduced pressure. The resulting crystal crop was harvested from isopropyl ether by filtration to provide 0.79 g (2.08 mmol) of 1-[1-(3,5-dichlorophenyl)-1-methylethyl]-5-hydroxy-3-phenyltetrahydro-1,3,5-triazin-2(1H)-one as colorless crystals. Yield 84%.

<sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.58 (6H, s), 1.59 (6H, s), 4.38-4.96 (4H, m), 7.04-7.45 (8H, m), 8.93 (1H, s)  
IR (nujol) ν cm<sup>-1</sup>: 3267, 1622, 1485, 1438, 1296, 760, 693

mp: 204-206°C

[Example 14]

Synthesis of 1-[1-(3,5-dichlorophenyl)-1-methylethyl]-5-methoxy-3-phenyltetrahydro-1,3,5-triazin-2(1H)-one

1-[1-(3,5-Dichlorophenyl)-1-methylethyl]-5-hydroxy-3-phenyltetrahydro-1,3,5-triazin-2(1H)-one (1.50 g, 1.31 mmol) and dimethyl sulfate (95%) (144 μl,

1.45 mmol) were added to DMF (5 ml), followed by addition of sodium hydride (60% in oil) (58 mg, 1.45 mmol). The mixture was stirred at room temperature for 1.5 hours, after which it was diluted with 200 ml of water and extracted with 100 ml of ethyl acetate. The organic layer was washed with 100 ml of water and dried over  $\text{MgSO}_4$  and the solvent was distilled off. The residue was purified by silica gel column chromatography (chloroform:acetone = 15:1) and the crystal crop was harvested from hexane by filtration to provide 0.20 g (0.51 mmol) of 1-[1-(3,5-dichlorophenyl)-1-methylethyl]-5-methoxy-3-phenyltetrahydro-1,3,5-triazin-2(1H)-one as colorless crystals. Yield 39%.

$^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm: 1.68 (6H, s,  $\text{C}(\text{CH}_3)_2$ ), 3.68 (3H, s,  $\text{OCH}_3$ ), 4.55-4.83 (4H, m,  $\text{NCH}_2\text{N} \times 2$ ), 7.10-7.34 (8H, m, Ph)

IR (nujol)  $\nu$   $\text{cm}^{-1}$ : 1653, 1471, 1283, 1250, 1041, 846  
mp: 116-117°C

#### [Example 15]

Synthesis of 5-amino-1-[1-(3,5-dichlorophenyl)-1-methylethyl]-3-phenyltetrahydro-1,3,5-triazin-2(1H)-one

In 5 ml of dichloromethane was dissolved 0.20 g (0.50 mmol) of 1-[1-(3,5-dichlorophenyl)-1-methylethyl]-3-phenyltetrahydro-1,3,5-triazin-2(1H)-one. To this solution was added a solution of O-mesitylenesulfonylhydroxylamine (0.14 g, 0.6 mmol) in dichloromethane (5 ml) dropwise at room temperature and the mixture was stirred for 0.5 hour. Then, 2 ml of 5% sodium hydroxide/ $\text{H}_2\text{O}$  was added. After phase separation, the organic layer was washed with water and dried over  $\text{MgSO}_4$ , and the solvent was distilled off. The residue was purified by silica gel column chromatography (ethyl acetate) to provide 0.40 g (0.10 mmol) of 5-amino-1-[1-(3,5-dichlorophenyl)-1-methylethyl]-3-phenyltetrahydro-1,3,5-triazin-2(1H)-one

as colorless oil. Yield 21%.

$^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm: 1.66 (6H, s), 3.70-4.15 (2H, br),  
4.63 (4H, s), 7.09-7.34 (8H, m)

IR (neat)  $\nu$   $\text{cm}^{-1}$ : 3335, 3000-2700, 1650, 1471, 1434,  
1247, 1176, 796, 758.

EI-MS  $m/z$ : 378 ( $\text{M}$ ) $^+$ .

[Example 16]

Synthesis of 1-[1-(3,5-dichlorophenyl)-1-methylethyl]-  
5-dimethylamino-3-phenyltetrahydro-1,3,5-triazin-2(1H)-  
one

In 10 ml of acetonitrile was dissolved 0.17 g  
(0.45 mmol) of 5-amino-1-[1-(3,5-dichlorophenyl)-1-  
methylethyl]-3-phenyltetrahydro-1,3,5-triazin-2(1H)-  
one. To this solution was added 2 ml of formalin (37%)  
at room temperature and the mixture was stirred for 5  
minutes. Then, 60 mg (0.90 mmol) of sodium  
cyanoborohydride was added and the mixture was stirred  
for 0.5 hour. This reaction mixture was adjusted to pH  
7 with acetic acid and the solvent was distilled off,  
under reduced pressure. The residue was extracted with  
chloroform and water and the organic layer was dried  
over  $\text{MgSO}_4$ . The solvent was then distilled off and the  
residue was purified by silica gel column  
chromatography (ethyl acetate:hexane = 1:1) to provide  
80 mg (0.19 mmol) of 1-[1-(3,5-dichlorophenyl)-1-  
methylethyl]-5-dimethylamino-3-phenyltetrahydro-1,3,5-  
triazin-2(1H)-one as white crystals. Yield 42%.

mp: 121°C (decomp)

$^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm: 1.69 (6H, s), 2.61 (6H, s), 4.71  
(4H, s), 7.14-7.25 (8H, m)

IR (neat)  $\nu$   $\text{cm}^{-1}$ : 1643(C=O), 1302, 1247, 1147, 791,  
763, 721.

EI-MS  $m/z$ : 406 ( $\text{M}$ ) $^+$ .

[Example 17]

Synthesis of 5-benzyl-1-[1-(3,5-dichlorophenyl)-1-  
methylethyl]-3-phenylbiuret

- To a suspension of sodium hydride (66% in oil) (0.45 g, 12 mmol) in DMF (40 ml) was added a solution of 1-[1-(3,5-dichlorophenyl)-1-methylethyl]-3-phenylurea (2.00 g, 6.19 mmol) in DMF (40 ml) dropwise over 22 minutes at 2-3°C and the mixture was stirred at the same temperature for 1 hour. Then, at 2-4°C, 0.92 ml (7.5 mmol) of benzyl isocyanate was added dropwise and the mixture was stirred at that temperature for 10 minutes and then at room temperature for 15.5 hours.
- This reaction mixture was added in small portions to 100 ml of water under ice-cooling and extracted with 120 ml of ethyl acetate. After phase separation, the organic layer was washed 3 times with 50 ml each of saturated NaCl/H<sub>2</sub>O and dried over MgSO<sub>4</sub>. The solvent was then distilled off and the residue was purified by silica gel column chromatography (chloroform:ethyl acetate = 50:1) to provide 1.58 g (3.46 mmol) of 5-benzyl-1-[1-(3,5-dichlorophenyl)-1-methylethyl]-3-phenylbiuret as white crystals. Yield 56%.
- <sup>1</sup>HNMR (CDCl<sub>3</sub>) δ ppm: 1.56(6H, s), 5.10(2H, s), 7.04(2H, d J=1.8Hz), 7.05-7.15(2H, m), 7.20(1H, t, J=1.8Hz), 7.23-7.50(8H, m), 9.58(1H, brs)
- IR (nujol) ν cm<sup>-1</sup>: 3433, 1691, 1596, 1549, 1523, 1462, 1447, 1218
- mp: 161-165°C
- [Example 18]
- Synthesis of 1-benzyl-5-[1-(3,5-dichlorophenyl)-1-methylethyl]-3-phenyldihydro-1,3,5-triazine-2,4(1H,3H)-dione
- 5-Benzyl-1-[1-(3,5-dichlorophenyl)-1-methylethyl]-3-phenylbiuret (0.50 g, 1.1 mmol) and boron trifluoride-diethyl ether complex (46%) (0.30 ml, 1.1 mmol) were dissolved in dimethoxymethane (15 ml) and the solution was refluxed for 15.5 hours [0.40 ml (1.5 mmol) of boron trifluoride-diethyl ether complex was further added at 7.5 hours]. After spontaneous

cooling, the reaction mixture was added in small portions to 25 ml of saturated sodium hydrogencarbonate/H<sub>2</sub>O under ice-cooling and extracted with 30 ml of ethyl acetate. After phase separation, the organic layer was washed with 25 ml of saturated NaCl/H<sub>2</sub>O and dried over MgSO<sub>4</sub> and the solvent was distilled off. The residue was purified by silica gel column chromatography (hexane:ethyl acetate = 3:1) to provide 0.21 g (0.45 mmol) of 1-benzyl-5-[1-(3,5-dichlorophenyl)-1-methylethyl]-3-phenyldihydro-1,3,5-triazine-2,4(1H,3H)-dione as colorless amorphous powder. Yield 40%.

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.73(6H, s), 4.81(2H, s), 4.97(2H, s), 7.15-7.45(13H, m)

IR (nujol) ν cm<sup>-1</sup>: 1720, 1681, 1589, 1566, 1495, 1437, 1216, 1185

EI-MS m/z: 467(M<sup>+</sup>)

[Example 19]

Synthesis of 1-[1-(3,5-dichlorophenyl)-1-methylethyl]-3-phenyl-3,4-dihydro-1,3,5-triazin-2(1H)-one

In 10 ml of dichloromethane was dissolved 0.15 g (0.41 mmol) of 1-[1-(3,5-dichlorophenyl)-1-methylethyl]-3-phenyltetrahydro-1,3,5-triazin-2(1H)-one, followed by addition of 93 μl (0.82 mmol) of t-butyl nitrite under ice-cooling. The mixture was stirred under ice-cooling for 30 minutes and at room temperature for 30 minutes. Then, 100 μl (0.82 mmol) of triethylamine was added and the mixture was stirred at room temperature for 15 hours. This reaction mixture was diluted with 30 ml of dichloromethane and 30 ml of water and, after phase separation, the aqueous layer was extracted with 20 ml of dichloromethane. The organic layers were combined and dried over MgSO<sub>4</sub> and the solvent was distilled off. The residue was washed with diisopropyl ether and the resulting crystal crop was subjected to silica gel column chromatography

(diethyl ether) to provide 0.067 g (0.18 mmol) of 1-[1-(3,5-dichlorophenyl)-1-methylethyl]-3-phenyl-3,4-dihydro-1,3,5-triazin-2(1H)-one as colorless crystals. Yield 45%.

5  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm: 1.72(6H, s), 5.02 (2H, s), 7.20-7.43(9H, m)

IR (nujol)  $\nu$   $\text{cm}^{-1}$ : 1689, 1565, 1432, 1295, 1276, 1225, 795, 768

CI-MS  $m/z$ : 362( $\text{M}^+$ )

10 mp: 188-191°C

[Example 20]

Synthesis of 1-[1-(3,5-dichlorophenyl)-1-methylethyl]-3-phenyl-3,6-dihydro-1,3,5-triazin-2(1H)-one

In 15 ml of dichloromethane was dissolved 0.20 g (0.55 mmol) of 1-[1-(3,5-dichlorophenyl)-1-methylethyl]-3-phenyltetrahydro-1,3,5-triazine-2(1H)-one, followed by addition of 0.13 ml (1.4 mmol) of t-butyl nitrite dropwise under ice-cooling, and the mixture was stirred for 1 hour. This reaction mixture was concentrated under reduced pressure and 15 ml of dichloromethane was further added to the residue. To this solution was added a solution of piperidine (0.12 g, 1.4 mmol) in dichloromethane (10 ml) dropwise at room temperature, and the mixture was stirred for 14 hours. This reaction mixture was concentrated under reduced pressure and the residue was purified by silica gel column chromatography (hexane:ethyl acetate = 2:1) to provide 0.080 g (0.22 mmol) of 1-[1-(3,5-dichlorophenyl)-1-methylethyl]-3-phenyl-3,6-dihydro-1,3,5-triazin-2(1H)-one as colorless crystals. Yield 27%.

30  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm: 1.84(6H, s), 5.12 (2H, s), 7.16-7.54(9H, m)

IR (nujol)  $\nu$   $\text{cm}^{-1}$ : 2359, 1682, 1564, 1276, 1218, 853, 797, 753, 700

35 mp: 170-175°C

The compounds which were synthesized or can be synthesized in substantially the same manner as in Examples 1-20 are shown, together with the compounds of Examples 1-20, in Tables 1-21.



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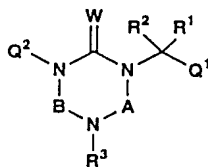


Table 1

No.	Q <sup>1</sup>	Q <sup>2</sup>	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	A	B	W	mp(°C)
I - 1			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	101.5-102.5
I - 2			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	103-106
I - 3			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	101-112
I - 4			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	102-103
I - 5			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	108.5-109
I - 6			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	119-122
I - 7			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I - 8			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I - 9			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	147-150
I - 10			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I - 11			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	125.5-127.5
I - 12			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	96.5-98
I - 13			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	oil <sup>1)</sup>
I - 14			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	

1): <sup>1</sup>H-NMR (200MHz, CDCl<sub>3</sub>) δ ppm: 1.60 (6H, s), 2.63 (3H, s), 2.90-3.30 (4H, m), 3.60-3.70 (4H, m), 4.21 (2H, s), 4.25 (2H, s), 7.16 (3H, s).

Table 2




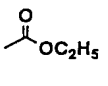

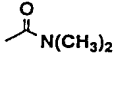

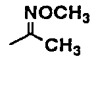

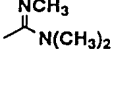

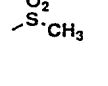

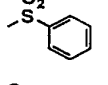

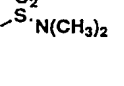

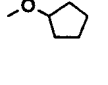

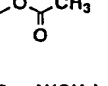

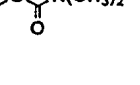

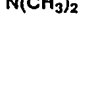

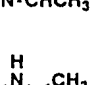

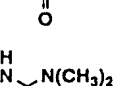

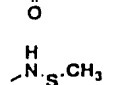

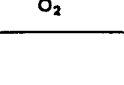
No.	Q <sup>1</sup>	Q <sup>2</sup>	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	A	B	W	mp(°C)
I - 15			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I - 16			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I - 16			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I - 17			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I - 18			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I - 18			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I - 19			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I - 20			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I - 21			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I - 22			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I - 23			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I - 24			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I - 25			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I - 26			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I - 27			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I - 28			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	

Table 3

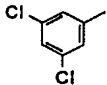

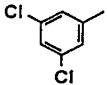

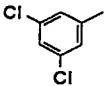

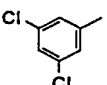


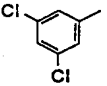

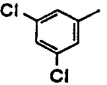


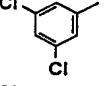


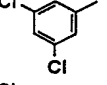


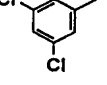

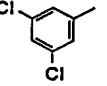

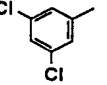

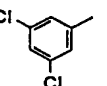

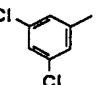

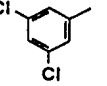

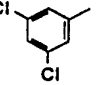

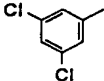

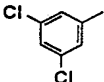

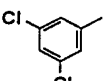

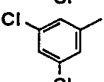

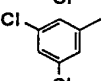

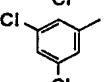

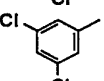

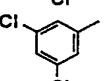

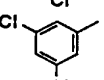

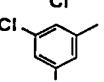

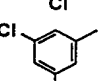

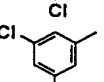

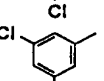

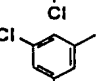

No.	Q <sup>1</sup>	Q <sup>2</sup>	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	A	B	W	mp(°C)
I - 29			CH <sub>3</sub>	CH <sub>3</sub>	H	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	198-200
I - 30			CH <sub>3</sub>	CH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	79-83
I - 31			CH <sub>3</sub>	CH <sub>3</sub>	C <sub>3</sub> H <sub>7</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	126-128
I - 32			CH <sub>3</sub>	CH <sub>3</sub>		-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	92-95
I - 33			CH <sub>3</sub>	CH <sub>3</sub>	C <sub>4</sub> H <sub>9</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	131-135
I - 34			CH <sub>3</sub>	CH <sub>3</sub>		-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	114-115
I - 35			CH <sub>3</sub>	CH <sub>3</sub>		-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	143-145
I - 36			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>2</sub> - 	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	108-112
I - 37			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>2</sub> CN	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I - 38			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>2</sub> OCH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I - 39			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>2</sub> SCH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I - 40			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>2</sub> SO <sub>2</sub> CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I - 41			CH <sub>3</sub>	CH <sub>3</sub>	COCH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	124-125
I - 42			CH <sub>3</sub>	CH <sub>3</sub>	CO <sub>2</sub> CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I - 43			CH <sub>3</sub>	CH <sub>3</sub>	CON(CH <sub>3</sub> ) <sub>2</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	177-177.5

Table 4

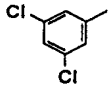

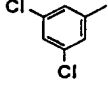

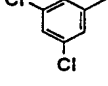

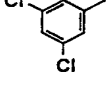

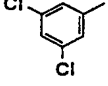

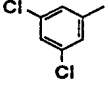

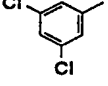

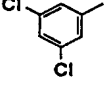

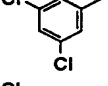

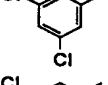

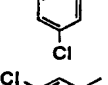

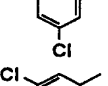

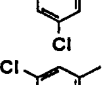

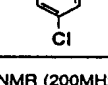

No.	Q <sup>1</sup>	Q <sup>2</sup>	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	A	B	W	mp(°C)
I -44			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>2</sub> CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>	—CH <sub>2</sub> —	—CH <sub>2</sub> —	O	100-102
I -45			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>2</sub> CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>	—CH <sub>2</sub> —	—CH <sub>2</sub> —	O	oil <sup>1)</sup>
I -46			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>2</sub> CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>	—CH <sub>2</sub> —	—CH <sub>2</sub> —	O	85-86
I -47			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>2</sub> CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>	—CH <sub>2</sub> —	—CH <sub>2</sub> —	O	142.5-143
I -48			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>2</sub> CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>	—CH <sub>2</sub> —	—CH <sub>2</sub> —	O	86-88
I -49			CH <sub>3</sub>	CH <sub>3</sub>	$\frac{\text{CH}}{\text{CH}_3} \cdot \text{CO}_2\text{C}_2\text{H}_5$	—CH <sub>2</sub> —	—CH <sub>2</sub> —	O	oil <sup>2)</sup>
I -50			CH <sub>3</sub>	CH <sub>3</sub>	$\frac{\text{CH}_3}{\text{CH}} \cdot \text{CO}_2\text{C}_2\text{H}_5$	—CH <sub>2</sub> —	—CH <sub>2</sub> —	O	96-100
I -51			CH <sub>3</sub>	CH <sub>3</sub>	(CH <sub>2</sub> ) <sub>3</sub> CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>	—CH <sub>2</sub> —	—CH <sub>2</sub> —	O	oil <sup>3)</sup>
I -52			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>2</sub> CO <sub>2</sub> H	—CH <sub>2</sub> —	—CH <sub>2</sub> —	O	232(decomp)
I -53			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>2</sub> CO <sub>2</sub> H	—CH <sub>2</sub> —	—CH <sub>2</sub> —	O	140(decomp)
I -54			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>2</sub> CO <sub>2</sub> H	—CH <sub>2</sub> —	—CH <sub>2</sub> —	O	209-211(decomp)
I -55			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>2</sub> CO <sub>2</sub> H	—CH <sub>2</sub> —	—CH <sub>2</sub> —	O	202(decomp)
I -56			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>2</sub> CO <sub>2</sub> H	—CH <sub>2</sub> —	—CH <sub>2</sub> —	O	149-150(decomp)
I -57			CH <sub>3</sub>	CH <sub>3</sub>	$\frac{\text{CH}}{\text{CH}_3} \cdot \text{CO}_2\text{H}$	—CH <sub>2</sub> —	—CH <sub>2</sub> —	O	215(decomp)

1): <sup>1</sup>H-NMR (200MHz, CDCl<sub>3</sub>) δ ppm: 1.33 (3H, t J=7.1Hz), 1.63-1.69 (6H, m), 3.87 (1H, qAB JAB=17Hz), 3.91 (1H, qAB JAB=17Hz), 4.28 (2H, q J=7.1Hz), 4.35-4.78 (4H, m), 7.13-7.36 (7H, m)

2): <sup>1</sup>H-NMR (200MHz, CDCl<sub>3</sub>) δ ppm: 1.33 (3H, t J=7.1Hz), 1.66 (6H, s), 3.83 (2H, s), 4.27 (2H, q J=7.1Hz), 4.56 (2H, s), 4.67 (2H, s), 7.06-7.21 (7H, m)

3): <sup>1</sup>H-NMR (200MHz, CDCl<sub>3</sub>) δ ppm: 1.26 (3H, t J=7.1Hz), 1.67 (6H, s), 1.89 (2H, quintet J=7.1Hz), 2.43 (1H, t J=7.1Hz), 2.98 (2H, t J=6.9Hz), 4.14 (2H, q J=7.1Hz), 4.51 (2H, s), 4.53 (2H, s), 7.08-7.33 8H, (8H, m)

Table 5

No.	Q <sup>1</sup>	Q <sup>2</sup>	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	A	B	W	mp(°C)
I - 58			CH <sub>3</sub>	CH <sub>3</sub>	$\begin{array}{c} \text{CH}_3 \\   \\ \text{C}-\text{CO}_2\text{H} \\   \\ \text{CH}_3 \end{array}$	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	230(decomp)
I - 59			CH <sub>3</sub>	CH <sub>3</sub>	(CH <sub>2</sub> ) <sub>3</sub> CO <sub>2</sub> H	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	178-180(decomp)
I - 60			CH <sub>3</sub>	CH <sub>3</sub>	(CH <sub>2</sub> ) <sub>2</sub> OH	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	105.5-106
I - 61			CH <sub>3</sub>	CH <sub>3</sub>	(CH <sub>2</sub> ) <sub>2</sub> OCH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	oil <sup>1)</sup>
I - 62			CH <sub>3</sub>	CH <sub>3</sub>	(CH <sub>2</sub> ) <sub>4</sub> OH	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	168-169
I - 63			CH <sub>3</sub>	CH <sub>3</sub>	(CH <sub>2</sub> ) <sub>4</sub> OCOCH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	oil <sup>2)</sup>
I - 64			CH <sub>3</sub>	CH <sub>3</sub>	(CH <sub>2</sub> ) <sub>2</sub> Br	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	114-117
I - 65			CH <sub>3</sub>	CH <sub>3</sub>	$\begin{array}{c} \text{CH}_3 \\   \\ \text{C}-\text{CH}_2\text{OH} \\   \\ \text{CH}_3 \end{array}$	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	oil <sup>3)</sup>
I - 66			CH <sub>3</sub>	CH <sub>3</sub>	OCH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	oil <sup>4)</sup>
I - 67			CH <sub>3</sub>	CH <sub>3</sub>	$\begin{array}{c} \text{O} \\   \\ \text{CH}_3-\text{C} \\    \\ \text{O} \end{array}$	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I - 68			CH <sub>3</sub>	CH <sub>3</sub>	$\begin{array}{c} \text{O} \\   \\ \text{O}-\text{S}-\text{CH}_3 \\    \\ \text{O}_2 \end{array}$	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I - 69			CH <sub>3</sub>	CH <sub>3</sub>	$\begin{array}{c} \text{O} \\   \\ \text{O}-\text{C}-\text{N}(\text{CH}_3)_2 \\    \\ \text{O} \end{array}$	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I - 70			CH <sub>3</sub>	CH <sub>3</sub>	N(CH <sub>3</sub> ) <sub>2</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	121
I - 71			CH <sub>3</sub>	CH <sub>3</sub>	N <sup>+</sup> CHCH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	amorphous <sup>5)</sup>

1): <sup>1</sup>H-NMR (200MHz, CDCl<sub>3</sub>) δ ppm: 1.65 (6H, s), 3.16 (2H, t J=5.0Hz), 3.40 (3H, s), 3.62 (2H, t J=5.0Hz), 4.66 (2H, s), 4.63 (2H, s), 7.08-7.32 (8H, m)

2): <sup>1</sup>H-NMR (200MHz, CDCl<sub>3</sub>) δ ppm: 1.67 (6H, s), 1.73-1.76 (2H, m), 2.04 (3H, s), 2.97 (1H, t J=6.9Hz), 4.12 (2H, t J=6.3Hz), 4.52 (2H, s), 4.55 (2H, s), 7.09-7.34 (8H, m)

3): <sup>1</sup>H-NMR (200MHz, CDCl<sub>3</sub>) δ ppm: 1.29 (6H, s), 1.70 (6H, s), 2.30 (1H, br), 3.42 (2H, br), 4.67 (2H, s), 4.69 (2H, s), 7.10-7.34 (8H, m)

4): <sup>1</sup>H-NMR (200MHz, CDCl<sub>3</sub>) δ ppm: 1.68 (6H, s), 3.68 (3H, s), 4.55-4.83 (4H, m), 7.10-7.34 (8H, m)

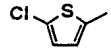

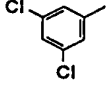

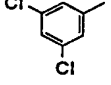


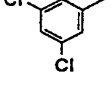

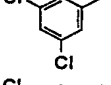
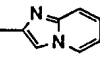
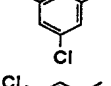

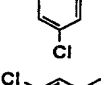

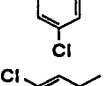

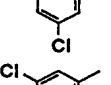

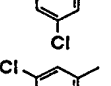

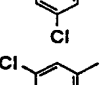


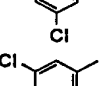

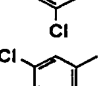

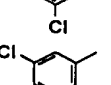

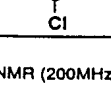

5): <sup>1</sup>H-NMR (200MHz, CDCl<sub>3</sub>) δ ppm: 1.69 (6H, s), 2.08 (3H, d J=4.0Hz), 4.95 (4H, s), 7.13-7.31 (9H, m)

Table 6

No.	Q <sup>1</sup>	Q <sup>2</sup>	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	A	B	W	mp(°C)
I-72			CH <sub>3</sub>	CH <sub>3</sub>		-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	190-192
I-73			CH <sub>3</sub>	CH <sub>3</sub>		-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	187-188
I-74			CH <sub>3</sub>	CH <sub>3</sub>		-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	75
I-75			CH <sub>3</sub>	CH <sub>3</sub>		-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I-76			CH <sub>3</sub>	CH <sub>3</sub>		-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I-77			CH <sub>3</sub>	CH <sub>3</sub>		-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I-78			CH <sub>3</sub>	CH <sub>3</sub>	SO <sub>2</sub> CF <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I-79			CH <sub>3</sub>	CH <sub>3</sub>		-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I-80			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>			O	
I-81			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>			O	
I-82			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>		-CH <sub>2</sub> -	O	
I-83			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -		O	
I-84			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I-85			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I-86			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	oil <sup>1)</sup>
I-87			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	

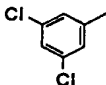

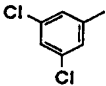


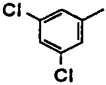


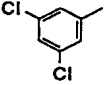

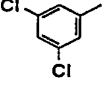


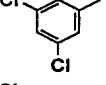

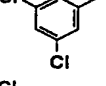

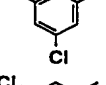

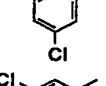

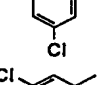

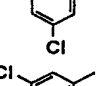

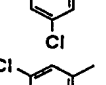

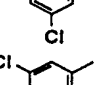

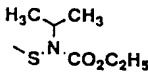
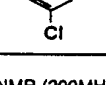

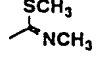
1): <sup>1</sup>H-NMR (200MHz, CDCl<sub>3</sub>) δ ppm: 1.76 (6H, s), 2.31 (6H, s), 2.69 (3H, s), 4.25 (2H, s), 4.50 (2H, s), 6.83 (1H, s), 7.00 (2H, s), 7.08-7.34 (5H, m).

Table 7

No.	Q <sup>1</sup>	Q <sup>2</sup>	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	A	B	W	mp(°C)
I-88			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I-89			CH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I-90			H		CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I-91			-CH <sub>2</sub> CH <sub>2</sub> -		CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I-92			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I-93			CH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	S	
I-94			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	79-82
I-95			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>2</sub> CO <sub>2</sub> H	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	136-138
I-96			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>2</sub> CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	109-110
I-97			CH <sub>3</sub>	CH <sub>3</sub>	(CH <sub>2</sub> ) <sub>3</sub> CHO	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	129-131
I-98			CH <sub>3</sub>	CH <sub>3</sub>		-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	160
I-99			CH <sub>3</sub>	CH <sub>3</sub>	CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	124-125
I-100			CH <sub>3</sub>	CH <sub>3</sub>	SO <sub>2</sub> CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	amorphous <sup>1)</sup>
I-101			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	116-117
I-102			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	108-110

1): <sup>1</sup>H-NMR (200MHz, CDCl<sub>3</sub>) δ ppm: 1.74 (6H, s), 3.15 (3H, s), 5.13 (2H, s), 5.13 (2H, s), 7.15-7.38 (8H, m)





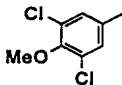












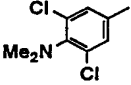







Table 8

No.	Q <sup>1</sup>	Q <sup>2</sup>	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	A	B	W	mp(°C)
I - 103			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	74-86
I - 104			CH <sub>3</sub>	CH <sub>3</sub>		-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	105-106
I - 105			CH <sub>3</sub>	CH <sub>3</sub>		-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	99-101
I - 106			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>2</sub> CF <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	105-107
I - 107			CH <sub>3</sub>	CH <sub>3</sub>	CO <sub>2</sub> CH <sub>2</sub> - 	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	152-153
I - 108			CH <sub>3</sub>	CH <sub>3</sub>	OH	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	213-217
I - 109			CH <sub>3</sub>	CH <sub>3</sub>	COCF <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	209-210
I - 110			CH <sub>3</sub>	CH <sub>3</sub>	CSNHCH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	214-216
I - 111			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>2</sub> C≡CH	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	95-96
I - 112			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>2</sub> CH=CH <sub>2</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	90-91
I - 113			CH <sub>3</sub>	CH <sub>3</sub>	SCO <sub>2</sub> CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	171
I - 114			CH <sub>3</sub>	CH <sub>3</sub>	CONHCH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	201-202
I - 115			CH <sub>3</sub>	CH <sub>3</sub>		-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	oil <sup>1)</sup>
I - 116			CH <sub>3</sub>	CH <sub>3</sub>		-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	130-131

1): <sup>1</sup>H-NMR (200MHz, CDCl<sub>3</sub>) δ ppm: 1.23-1.33 (9H, m), 1.71 (6H, s), 4.20 (2H, q J=7.1Hz), 4.49 (1H, quint J=6.6Hz), 4.94 (2H, s), 4.96 (2H, s), 7.11-7.35 (8H, m).



Table 9

No.	Q <sup>1</sup>	Q <sup>2</sup>	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	A	B	W	mp(°C)
I - 117			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	—CS—	—CH <sub>2</sub> —	O	amorphous <sup>1)</sup>
I - 118			CH <sub>3</sub>	CH <sub>3</sub>	OCH <sub>2</sub> OCH <sub>3</sub>	—CH <sub>2</sub> —	—CH <sub>2</sub> —	O	oil <sup>2)</sup>
I - 119			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	—CH <sub>2</sub> —	—CH <sub>2</sub> —	O	129-132
I - 120			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	—CH <sub>2</sub> —	—CH <sub>2</sub> —	O	122-123
I - 121			CH <sub>3</sub>	CH <sub>3</sub>	NHCH <sub>3</sub>	—CH <sub>2</sub> —	—CH <sub>2</sub> —	O	amorphous <sup>3)</sup>
I - 122			CH <sub>3</sub>	CH <sub>3</sub>	N=CH <sub>2</sub>	—CH <sub>2</sub> —	—CH <sub>2</sub> —	O	120-123
I - 123			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	—CH <sub>2</sub> —	—CH <sub>2</sub> —	O	150-152
I - 124			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>2</sub> - 	—CO—	—CH <sub>2</sub> —	O	oil <sup>4)</sup>
I - 125			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	—CH <sub>2</sub> —	—CH <sub>2</sub> —	O	139-141
I - 126			CH <sub>3</sub>	CH <sub>3</sub>	OC <sub>4</sub> H <sub>9</sub>	—CH <sub>2</sub> —	—CH <sub>2</sub> —	O	125-125.5
I - 127			CH <sub>3</sub>	CH <sub>3</sub>	OC <sub>3</sub> H <sub>7</sub>	—CH <sub>2</sub> —	—CH <sub>2</sub> —	O	89-89.5
I - 128			CH <sub>3</sub>	CH <sub>3</sub>	OC <sub>2</sub> H <sub>5</sub>	—CH <sub>2</sub> —	—CH <sub>2</sub> —	O	120-122

1): <sup>1</sup>H-NMR (200MHz, CDCl<sub>3</sub>) δ ppm: 1.74 (6H, s), 3.34 (3H, s), 4.47 (2H, s), 6.87 (2H, dd J=8.4, 1.2Hz), 7.12 (1H, t J=7.4Hz), 7.20-7.40 (5H, m)

2): <sup>1</sup>H-NMR (200MHz, CDCl<sub>3</sub>) δ ppm: 1.58 (6H, d J=2.0Hz), 3.08-3.38 (5H, m), 4.48 (2H, q J=14Hz), 5.09 (2H, s), 7.07-7.33 (8H, m)

3): <sup>1</sup>H-NMR (200MHz, CDCl<sub>3</sub>) δ ppm: 1.87 (6H, s), 2.68 (3H, s), 4.66 (2H, s), 4.68 (2H, s), 7.10-7.34 (8H, m)

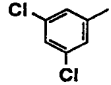

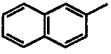

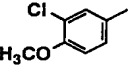

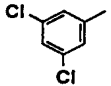
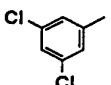
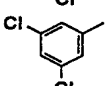

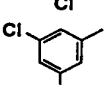

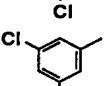

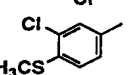

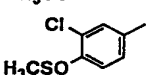

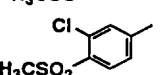

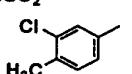

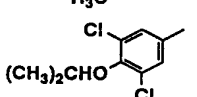

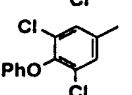

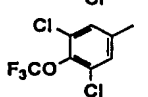

4): <sup>1</sup>H-NMR (200MHz, CDCl<sub>3</sub>) δ ppm: 1.81 (6H, s), 4.66 (2H, s), 5.00 (2H, s), 7.05-7.50 (15H, m).

Table 10

No.	Q <sup>1</sup>	Q <sup>2</sup>	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	A	B	W	mp(°C)
I-129			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>2</sub> -	-CO-	-CH <sub>2</sub> -	O	amorphous <sup>1)</sup>
I-130			CH <sub>3</sub>	CH <sub>3</sub>	N=C(CH <sub>3</sub> ) <sub>2</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	94-97
I-131			CH <sub>3</sub>	CH <sub>3</sub>	N=CHOCH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	amorphous <sup>2)</sup>
I-132			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	oil <sup>3)</sup>
I-133			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	146-149
I-134			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	98-100
I-135			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	74-76.5
I-136			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	104-107
I-137			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	176-178
I-138			CH <sub>3</sub>	CH <sub>3</sub>	OCH <sub>2</sub> CH=CH <sub>2</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	75-76
I-139			CH <sub>3</sub>	CH <sub>3</sub>	OCH <sub>2</sub> C≡CH	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	amorphous <sup>4)</sup>
I-140			CH <sub>3</sub>	CH <sub>3</sub>	O-C(CH <sub>3</sub> ) <sub>2</sub> -CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	116-117

1): <sup>1</sup>H-NMR (200MHz, CDCl<sub>3</sub>) δ ppm: 1.73 (6H, s), 4.81 (2H, s), 4.97 (2H, s), 7.15-7.45 (13H, m)2): <sup>1</sup>H-NMR (200MHz, CDCl<sub>3</sub>) δ ppm: 1.67 (6H, s), 3.90 (3H, s), 4.61 (2H, s), 4.67 (2H, s), 7.07-7.39 (8H, m), 8.13 (1H, s)3): <sup>1</sup>H-NMR (200MHz, CDCl<sub>3</sub>) δ ppm: 1.68 (6H, s), 2.78 (3H, s), 4.48 (2H, s), 4.53 (2H, s), 5.01 (2H, s), 7.13-7.59 (12H, m)4): <sup>1</sup>H-NMR (200MHz, CDCl<sub>3</sub>) δ ppm: 1.66 (6H, s), 2.46 (1H, t J=2.3Hz), 4.48 (2H, d J=2.3Hz), 4.58-4.89 (4H, m), 7.12-7.33 (8H, m)

Table 11

No.	Q <sup>1</sup>	Q <sup>2</sup>	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	A	B	W	mp(°C)
I - 141			CH <sub>3</sub>	CH <sub>3</sub>	N(CH <sub>3</sub> )COCH <sub>3</sub>	—CO—	—CH <sub>2</sub> —	O	163.5-165
I - 142			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	—CH <sub>2</sub> —	—CH <sub>2</sub> —	O	215-217
I - 143			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	—CH <sub>2</sub> —	—CH <sub>2</sub> —	O	oil <sup>1)</sup>
I - 144		OH	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	—CH <sub>2</sub> —	—CH <sub>2</sub> —	O	134-135
I - 145		OCH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	—CH <sub>2</sub> —	—CH <sub>2</sub> —	O	oil <sup>2)</sup>
I - 146			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	—CH <sub>2</sub> —	—CH <sub>2</sub> —	O	oil <sup>3)</sup>
I - 147			CH <sub>3</sub>	CH <sub>3</sub>	PO(OC <sub>2</sub> H <sub>5</sub> ) <sub>2</sub>	—CO—	—CH <sub>2</sub> —	O	163.5-165
I - 148			CH <sub>3</sub>	CH <sub>3</sub>	COCH <sub>3</sub>	—CH <sub>2</sub> —	—CH <sub>2</sub> —	O	140-141
I - 149			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	—CH <sub>2</sub> —	—CH <sub>2</sub> —	O	oil <sup>4)</sup>
I - 150			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	—CH <sub>2</sub> —	—CH <sub>2</sub> —	O	
I - 151			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	—CH <sub>2</sub> —	—CH <sub>2</sub> —	O	
I - 152			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	—CH <sub>2</sub> —	—CH <sub>2</sub> —	O	138-140
I - 153			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	—CH <sub>2</sub> —	—CH <sub>2</sub> —	O	113-117
I - 154			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	—CH <sub>2</sub> —	—CH <sub>2</sub> —	O	amorphous <sup>5)</sup>
I - 155			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	—CH <sub>2</sub> —	—CH <sub>2</sub> —	O	

1): <sup>1</sup>H-NMR (200MHz, CDCl<sub>3</sub>) δ ppm: 1.73 (6H, s), 2.72 (3H, s), 3.87 (3H, s), 4.36 (2H, s), 4.50 (2H, s), 6.86 (1H, d J=8.6Hz), 7.05-7.35 (6H, m), 7.38 (1H, d J=2.4Hz)

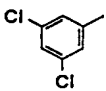
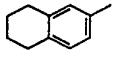

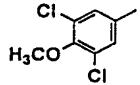

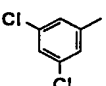

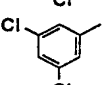

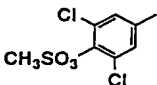

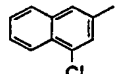

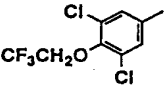

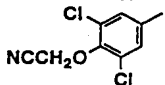

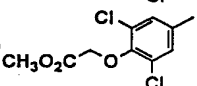

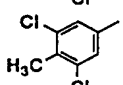

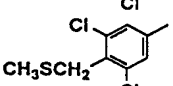

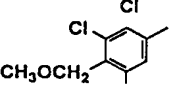

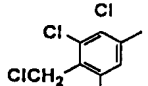

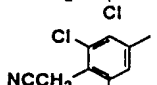

2): <sup>1</sup>H-NMR (200MHz, CDCl<sub>3</sub>) δ ppm: 1.68 (6H, s), 2.72 (3H, s), 3.34 (3H, s), 4.25 (2H, s), 4.72 (2H, s), 7.24-7.29 (3H, m)

3): <sup>1</sup>H-NMR (200MHz, CDCl<sub>3</sub>) δ ppm: 1.69 (6H, s), 2.87 (3H, s), 4.37 (2H, s), 4.57 (2H, s), 7.17-8.07 (8H, m)

4): <sup>1</sup>H-NMR (200MHz, CDCl<sub>3</sub>) δ ppm: 1.71 (6H, s), 2.45 (3H, s), 2.74 (3H, s), 4.42 (2H, s), 4.52 (2H, s), 7.05-7.20 (4H, m), 7.20-7.40 (4H, m)

5): <sup>1</sup>H-NMR (200MHz, CDCl<sub>3</sub>) δ ppm: 1.71 (6H, s), 2.79 (3H, s), 4.51 (2H, s), 4.54 (2H, s), 6.83 (2H, d J=8.4 Hz), 6.99-7.37 (10H, m)

Table 12

No.	Q <sup>1</sup>	Q <sup>2</sup>	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	A	B	W	mp(°C)
I - 156		OCH <sub>2</sub> CH=CH <sub>2</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	oil <sup>1)</sup>
I - 157			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	oil <sup>2)</sup>
I - 158			CH <sub>3</sub>	CH <sub>3</sub>	OCH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I - 159			CH <sub>3</sub>	CF <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	oil <sup>3)</sup>
I - 160			CH <sub>3</sub>	CH <sub>2</sub> F	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	oil <sup>4)</sup>
I - 161			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	164-166
I - 162			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	145-150
I - 163			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	116.5-118
I - 164			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I - 165			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I - 166			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I - 167			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I - 168			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I - 169			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I - 170			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	

1): <sup>1</sup>H-NMR (200MHz, CDCl<sub>3</sub>) δ ppm: 1.84 (6H, s), 2.68 (3H, s), 4.21 (2H, s), 4.33-4.37 (4H, m), 5.22-5.35 (2H, m), 5.84-6.05 (1H, m), 7.18-7.22 (3H, m)

2): <sup>1</sup>H-NMR (200MHz, CDCl<sub>3</sub>) δ ppm: 1.76 (10H, ms), 2.68 (3H, s), 2.68-2.81 (4H, m), 4.26 (2H, s), 4.49 (2H, m), 6.97-7.34 (8H, m)

3): <sup>1</sup>H-NMR (200MHz, CDCl<sub>3</sub>) δ ppm: 1.56 (3H, s), 2.80 (3H, s), 4.53 (2H, s), 4.55 (2H, s), 7.11-7.20 (3H, m), 7.24-7.35 (5H, m)

4): <sup>1</sup>H-NMR (200MHz, CDCl<sub>3</sub>) δ ppm: 1.73 (3H, d J=2.2Hz), 2.77 (3H, s), 4.48 (2H, s), 4.53 (2H, s), 4.91, 5.14 (2H, dd J=9.4, 48Hz), 7.12-7.38 (5H, m)

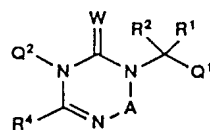
Table 13

No.	Q <sup>1</sup>	Q <sup>2</sup>	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	A	B	W	mp(°C)
I-171			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I-172			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I-173			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I-174			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I-175			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	
I-176			-CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> -		CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	oil <sup>1)</sup>
I-177			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	105-108
I-178			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	-CH <sub>2</sub> -	O	oil <sup>2)</sup>

1): <sup>1</sup>H-NMR (200MHz, CDCl<sub>3</sub>) δ ppm: 1.50-1.75(1H, m), 1.75-1.95(1H, m), 2.54(3H, s), 2.60-2.75(4H, m), 4.19(2H, s), 4.45(2H, s), 7.10-7.45(6H, m), 7.57(2H, d, J=1.9Hz)

2): <sup>1</sup>H-NMR (200MHz, CDCl<sub>3</sub>) δ ppm: 1.79(6H, s), 2.75(3H, s), 4.42(2H, s), 4.52(2H, s), 6.75(1H, d J=2.2Hz), 7.07-7.32(5H, m), 7.36(1H, d J=1.7Hz), 7.50(1H, d J=1.7Hz), 7.63(1H, d J=2.2Hz)

Table 14



No.	Q <sup>1</sup>	Q <sup>2</sup>	R <sup>1</sup>	R <sup>2</sup>	R <sup>4</sup>	A	W	mp(°C)
II-1			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
II-2			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
II-3			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
II-4			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
II-5			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
II-6			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
II-7			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
II-8			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
II-9			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
II-10			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
II-11			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
II-12			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
II-13			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
II-14			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	

Table 15

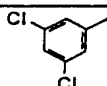
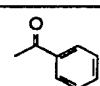
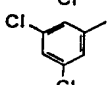
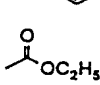
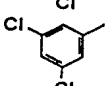
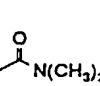
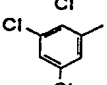
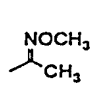
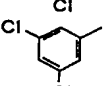
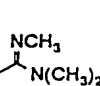
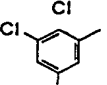
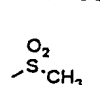
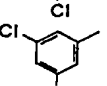
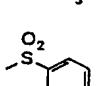
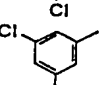
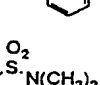
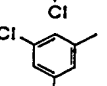
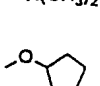
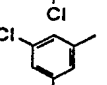
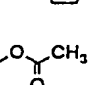
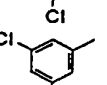
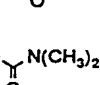
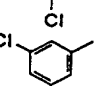
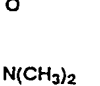
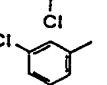
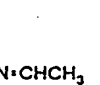
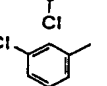
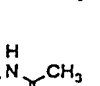
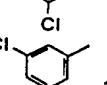
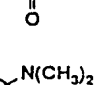
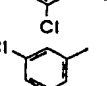
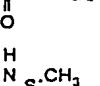
No.	Q <sup>1</sup>	Q <sup>2</sup>	R <sup>1</sup>	R <sup>2</sup>	R <sup>4</sup>	A	W	mp(°C)
II-15			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
II-16			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
II-17			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
II-18			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
II-19			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
II-20			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
II-21			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
II-22			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
II-23			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
II-24			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
II-25			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
II-26			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
II-27			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
II-28			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
II-29			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
II-30			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	

Table 16

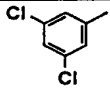

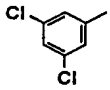

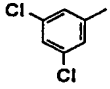

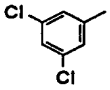


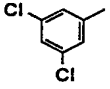

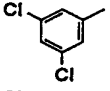


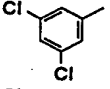


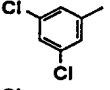

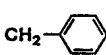
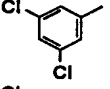

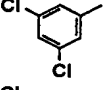

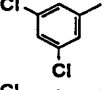

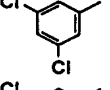

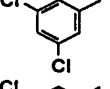


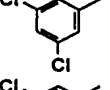

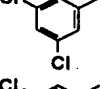


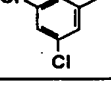


No.	Q <sup>1</sup>	Q <sup>2</sup>	R <sup>1</sup>	R <sup>2</sup>	R <sup>4</sup>	A	W	mp(°C)
II-30			CH <sub>3</sub>	CH <sub>3</sub>	H	-CH <sub>2</sub> -	O	170-175
II-31			CH <sub>3</sub>	CH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	-CH <sub>2</sub> -	O	
II-32			CH <sub>3</sub>	CH <sub>3</sub>	C <sub>3</sub> H <sub>7</sub>	-CH <sub>2</sub> -	O	
II-33			CH <sub>3</sub>	CH <sub>3</sub>		-CH <sub>2</sub> -	O	
II-34			CH <sub>3</sub>	CH <sub>3</sub>	C <sub>4</sub> H <sub>9</sub>	-CH <sub>2</sub> -	O	
II-35			CH <sub>3</sub>	CH <sub>3</sub>		-CH <sub>2</sub> -	O	
II-36			CH <sub>3</sub>	CH <sub>3</sub>		-CH <sub>2</sub> -	O	
II-37			CH <sub>3</sub>	CH <sub>3</sub>		-CH <sub>2</sub> -	O	
II-38			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>2</sub> CN	-CH <sub>2</sub> -	O	
II-39			CH <sub>3</sub>	CH <sub>3</sub>	COCH <sub>3</sub>	-CH <sub>2</sub> -	O	
II-40			CH <sub>3</sub>	CH <sub>3</sub>	OCH <sub>3</sub>	-CH <sub>2</sub> -	O	
II-41			CH <sub>3</sub>	CH <sub>3</sub>	N(CH <sub>3</sub> ) <sub>2</sub>	-CH <sub>2</sub> -	O	
II-42			CH <sub>3</sub>	CH <sub>3</sub>		-CH <sub>2</sub> -	O	
II-43			CH <sub>3</sub>	CH <sub>3</sub>	SCH <sub>3</sub>	-CH <sub>2</sub> -	O	
II-44			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>		O	
II-45			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>		O	

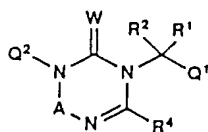


Table 17

No.	Q <sup>1</sup>	Q <sup>2</sup>	R <sup>1</sup>	R <sup>2</sup>	R <sup>4</sup>	A	W	mp(°C)
II-46			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CF <sub>2</sub> -	O	
II-47			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CO-	O	
II-48			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
II-49			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
II-50			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
II-51			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
II-52			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
II-53			CH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
II-54			H		CH <sub>3</sub>	-CH <sub>2</sub> -	O	
II-55			-CH <sub>2</sub> CH <sub>2</sub> -		CH <sub>3</sub>	-CH <sub>2</sub> -	O	
II-56			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
II-57			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	S	

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Table 18



No.	Q <sup>1</sup>	Q <sup>2</sup>	R <sup>1</sup>	R <sup>2</sup>	R <sup>4</sup>	A	W	mp(°C)
III-1			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
III-2			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
III-3			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
III-4			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
III-5			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
III-6			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
III-7			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
III-8			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
III-9			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
III-10			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
III-11			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
III-12			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
III-13			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
III-14			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	

Table 19

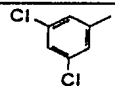

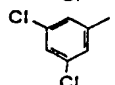

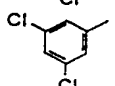

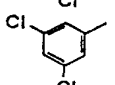

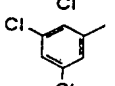

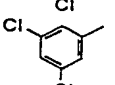

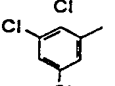

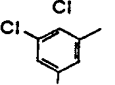

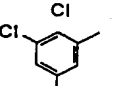

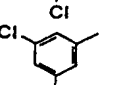

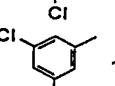

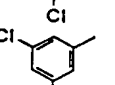

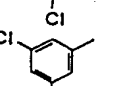

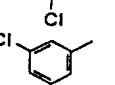

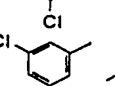

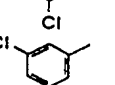

No.	Q <sup>1</sup>	Q <sup>2</sup>	R <sup>1</sup>	R <sup>2</sup>	R <sup>4</sup>	A	W	mp(°C)
III-15			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
III-16			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
III-17			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
III-18			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
III-19			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
III-20			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
III-21			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
III-22			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
III-23			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
III-24			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
III-25			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
III-26			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
III-27			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
III-28			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
III-29			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
III-30			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	

Table 20

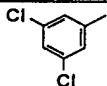

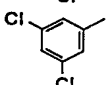

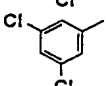

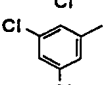


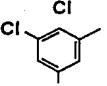

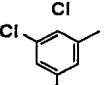


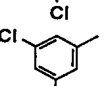


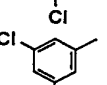


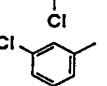

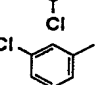

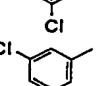

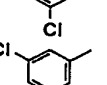

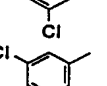


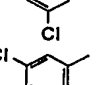

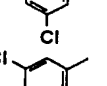


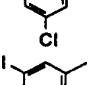


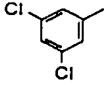

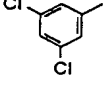

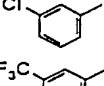

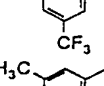

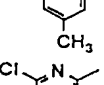

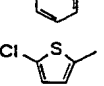

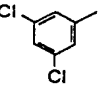

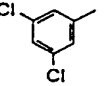

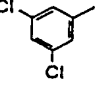


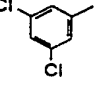

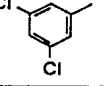
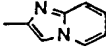


No.	Q <sup>1</sup>	Q <sup>2</sup>	R <sup>1</sup>	R <sup>2</sup>	R <sup>4</sup>	A	W	mp(°C)
III-30			CH <sub>3</sub>	CH <sub>3</sub>	H	-CH <sub>2</sub> -	O	188-191
III-31			CH <sub>3</sub>	CH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	-CH <sub>2</sub> -	O	
III-32			CH <sub>3</sub>	CH <sub>3</sub>	C <sub>3</sub> H <sub>7</sub>	-CH <sub>2</sub> -	O	
III-33			CH <sub>3</sub>	CH <sub>3</sub>		-CH <sub>2</sub> -	O	
III-34			CH <sub>3</sub>	CH <sub>3</sub>	C <sub>4</sub> H <sub>9</sub>	-CH <sub>2</sub> -	O	
III-35			CH <sub>3</sub>	CH <sub>3</sub>		-CH <sub>2</sub> -	O	
III-36			CH <sub>3</sub>	CH <sub>3</sub>		-CH <sub>2</sub> -	O	
III-37			CH <sub>3</sub>	CH <sub>3</sub>		-CH <sub>2</sub> -	O	
III-38			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>2</sub> CN	-CH <sub>2</sub> -	O	
III-39			CH <sub>3</sub>	CH <sub>3</sub>	COCH <sub>3</sub>	-CH <sub>2</sub> -	O	
III-40			CH <sub>3</sub>	CH <sub>3</sub>	OCH <sub>3</sub>	-CH <sub>2</sub> -	O	
III-41			CH <sub>3</sub>	CH <sub>3</sub>	N(CH <sub>3</sub> ) <sub>2</sub>	-CH <sub>2</sub> -	O	
III-42			CH <sub>3</sub>	CH <sub>3</sub>		-CH <sub>2</sub> -	O	
III-43			CH <sub>3</sub>	CH <sub>3</sub>	SCH <sub>3</sub>	-CH <sub>2</sub> -	O	
III-44			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>		O	
III-45			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>		O	

Table 21

No.	Q <sup>1</sup>	Q <sup>2</sup>	R <sup>1</sup>	R <sup>2</sup>	R <sup>4</sup>	A	W	mp(°C)
III-46			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CF <sub>2</sub> -	O	
III-47			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CO-	O	
III-48			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
III-49			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
III-50			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
III-51			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
III-52			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
III-53			CH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
III-54			H		CH <sub>3</sub>	-CH <sub>2</sub> -	O	
III-55			-CH <sub>2</sub> CH <sub>2</sub> -		CH <sub>3</sub>	-CH <sub>2</sub> -	O	
III-56			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	O	
III-57			CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-CH <sub>2</sub> -	S	

## [Formulation Example 1]

## An emulsifiable liquids

	Compound I-1	20 weight %
	Xylene	57 weight %
5	N,N-dimethylformamide	18 weight %
	Polyethylene glycol ether (Nonipol 85 <sup>TM</sup> )	5 weight %

(To be diluted with water for use when necessary)

## [Formulation Example 2]

## Wettable powders

10	Compound I-1	50 weight %
	Sodium ligninsulfonate	5 weight %
	Polyethylene glycol ether (Nonipol 85 <sup>TM</sup> )	5 weight %
	Clay	35 weight %
	White carbon	5 weight %

15 (To be diluted with water for use when necessary)

## [Formulation Example 3]

## Granules

	Compound I-1	1.5 weight %
	Sodium ligninsulfonate	2 weight %
20	Bentonite	56.5 weight %
	Talc	40 weight %

The above components are kneaded with water and granulated to provide granules.

## [Formulation Example 4]

## 25 Granules

	Compound I-1	1.5 weight %
	Sodium ligninsulfonate	5 weight %
	Bentonite	93.5 weight %

30 The above components are kneaded with water and granulated to provide granules.

## [Formulation Example 5]

## Granules

	Compound I-1	3.0 weight %
	Sodium ligninsulfonate	6.0 weight %
35	Bentonite	91.0 weight %

The above components are kneaded with water and

granulated to provide granules.

[Formulation Example 6]

Granules

	Compound I-1	1.5 weight %
5	Sodium ligninsulfonate	5 weight %
	Bentonite	30.0 weight %
	Clay	63.5 weight %

The above components are kneaded with water and granulated to provide granules.

10

[Test Example 1]

Paddy field postemergence treatment

Rectangular plastic pots with a capacity of 150 cm<sup>2</sup> were filled in with paddy field soil, flooded with water, and tilled, and seeds of Echinochloa crus-galli var. oryzicola, Cyperus difformis, Scirpus juncoides, and Rotala indica were sown and cultivated at a submersion depth of 2 cm for a predetermined time. When the monocotyledons had grown to the one-leaf stage and Rotala indica to the two-leaf stage, the depth of flooding water was increased to 3 cm and dilutions of compound (I) were dripped over the body of water in the pots at a predetermined dose (g/a). The dilutions mentioned above were prepared by dissolving 3.0 mg of compound (I) in 1 ml of acetone containing 2% of Tween 20, adding pure water to make 10 ml, and diluting it further with pure water to predetermined concentrations. After the treatment, the plants were grown in a greenhouse and after 3 weeks the herbicidal effect on each weed was evaluated according to the criteria shown in Table 22. The results are presented in Table 23.

[Table 22]

## Herbicidal effect

	Index	Effect	Control rate (%) (killing rate)
5	0	None	0
	1	Weak	0.1-50.0
	2	Moderate	50.1-75.0
10	3	Strong	75.1-87.5
	4	Very strong	87.6-99.9
	5	Maximal (complete kill)	100
15	Crop safety		
	Index	Injury	Injury rate (%)
20	0	None	0
	1	Slight	0.1-12.5
	2	Mild	12.6-25.0
	3	Moderate	25.1-50.0
	4	Severe	50.1-99.9
25	5	Very severe (complete kill)	100

[Table 23]

## Postemergence treatment

	Com- pound No.	g/a	<u>Echinochloa</u> <u>crus-galli</u> var. <u>oryzicola</u>	<u>Cyperus</u> <u>difformis</u>	<u>Scirpus</u> <u>juncoides</u>	<u>Rotala</u> <u>indica</u>
35	I-1	10	4	4	4	5
	I-2	10	4	4	4	2
	I-3	10	4	4	4	4
	I-5	10	5	5	4	5
40	I-30	10	4	5	4	5
	I-46	10	5	5	4	5
	I-47	10	4	4	4	4
	I-50	10	4	5	4	5
45	I-56	10	4	4	4	4

It is clear from Table 23 that the compounds of the present invention have very satisfactory herbicidal activity.

[Test Example 2]

Paddy field preemergence treatment



Rectangular plastic pots with a capacity of 150 cm<sup>2</sup> were filled in with paddy field soil, flooded with water. Seeds of Echinochloa crus-galli var. oryzicola and Scirpus juncoides were sown and one hill of rice seedlings was transplanted. Under 3 cm-deep flooding, dilutions of compound (Ia) were dripped over the body of water in the pots at a predetermined dose (g/a). The dilutions were prepared by the same procedure as described in Test Example 1. After the treatment, the plants were further grown in a greenhouse and at 3 weeks after treatment, the herbicidal effect on each weed and the possible crop injury on the rice plant were scored in accordance with the criteria shown in Table 22. The results are shown in Table 24.

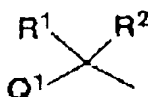
[Table 24]

Preemergence treatment				
Compound No.	g/a	Rice	<u>Echinochloa</u> <u>crus-galli</u> var. <u>oryzicola</u>	<u>Scirpus</u> <u>juncoides</u>
I-3	10	0	5	5
I-4	10	0	5	4
I-44	10	0	5	5
I-46	10	0	5	5
I-48	10	0	5	4
I-49	10	0	5	5
I-50	10	0	5	5
I-51	10	0	5	4
I-52	10	0	4	4
I-56	10	0	5	4
I-57	10	0	5	5

It is apparent from Table 24 that the compounds of the present invention exhibit very satisfactory herbicidal actions without adverse effects on crop plants.

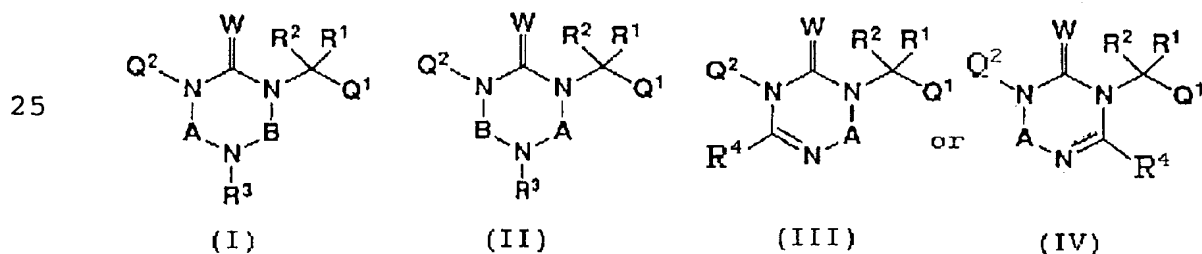
## CLAIMS

1. A partially hydrogenated or completely  
hydrogenated 1,3,5-triazine derivative which has (i) a  
5 group of the formula:



wherein  $Q^1$  represents an aromatic ring group which may  
10 optionally be substituted;  $R^1$  represents a hydrogen  
atom or a hydrocarbon group which may optionally be  
substituted;  $R^2$  represents a hydrocarbon group which  
may optionally be substituted or  $R^1$  and  $R^2$  may form a  
ring together with the adjacent carbon atom wherein the  
15 ring may optionally be substituted, at the 1-position,  
and (ii) oxo group or thioxo group at the 2-position,  
and (iii) which may have a substituent at each 3- to  
6-position, provided that said triazine derivative does  
not have oxo groups at both the 4- and 6-positions, or  
20 a salt thereof.

2. The compound as claimed in Claim 1 which is a  
compound of the formula:



wherein  $Q^1$  represents an aromatic ring group which may  
30 optionally be substituted;  $R^1$  represents a hydrogen  
atom or a hydrocarbon group which may optionally be  
substituted;  $R^2$  represents a hydrocarbon group which  
may optionally be substituted or  $R^1$  and  $R^2$  may form a  
ring together with the adjacent carbon atom wherein the  
35 ring may optionally be substituted; A represents an

optionally substituted methylene group, carbonyl group or thiocarbonyl group; B represents an optionally substituted methylene group;  $Q^2$ ,  $R^3$  and  $R^4$  are the same or different and each represents a hydrogen atom or a group bonded through a carbon atom, a nitrogen atom, an oxygen atom, a sulfur atom or a phosphorus atom; and W represents O or S.

3. The compound as claimed in Claim 1 wherein  $Q^1$  represents an optionally substituted  $C_{6-14}$  aryl group or an optionally substituted 5- or 6-membered aromatic heterocyclic group.

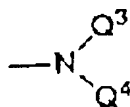
4. The compound as claimed in Claim 1 wherein  $Q^1$  represents an aromatic ring group selected from the group consisting of a  $C_{6-14}$  aryl group and a 5- or 6-membered aromatic heterocyclic group or a condensed ring group thereof with benzene ring or a 5- or 6-membered aromatic heterocyclic ring, wherein said  $C_{6-14}$  aryl group, 5- or 6-membered aromatic heterocyclic group or its condensed ring group may optionally be substituted with one to four substituents selected from the group consisting of hydroxy, amino, cyano, sulfamoyl, sulfamoyloxy, mercapto, nitro, halogen, sulfo and an organic residue selected from the group consisting of

(1) a hydrocarbon group selected from the group consisting of a  $C_{1-6}$  alkyl group, a  $C_{3-14}$  cycloalkyl group, a  $C_{2-6}$  alkenyl group, a  $C_{3-14}$  cycloalkenyl group, a  $C_{2-6}$  alkynyl group, a  $C_{6-14}$  aryl group and a  $C_{7-19}$  aralkyl group,

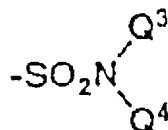
and when said hydrocarbon group is an alkyl group, a cycloalkyl group, an alkenyl group, a cycloalkenyl group or an alkynyl group, each of said groups may have one to three substituents selected from the group consisting of hydroxy, cyano, sulfamoyl, mercapto, carboxy, a  $C_{1-4}$  alkylthio group, halogen, a  $C_{1-6}$  alkoxy

group, nitro, a C<sub>1-6</sub> alkoxy-carbonyl group, amino, a mono- or di-C<sub>1-6</sub> alkylamino group, a C<sub>1-6</sub> alkoxyimino group, hydroxyimino, a C<sub>1-6</sub> alkylsulfonyl group, cyano, carboxyl, hydroxy, a C<sub>1-6</sub> alkylcarbonyloxy group, a C<sub>1-7</sub> alkanoyl group or a C<sub>1-6</sub> alkylimino group, and when said hydrocarbon group is an aryl group or an aralkyl group, each of said groups may have one to five substituents selected from the group consisting of (i) a C<sub>1-6</sub> alkyl group, (ii) a C<sub>3-6</sub> cycloalkyl group, (iii) a C<sub>2-6</sub> alkenyl group, (iv) a C<sub>2-6</sub> alkynyl group, (v) a C<sub>1-6</sub> alkoxy group, (vi) an acyl group selected from the group consisting of a C<sub>1-7</sub> alkanoyl group, a C<sub>6-14</sub> aryl-carbonyl group, a C<sub>1-6</sub> alkoxy-carbonyl group, a C<sub>6-14</sub> aryloxy-carbonyl group, a C<sub>7-19</sub> aralkyl-carbonyl group, and a C<sub>7-19</sub> aralkyloxycarbonyl group, (vii) nitro, (viii) amino, (ix) hydroxy, (x) cyano, (xi) sulfamoyl, (xii) mercapto, (xiii) halogen and (xiv) a C<sub>1-4</sub> alkylthio group, (2) a 3- to 8-membered heterocyclic group or a condensed ring group thereof with benzene ring or a 3- to 8-membered heterocyclic ring, which may optionally be substituted with one to three substituents selected from the group consisting of (i) a C<sub>1-6</sub> alkyl group, (ii) a C<sub>3-6</sub> cycloalkyl group, (iii) a C<sub>2-6</sub> alkenyl group, (iv) a C<sub>2-6</sub> alkynyl group, (v) a C<sub>1-6</sub> alkoxy group, (vi) an acyl group selected from the group consisting of a C<sub>1-7</sub> alkanoyl group, a C<sub>6-14</sub> aryl-carbonyl group, a C<sub>1-6</sub> alkoxy-carbonyl group, a C<sub>6-14</sub> aryloxy-carbonyl group, a C<sub>7-19</sub> aralkyl-carbonyl group, and a C<sub>7-19</sub> aralkyloxycarbonyl group, (vii) nitro, (viii) amino, (ix) hydroxy, (x) cyano, (xi) sulfamoyl, (xii) mercapto, (xiii) halogen and (xiv) a C<sub>1-4</sub> alkylthio group, (3) an acyl group selected from the group consisting of a C<sub>1-7</sub> alkanoyl group, a C<sub>6-14</sub> aryl-carbonyl group, a C<sub>1-6</sub>

- alkoxy-carbonyl group, a C<sub>6-14</sub> aryloxy-carbonyl group, a C<sub>7-19</sub> aralkyl-carbonyl group, a C<sub>7-19</sub> aralkyloxycarbonyl group, a 5- or 6- membered heterocyclic-carbonyl group and a 5- or 6- membered heterocyclic-acetyl group,
- 5 and when the acyl group is an alkanoyl group or an alkoxy-carbonyl group, each group may have one to three substituents selected from the group consisting of hydroxy cyano, sulfamoyl, mercapto, carboxy, a C<sub>1-4</sub> alkylthio group, halogen, a C<sub>1-6</sub> alkoxy group, nitro, a
- 10 C<sub>1-6</sub> alkoxy-carbonyl group, amino, a mono- or di-C<sub>1-6</sub> alkylamino group, a C<sub>1-6</sub> alkoxyimino group and hydroxyimino group,
- and when the acyl group is an aryl-carbonyl group, an aryloxy-carbonyl group, an aralkyl-carbonyl group, an
- 15 aralkyloxycarbonyl group, 5- or 6-membered heterocyclic-carbonyl group or a 5- or 6- membered heterocyclic-acetyl group, each of said groups may have one to five substituents selected from the group consisting of (i) a C<sub>1-6</sub> alkyl group, (ii) a C<sub>3-6</sub>
- 20 cycloalkyl group, (iii) a C<sub>2-6</sub> alkenyl group, (iv) a C<sub>2-6</sub> alkynyl group, (v) a C<sub>1-6</sub> alkoxy group, (vi) an acyl group selected from the group consisting of a C<sub>1-7</sub> alkanoyl group, a C<sub>6-14</sub> aryl-carbonyl group, a C<sub>1-6</sub> alkoxy-carbonyl group, a C<sub>6-14</sub> aryloxy-carbonyl group, a
- 25 C<sub>7-19</sub> aralkyl-carbonyl group, and a C<sub>7-19</sub> aralkyloxycarbonyl group, (vii) nitro, (viii) amino, (ix) hydroxy, (x) cyano, (xi) sulfamoyl, (xii) mercapto, (xiii) halogen and (xiv) a C<sub>1-4</sub> alkylthio group,
- 30 (4) a group of the formula: -T-Q<sup>0</sup> wherein Q<sup>0</sup> represents a hydrocarbon group as defined in above (1), a 3- to 8-membered heterocyclic group as defined in above (2), or an acyl group as defined in above (3); T represents O, (O)<sub>k</sub>-S wherein k is 0, 1 or 2, or S-S,
- 35 (5) a group of the formula:



5 wherein  $Q^3$  represents a hydrogen atom, a hydrocarbon group as defined in above (1) or an acyl group as defined in above (3);  $Q^4$  represents a hydrocarbon group as defined in above (1) or an acyl group as defined in above (3), or  $Q^3$  and  $Q^4$  may form a ring together with  
10 the adjacent nitrogen atom,  
(6) a group of the formula:



15 wherein  $Q^3$  and  $Q^4$  have the same meaning as defined above,  
(7) a carbamoyl group which may optionally be substituted with 1 or 2 substituents selected from the  
20 group consisting of a hydrocarbon group as defined in above (1), a 3- to 8- membered heterocyclic group as defined in above (2) and an acyl group as defined in above (3),  
(8) a carbamoyloxy group which may optionally be  
25 substituted with 1 or 2 substituents selected from the group consisting of a hydrocarbon group as defined in above (1), a 3- to 8- membered heterocyclic group as defined in above (2) and an acyl group as defined in above (3),  
30 (9) a ureido group which may optionally be substituted with 1 to 3 substituents selected from the group consisting of a hydrocarbon group as defined in above (1), a 3- to 8- membered heterocyclic group as defined in above (2) and an acyl group as defined in above (3),  
35 (10) a thiocarbamoyl group which may optionally be substituted with 1 or 2 substituents selected from the

group consisting of a hydrocarbon group as defined in above (1), a 3- to 8- membered heterocyclic group as defined in above (2) and an acyl group as defined in above (3),

5 (11) carboxyl group, and

(12) a group of the formula  $-O-SO_2-Q^4$  wherein  $Q^4$  has the same meaning as defined above.

5. The compound as claimed in Claim 1 wherein  $R^1$  and  $R^2$  are the same or different and each represents an optionally substituted  $C_{1-6}$  alkyl group.

6. The compound as claimed in Claim 1 wherein  $R^1$  and  $R^2$  are the same or different and each represents a  $C_{1-6}$  alkyl group which may optionally be substituted with one to three substituents selected from the group consisting of nitro, amino, hydroxy, cyano, sulfamoyl, mercapto, carboxyl and halogen.

7. The compound as claimed in Claim 2 wherein A and B are the same or different and each represents an optionally substituted methylene group.

8. The compound as claimed in Claim 2 wherein A and B are the same or different and each represents a group of the formula:



30 wherein  $R^5$  and  $R^6$  are the same or different and each represents (1) hydrogen, (2) halogen, (3) a  $C_{1-6}$  alkyl group which may optionally be substituted with one to three substituents selected from the group consisting of nitro, amino, hydroxy, cyano, sulfamoyl, mercapto, carboxyl and halogen, or (4) a  $C_{6-14}$  aryl group which may optionally be substituted with one to three substituents selected from the group consisting of

nitro, amino, hydroxy, cyano, sulfamoyl, mercapto, halogen, a C<sub>1-6</sub> alkyl group and a C<sub>1-6</sub> alkoxy group.

9. The compound as claimed in Claim 2 wherein Q<sup>2</sup> represents (1) hydroxy, (2) a C<sub>1-6</sub> alkoxy group, (3) a C<sub>2-6</sub> alkenyloxy group, (4) a C<sub>2-6</sub> alkynyloxy group, (5) an optionally substituted cyclic group, (6) an optionally substituted C<sub>1-6</sub> alkyl group, (7) an optionally substituted C<sub>2-6</sub> alkenyl group, (8) a C<sub>1-20</sub> acyl group, (9) an optionally substituted carbamoyl group, (10) an optionally substituted amidino group, (11) a group of -S(O)<sub>n</sub>R<sup>20</sup> wherein n is 0, 1 or 2 and R<sup>20</sup> represents a hydrogen atom, a C<sub>1-6</sub> alkyl group, a C<sub>6-14</sub> aryl group or an optionally substituted amino group, (12) a C<sub>3-6</sub> cycloalkyloxy group, (13) a C<sub>1-6</sub> alkylcarbonyloxy group, (14) a C<sub>6-14</sub> arylcarbonyloxy group, (15) an optionally substituted carbamoyloxy group, (16) an optionally substituted amino group, or (17) a group of -N=CR<sup>21</sup>R<sup>22</sup> wherein R<sup>21</sup> and R<sup>22</sup> are the same or different and each represents a hydrogen atom or a C<sub>1-6</sub> alkyl group.

10. The compound as claimed in Claim 2 wherein Q<sup>2</sup> represents (1) hydroxy, (2) a C<sub>1-6</sub> alkoxy group, (3) a C<sub>2-6</sub> alkenyloxy group, (4) a C<sub>2-6</sub> alkynyloxy group, (5) a cyclic group selected from the group consisting of (i) a C<sub>6-14</sub> aryl group, (ii) a 5- or 6-membered heterocyclic group bonded through a carbon atom or a condensed ring group thereof with benzene ring or a 5- or 6-membered heterocyclic ring, (iii) a 5- or 6-membered heterocyclic group bonded through a nitrogen atom or a condensed ring group thereof with benzene ring or a 5- or 6-membered heterocyclic ring, (iv) a C<sub>3-14</sub> cycloalkyl group and (v) a C<sub>3-14</sub> cycloalkenyl group wherein said cyclic group may have one to four substituents selected from the group consisting of nitro, amino, hydroxy, cyano, sulfamoyl, mercapto, carboxyl, halogen, a C<sub>1-6</sub>



alkyl group and a C<sub>1-6</sub> alkoxy group, (6) a C<sub>1-6</sub> alkyl group which may optionally be substituted with one to three substituents selected from the group consisting of nitro, amino, hydroxy, cyano, sulfamoyl, mercapto, 5 carboxyl, halogen and a C<sub>1-6</sub> alkoxyimino group, (7) a C<sub>2-6</sub> alkenyl group, (8) an acyl group selected from the group consisting of a C<sub>1-6</sub> alkyl-carbonyl group, a C<sub>6-14</sub> arylcarbonyl group and a C<sub>1-6</sub> alkoxycarbonyl group, (9) a carbamoyl group which may optionally be substituted 10 with one or two C<sub>1-6</sub> alkyl groups, (10) an amidino group which may optionally be substituted with one to three C<sub>1-6</sub> alkyl groups, (11) a group of -S(O)<sub>n</sub>R<sup>20</sup> wherein n is 0, 1 or 2 and R<sup>20</sup> represents a hydrogen atom, a C<sub>1-6</sub> alkyl group, a C<sub>6-14</sub> aryl group or an amino group which 15 may optionally be substituted with one or two C<sub>1-6</sub> alkyl groups, (12) a C<sub>3-6</sub> cycloalkyloxy group, (13) a C<sub>1-6</sub> alkylcarbonyloxy group, (14) a C<sub>6-14</sub> arylcarbonyloxy group, (15) a carbamoyloxy group which may optionally be substituted with one or two C<sub>1-6</sub> alkyl groups, (16) 20 an amino group which may optionally be substituted with one or two substituents selected from the group consisting of a C<sub>1-6</sub> alkyl group, a C<sub>1-6</sub> alkyl-carbonyl group, a C<sub>1-6</sub> alkylsulfonyl group, and an aminocarbonyl group which may optionally be substituted with one or 25 two C<sub>1-6</sub> alkyl groups, or (17) a group of -N=CR<sup>21</sup>R<sup>22</sup> wherein R<sup>21</sup> and R<sup>22</sup> are the same or different and each represents a hydrogen atom, a C<sub>1-6</sub> alkyl group, a C<sub>1-6</sub> alkoxy group or a C<sub>1-6</sub> alkylthio group.

11. The compound as claimed in Claim 2 wherein Q<sup>2</sup> 30 represents an optionally substituted cyclic group.

12. The compound as claimed in Claim 2 wherein Q<sup>2</sup> represents a cyclic group selected from the group consisting of (i) a C<sub>6-14</sub> aryl group, (ii) a 5- or 6-membered heterocyclic group bonded through a carbon

atom or a condensed ring group thereof with benzene ring or a 5- or 6-membered heterocyclic ring, (iii) a 5- or 6-membered heterocyclic group bonded through a nitrogen atom or a condensed ring group thereof with benzene ring or a 5- or 6-membered heterocyclic ring, (iv) a C<sub>3-14</sub> cycloalkyl group and (v) a C<sub>3-14</sub> cycloalkenyl group wherein said cyclic group may have one to four substituents selected from the group consisting of nitro, amino, hydroxy, cyano, sulfamoyl, mercapto, carboxyl, halogen, a C<sub>1-6</sub> alkyl group and a C<sub>1-6</sub> alkoxy group.

13. The compound as claimed in Claim 2 wherein R<sup>3</sup> and R<sup>4</sup> are the same or different, and each represents (1) a hydrogen atom, (2) hydroxy, (3) an optionally substituted C<sub>1-6</sub> alkyl group, (4) an optionally substituted C<sub>3-14</sub> cycloalkyl group, (5) an optionally substituted C<sub>2-6</sub> alkenyl group, (6) an optionally substituted C<sub>2-6</sub> alkynyl group, (7) an optionally substituted C<sub>1-6</sub> alkoxy group, (8) an optionally substituted C<sub>2-6</sub> alkenyloxy group, (9) an optionally substituted C<sub>2-6</sub> alkynyloxy group, (10) an optionally substituted C<sub>6-14</sub> aryl group, (11) a C<sub>7-19</sub> aralkyl group, (12) an optionally substituted C<sub>6-14</sub> aryloxy group, (13) an optionally substituted carbamoyloxy group, (14) a C<sub>1-20</sub> acyl group, (15) an optionally substituted amino group, (16) an optionally substituted carbamoyl group, (17) an optionally substituted thiocarbamoyl group, (18) a group of -S(O)<sub>n</sub>-R<sup>23</sup> wherein n is 0, 1 or 2 and R<sup>23</sup> represents a hydrogen atom, an optionally substituted C<sub>1-6</sub> alkyl group, a C<sub>6-14</sub> aryl group, an optionally substituted amino group or a C<sub>1-20</sub> acyl group, (19) a C<sub>1-6</sub> alkylcarbonyloxy group, (20) a C<sub>1-6</sub> alkylsulfonyloxy group, (21) a group of -N=CR<sup>24</sup>R<sup>25</sup> wherein R<sup>24</sup> and R<sup>25</sup> are the same or different, and each represents a hydrogen atom, a C<sub>1-6</sub> alkyl group or a C<sub>1-6</sub> alkoxy group, (22) a

5- or 6-membered heterocyclic group bonded through a nitrogen atom or condensed ring group thereof with benzene ring or a 5- or 6-membered heterocyclic group, or (23) a group of  $-\text{PO}(\text{R}^{26})_2$  wherein  $\text{R}^{26}$  represents a  $\text{C}_{1-6}$  alkoxy group.

14. The compound as claimed in Claim 2 wherein  $\text{R}^3$  and  $\text{R}^4$  are the same or different, and each represents (1) a hydrogen atom, (2) an optionally substituted  $\text{C}_{1-6}$  alkyl group, (3) an optionally substituted  $\text{C}_{1-6}$  alkoxy group, (4) an optionally substituted  $\text{C}_{6-14}$  aryl group, (5) a  $\text{C}_{7-19}$  aralkyl group, (6) an optionally substituted  $\text{C}_{6-14}$  aryloxy group, (7) an optionally substituted carbamoyloxy group, (8) a  $\text{C}_{1-20}$  acyl group, (9) a mono- or di-substituted amino group, (10) a N-mono- or di-substituted carbamoyl group, (11) a group of  $-\text{S}(\text{O})_n-\text{R}^{23}$  wherein  $n$  is 0, 1 or 2, and  $\text{R}^{23}$  represents a hydrogen atom, an optionally substituted  $\text{C}_{1-6}$  alkyl group, a  $\text{C}_{6-14}$  aryl group or a mono- or di-substituted amino group, (12) a  $\text{C}_{1-6}$  alkylcarbonyloxy group, (13) a  $\text{C}_{1-6}$  alkylsulfonyloxy group, (14) a group of  $-\text{N}=\text{CR}^{24}\text{R}^{25}$  wherein  $\text{R}^{24}$  and  $\text{R}^{25}$  are the same or different, and each represents a hydrogen atom or a  $\text{C}_{1-6}$  alkyl group, or (15) a 5- or 6-membered heterocyclic group bonded through a nitrogen atom or condensed ring group thereof with benzene ring or a 5- or 6-membered heterocyclic ring.

15. The compound as claimed in Claim 2 wherein  $\text{R}^3$  and  $\text{R}^4$  are the same or different, and each represents (1) a hydrogen atom, (2) hydroxy, (3) a  $\text{C}_{1-6}$  alkyl group which may optionally be substituted with one to three substituents selected from the group consisting of (i) hydroxy, (ii) carboxyl, (iii) cyano, (iv) halogen, (v) a  $\text{C}_{1-6}$  alkoxy group, (vi) a  $\text{C}_{1-6}$  alkylthio group, (vii) a  $\text{C}_{1-6}$

- alkylsulfonyl group, (viii) an acyl group selected from the group consisting of a C<sub>1-7</sub> alkanoyl group, a C<sub>6-14</sub> arylcarbonyl group, a C<sub>1-6</sub> alkoxy carbonyl group, a C<sub>6-14</sub> aryloxy carbonyl group, a C<sub>7-19</sub> aralkylcarbonyl group, and a C<sub>7-19</sub> aralkyloxy carbonyl group, (ix) a C<sub>6-14</sub> aryl group, (x) a C<sub>1-7</sub> alkanoyloxy group and (xi) a C<sub>1-6</sub> alkylimino group,
- (4) a C<sub>3-14</sub> cycloalkyl group which may optionally be substituted with one to three substituents selected from the group consisting of (i) hydroxy, (ii) carboxyl, (iii) cyano, (iv) halogen, (v) a C<sub>1-6</sub> alkoxy group, (vi) a C<sub>1-6</sub> alkylthio group, (vii) a C<sub>1-6</sub> alkylsulfonyl group, (viii) an acyl group selected from the group consisting of a C<sub>1-7</sub> alkanoyl group, a C<sub>6-14</sub> arylcarbonyl group, a C<sub>1-6</sub> alkoxy carbonyl group, a C<sub>6-14</sub> aryloxy carbonyl group, a C<sub>7-19</sub> aralkylcarbonyl group, and a C<sub>7-19</sub> aralkyloxy carbonyl group, (ix) a C<sub>6-14</sub> aryl group, (x) a C<sub>1-7</sub> alkanoyloxy group and (xi) a C<sub>1-6</sub> alkylimino group,
- (5) a C<sub>2-6</sub> alkenyl group which may optionally be substituted with one to three substituents selected from the group consisting of (i) hydroxy, (ii) carboxyl, (iii) cyano, (iv) halogen, (v) a C<sub>1-6</sub> alkoxy group, (vi) a C<sub>1-6</sub> alkylthio group, (vii) a C<sub>1-6</sub> alkylsulfonyl group, (viii) an acyl group selected from the group consisting of a C<sub>1-7</sub> alkanoyl group, a C<sub>6-14</sub> arylcarbonyl group, a C<sub>1-6</sub> alkoxy carbonyl group, a C<sub>6-14</sub> aryloxy carbonyl group, a C<sub>7-19</sub> aralkylcarbonyl group, and a C<sub>7-19</sub> aralkyloxy carbonyl group, (ix) a C<sub>6-14</sub> aryl group, (x) a C<sub>1-7</sub> alkanoyloxy group and (xi) a C<sub>1-6</sub> alkylimino group,
- (6) a C<sub>2-6</sub> alkynyl group which may optionally be substituted with one to three substituents selected from the group consisting of (i) hydroxy, (ii)

carboxyl, (iii) cyano, (iv) halogen, (v) a C<sub>1-6</sub> alkoxy group, (vi) a C<sub>1-6</sub> alkylthio group, (vii) a C<sub>1-6</sub> alkylsulfonyl group, (viii) an acyl group selected from the group consisting of a C<sub>1-7</sub> alkanoyl group, a C<sub>6-14</sub> arylcarbonyl group, a C<sub>1-6</sub> alkoxycarbonyl group, a C<sub>6-14</sub> aryloxycarbonyl group, a C<sub>7-19</sub> aralkylcarbonyl group, and a C<sub>7-19</sub> aralkyloxycarbonyl group, (ix) a C<sub>6-14</sub> aryl group, (x) a C<sub>1-7</sub> alkanoyloxy group and (xi) a C<sub>1-6</sub> alkylimino group,

(7) a C<sub>1-6</sub> alkoxy group which may optionally be substituted with one to three substituents selected from the group consisting of (i) hydroxy, (ii) carboxyl, (iii) cyano, (iv) halogen, (v) a C<sub>1-6</sub> alkoxy group, (vi) a C<sub>1-6</sub> alkylthio group, (vii) a C<sub>1-6</sub> alkylsulfonyl group, (viii) an acyl group selected from the group consisting of a C<sub>1-7</sub> alkanoyl group, a C<sub>6-14</sub> arylcarbonyl group, a C<sub>1-6</sub> alkoxycarbonyl group, a C<sub>6-14</sub> aryloxycarbonyl group, a C<sub>7-19</sub> aralkylcarbonyl group, and a C<sub>7-19</sub> aralkyloxycarbonyl group, (ix) a C<sub>6-14</sub> aryl group, (x) a C<sub>1-7</sub> alkanoyloxy group and (xi) a C<sub>1-6</sub> alkylimino group,

(8) a C<sub>2-6</sub> alkenyloxy group which may optionally be substituted with one to three substituents selected from the group consisting of (i) hydroxy, (ii) carboxyl, (iii) cyano, (iv) halogen, (v) a C<sub>1-6</sub> alkoxy group, (vi) a C<sub>1-6</sub> alkylthio group, (vii) a C<sub>1-6</sub> alkylsulfonyl group, (viii) an acyl group selected from the group consisting of a C<sub>1-7</sub> alkanoyl group, a C<sub>6-14</sub> arylcarbonyl group, a C<sub>1-6</sub> alkoxycarbonyl group, a C<sub>6-14</sub> aryloxycarbonyl group, a C<sub>7-19</sub> aralkylcarbonyl group, and a C<sub>7-19</sub> aralkyloxycarbonyl group, (ix) a C<sub>6-14</sub> aryl group, (x) a C<sub>1-7</sub> alkanoyloxy group and (xi) a C<sub>1-6</sub> alkylimino group,

(9) a C<sub>2-6</sub> alkynyloxy group which may optionally be

- substituted with one to three substituents selected from the group consisting of (i) hydroxy, (ii) carboxyl, (iii) cyano, (iv) halogen, (v) a C<sub>1-6</sub> alkoxy group, (vi) a C<sub>1-6</sub> alkylthio group, (vii) a C<sub>1-6</sub> alkylsulfonyl group, (viii) an acyl group selected from the group consisting of a C<sub>1-7</sub> alkanoyl group, a C<sub>6-14</sub> arylcarbonyl group, a C<sub>1-6</sub> alkoxy carbonyl group, a C<sub>6-14</sub> aryloxy carbonyl group, a C<sub>7-19</sub> aralkylcarbonyl group, and a C<sub>7-19</sub> aralkyloxy carbonyl group, (ix) a C<sub>6-14</sub> aryl group, (x) a C<sub>1-7</sub> alkanoyloxy group and (xi) a C<sub>1-6</sub> alkylimino group,
- (10) a C<sub>6-14</sub> aryl group which may optionally be substituted with one to five substituents selected from the group consisting of nitro, amino, hydroxy, cyano, sulfamoyl, mercapto, carboxyl, halogen, a C<sub>1-4</sub> alkyl group and a C<sub>1-6</sub> alkoxy group,
- (11) a C<sub>7-19</sub> aralkyl group,
- (12) a C<sub>6-14</sub> aryloxy group which may optionally be substituted with one to five substituents selected from the group consisting of nitro, amino, hydroxy, cyano, sulfamoyl, mercapto, carboxyl, halogen, a C<sub>1-4</sub> alkyl group and a C<sub>1-6</sub> alkoxy group,
- (13) a carbamoyloxy group which may optionally be substituted with one or two substituents selected from the group consisting of (i) a C<sub>1-6</sub> alkyl group, (ii) a C<sub>3-6</sub> cycloalkyl group, (iii) a C<sub>7-19</sub> aralkyl group, (iv) a C<sub>1-7</sub> alkanoyl group, (v) a C<sub>6-14</sub> arylcarbonyl group, (vi) a C<sub>1-6</sub> alkoxy carbonyl group, (vii) a C<sub>6-14</sub> aryloxy carbonyl group, (viii) a C<sub>7-19</sub> aralkylcarbonyl group, (ix) a carbamoyl group which may optionally be substituted with one or two C<sub>1-6</sub> alkyl groups and (x) a C<sub>1-6</sub> alkylsulfonyl group,
- (14) an acyl group selected from the group consisting of (i) a C<sub>1-7</sub> alkanoyl group which may optionally be

- substituted with one to three halogen atoms, (ii) a C<sub>6-14</sub> arylcarbonyl group, (iii) a C<sub>1-6</sub> alkoxy carbonyl group, (iv) a C<sub>6-14</sub> aryloxy carbonyl group, (v) a C<sub>7-19</sub> aralkylcarbonyl group and (vi) a C<sub>7-19</sub> aralkyloxy carbonyl group,
- 5 (15) an amino group which may optionally be substituted with one or two substituents selected from the group consisting of (i) a C<sub>1-6</sub> alkyl group, (ii) a C<sub>3-6</sub> cycloalkyl group, (iii) a C<sub>7-19</sub> aralkyl group, (iv) a C<sub>1-7</sub> alkanoyl group, (v) a C<sub>6-14</sub> arylcarbonyl group, (vi)
- 10 a C<sub>1-6</sub> alkoxy carbonyl group, (vii) a C<sub>6-14</sub> aryloxy carbonyl group, (viii) a C<sub>7-19</sub> aralkylcarbonyl group, (ix) a carbamoyl group which may optionally be substituted with one or two C<sub>1-6</sub> alkyl groups and (x) a C<sub>1-6</sub> alkylsulfonyl group,
- 15 (16) a carbamoyl group which may optionally be substituted with one or two substituents selected from the group consisting of (i) a C<sub>1-6</sub> alkyl group, (ii) a C<sub>3-6</sub> cycloalkyl group, (iii) a C<sub>7-19</sub> aralkyl group, (iv)
- 20 a C<sub>1-7</sub> alkanoyl group, (v) a C<sub>6-14</sub> arylcarbonyl group, (vi) a C<sub>1-6</sub> alkoxy carbonyl group, (vii) a C<sub>6-14</sub> aryloxy carbonyl group, (viii) a C<sub>7-19</sub> aralkylcarbonyl group, (ix) a carbamoyl group which may optionally be substituted with one or two C<sub>1-6</sub> alkyl groups and (x) a C<sub>1-6</sub> alkylsulfonyl group,
- 25 (17) a thiocarbamoyl group which may optionally be substituted with one or two substituents selected from the group consisting of (i) a C<sub>1-6</sub> alkyl group, (ii) a C<sub>3-6</sub> cycloalkyl group, (iii) a C<sub>7-19</sub> aralkyl group, (iv)
- 30 a C<sub>1-7</sub> alkanoyl group, (v) a C<sub>6-14</sub> arylcarbonyl group, (vi) a C<sub>1-6</sub> alkoxy carbonyl group, (vii) a C<sub>6-14</sub> aryloxy carbonyl group, (viii) a C<sub>7-19</sub> aralkylcarbonyl group, (ix) a carbamoyl group which may optionally be substituted with one or two C<sub>1-6</sub> alkyl groups and (x) a

C<sub>1-6</sub> alkylsulfonyl group,

(18) a group of -S(O)<sub>n</sub>-R<sup>23</sup> wherein n is 0, 1 or 2 and R<sup>23</sup> represents

(i) a hydrogen atom,

5 (ii) a C<sub>1-6</sub> alkyl group which may optionally be substituted with one to three substituents selected from the group consisting of (a) hydroxy, (b) carboxyl, (c) cyano, (d) halogen, (e) a C<sub>1-6</sub> alkoxy group, (f) a C<sub>1-6</sub> alkylthio group, (g) a C<sub>1-6</sub> alkylsulfonyl group, (h)  
10 an acyl group selected from the group consisting of a C<sub>1-7</sub> alkanoyl group, a C<sub>6-14</sub> arylcarbonyl group, a C<sub>1-6</sub> alkoxy carbonyl group, a C<sub>6-14</sub> aryloxy carbonyl group, a C<sub>7-19</sub> aralkylcarbonyl group, and a C<sub>7-19</sub>

aralkyloxy carbonyl group, (i) a C<sub>6-14</sub> aryl group, (j) a  
15 C<sub>1-7</sub> alkanoyloxy group and (k) a C<sub>1-6</sub> alkylimino group, (iii) a C<sub>6-14</sub> aryl group,

(iv) an amino group which may optionally be substituted with one or two substituents selected from the group consisting of (a) a C<sub>1-6</sub> alkyl group, (b) a C<sub>3-6</sub>  
20 cycloalkyl group, (c) a C<sub>7-19</sub> aralkyl group, (d) a C<sub>1-7</sub> alkanoyl group, (e) a C<sub>6-14</sub> arylcarbonyl group, (f) a C<sub>1-6</sub> alkoxy carbonyl group, (g) a C<sub>6-14</sub> aryloxy carbonyl group, (h) a C<sub>7-19</sub> aralkylcarbonyl group, (i) a carbamoyl group which may optionally be substituted  
25 with one or two C<sub>1-6</sub> alkyl groups and (j) a C<sub>1-6</sub> alkylsulfonyl group, or

(v) an acyl group selected from the group consisting of (a) a C<sub>1-7</sub> alkanoyl group which may optionally be substituted with one to three halogen atoms, (b) a C<sub>6-14</sub>  
30 arylcarbonyl group, (c) a C<sub>1-6</sub> alkoxy carbonyl group, (d) a C<sub>6-14</sub> aryloxy carbonyl group, (e) a C<sub>7-19</sub> aralkylcarbonyl group and (f) a C<sub>7-19</sub> aralkyloxy carbonyl group,

(19) a C<sub>1-6</sub> alkylcarbonyloxy group,

(20) a C<sub>1-6</sub> alkylsulfonyloxy group,



(21) a group of  $-N=CR^{24}R^{25}$  wherein  $R^{24}$  and  $R^{25}$  are the same or different, and each represents a hydrogen atom, a  $C_{1-6}$  alkyl group or a  $C_{1-6}$  alkoxy group,

(22) a 5- or 6-membered heterocyclic group bonded through a nitrogen atom or condensed ring group thereof with benzene ring or a 5- or 6-membered heterocyclic ring, or

(23) a group of  $-PO(R^{26})_2$  wherein  $R^{26}$  represents a  $C_{1-6}$  alkoxy group.

10 16. The compound as claimed in Claim 2 wherein  $Q^1$  represents (1) a  $C_{6-14}$  aryl group, (2) a pyridyl group, (3) a thienyl group, or (4) a benzofuryl group wherein each of said groups may optionally be substituted with one to three substituents selected from the group  
15 consisting of (i) halogen, (ii) hydroxy, (iii) a  $C_{1-6}$  alkyl group which may optionally be substituted with one to three substituents selected from the group consisting of halogen, cyano, a  $C_{1-6}$  alkoxy group and a  $C_{1-6}$  alkylthio group, (iv) a  $C_{1-6}$  alkoxy group which may  
20 optionally be substituted with one to three substituents selected from the group consisting of cyano and halogen, (v) amino which may optionally be substituted with one or two  $C_{1-6}$  alkyl groups, (vi) benzyloxy, (vii) a  $C_{1-6}$  alkylthio group which may  
25 optionally be substituted with one to three substituents selected from the group consisting of cyano and halogen, (viii) a  $C_{1-6}$  alkylsulfinyl group, (ix) a  $C_{1-6}$  alkylsulfonyl group, (x) a  $C_{6-14}$  aryloxy group, (xi) a  $C_{1-6}$  alkylsulfonyloxy group and (xii) a  $C_{1-6}$  alkoxy carbonyloxy group;

$R^1$  represents a hydrogen atom or a  $C_{1-3}$  alkyl group;

$R^2$  represents a  $C_{1-3}$  alkyl group which may optionally be substituted with one to three halogen atoms;

or  $R^1$  and  $R^2$  may form a  $C_{3-7}$  cycloalkane ring together

35 with the adjacent carbon atom;

- A represents (1) a methylene group which may optionally be substituted with one or two halogen atoms or C<sub>1-6</sub> alkyl groups, (2) a carbonyl group or (3) a thiocarbonyl group;
- 5 B represents a methylene group which may optionally be substituted with one or two C<sub>1-6</sub> alkyl groups;
- Q<sup>2</sup> represents (1) hydroxy,  
(2) a C<sub>1-6</sub> alkoxy group,  
(3) (i) a C<sub>6-14</sub> aryl group, (ii) a pyridyl group, (iii)  
10 a pyrrolyl group, (iv) a thiazolyl group, (v) a piperidyl group, (vi) a morpholinyl group, (vii) a imidazopyridyl group, (viii) a pyrrolidinyl group, (ix) a C<sub>3-14</sub> cycloalkyl group, or (x) a C<sub>3-14</sub> cycloalkenyl group, wherein each of said groups may optionally  
15 substituted with one to four halogen atoms,  
(4) a C<sub>1-6</sub> alkyl group which may optionally be substituted with one to three C<sub>1-6</sub> alkoxyimino groups,  
(5) a C<sub>2-6</sub> alkenyl group,  
(6) an acyl group selected from the group consisting of  
20 a C<sub>1-6</sub> alkyl-carbonyl group, a C<sub>6-14</sub> arylcarbonyl group and a C<sub>1-6</sub> alkoxy carbonyl group,  
(7) a carbamoyl group which may optionally be substituted with one or two C<sub>1-6</sub> alkyl groups,  
(8) an amidino group which may optionally be  
25 substituted with one to three C<sub>1-6</sub> alkyl groups,  
(9) a group of -S(O)<sub>n</sub>R<sup>20</sup> wherein n is 0, 1 or 2, and R<sup>20</sup> represents a C<sub>1-6</sub> alkyl group, a C<sub>6-14</sub> aryl group or an amino group which may optionally be substituted with one or two C<sub>1-6</sub> alkyl groups,  
30 (10) a C<sub>3-6</sub> cycloalkyloxy group,  
(11) a C<sub>1-6</sub> alkylcarbonyloxy group,  
(12) a C<sub>6-14</sub> arylcarbonyloxy group,  
(13) a carbamoyloxy group which may optionally be substituted with one or two C<sub>1-6</sub> alkyl groups,  
35 (14) amino which may optionally be substituted with one

- or two substituents selected from the group consisting of (i) a C<sub>1-6</sub> alkyl group, (ii) a C<sub>1-6</sub> alkyl-carbonyl group, (iii) a C<sub>1-6</sub> alkylsulfonyl group and (iv) aminocarbonyl which may optionally be substituted with one or two C<sub>1-6</sub> alkyl groups, or
- (15) a group of -N=CR<sup>21</sup>R<sup>22</sup> wherein R<sup>21</sup> and R<sup>22</sup> are the same or different, and each represents a hydrogen atom, a C<sub>1-6</sub> alkyl group or a C<sub>1-6</sub> alkoxy group, or
- (16) a C<sub>2-6</sub> alkenyloxy group;
- R<sup>3</sup> and R<sup>4</sup> are the same or different, and each represents
- (1) a hydrogen atom,
- (2) hydroxy,
- (3) a C<sub>1-6</sub> alkyl group which may optionally be substituted with one to three substituents selected from the group consisting of (i) carboxyl, (ii) cyano, (iii) halogen, (iv) a C<sub>1-6</sub> alkoxy group, (v) a C<sub>1-6</sub> alkylthio group, (vi) a C<sub>1-6</sub> alkylsulfonyl group, (vii) a C<sub>1-7</sub> alkanoyl group, (viii) a C<sub>1-6</sub> alkoxycarbonyl group, (ix) a C<sub>6-14</sub> aryl group, (x) a C<sub>1-6</sub> alkylimino group, and (xi) hydroxy,
- (4) a C<sub>3-14</sub> cycloalkyl group,
- (5) a C<sub>2-6</sub> alkenyl group,
- (6) a C<sub>2-6</sub> alkynyl group,
- (7) a C<sub>1-6</sub> alkoxy group which may optionally be substituted with one to three C<sub>1-6</sub> alkoxy groups,
- (8) a C<sub>2-6</sub> alkenyloxy group,
- (9) a C<sub>2-6</sub> alkynyloxy group,
- (10) a C<sub>6-14</sub> aryl group,
- (11) a C<sub>7-19</sub> aralkyl group,
- (12) carbamoyloxy which may optionally be substituted with one or two C<sub>1-6</sub> alkyl groups,
- (13) an acyl group selected from the group consisting of (i) a C<sub>1-7</sub> alkanoyl group which may optionally be

substituted with one to three halogen atoms, (ii) a C<sub>1-6</sub> alkoxy carbonyl group and (iii) a C<sub>7-19</sub> aralkyloxycarbonyl group,

5 (14) amino which may optionally be substituted with one or two substituents selected from the group consisting of (i) a C<sub>1-6</sub> alkyl group, (ii) a C<sub>1-7</sub> alkanoyl group, (iii) carbamoyl which may optionally be substituted with one or two C<sub>1-6</sub> alkyl groups and (iv) a C<sub>1-6</sub> alkylsulfonyl group,

10 (15) carbamoyl which may optionally be substituted with one or two C<sub>1-6</sub> alkyl groups,

(16) thiocarbamoyl which may optionally be substituted with one or two C<sub>1-6</sub> alkyl groups,

(17) a group of -S(O)<sub>n</sub>-R<sup>23</sup> wherein n is 0, 1 or 2, and  
15 R<sup>23</sup> represents (i) a C<sub>1-6</sub> alkyl group which may optionally be substituted with one to three halogen atoms, (ii) a C<sub>6-14</sub> aryl group, (iii) amino which may optionally be substituted with one or two substituents selected from the group consisting of a C<sub>1-6</sub> alkyl group and a C<sub>1-6</sub> alkoxy carbonyl group, and (iv) a C<sub>1-6</sub> alkoxy carbonyl group,

(18) a C<sub>1-6</sub> alkylcarbonyloxy group,

(19) a C<sub>1-6</sub> alkylsulfonyloxy group,

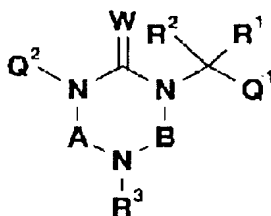
(20) a group of -N=CR<sup>24</sup>R<sup>25</sup> wherein R<sup>24</sup> and R<sup>25</sup> are the  
25 same or different, and each represents a hydrogen atom, a C<sub>1-6</sub> alkyl group or a C<sub>1-6</sub> alkoxy group,

(21) a pyrrolidinyl group or a morpholinyl group, or

(22) a group of -PO(R<sup>26</sup>)<sub>2</sub> wherein R<sup>26</sup> represents a C<sub>1-6</sub> alkoxy group.

30 17. The compound as claimed in claim 2, which is a compound represented by the formula:

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wherein  $Q^1$  represents a  $C_{6-10}$  aryl group which may optionally be substituted with one to three substituents selected from the group consisting of (1) halogen, (2) a  $C_{1-4}$  alkyl group which may optionally be substituted with one to five halogen atoms, (3) a  $C_{1-4}$  alkoxy group which may optionally be substituted with one to five halogen atoms, (4) a  $C_{1-4}$  alkylthio group which may optionally be substituted with one to five halogen atoms and (5) an amino group which may optionally be substituted with one or two  $C_{1-4}$  alkyl groups;  $Q^2$  represents phenyl which may optionally be substituted with one to three halogen atoms;  $R^1$  and  $R^2$  are the same or different and each represents methyl which may optionally be substituted with one to three halogen atoms;  $R^3$  represents a  $C_{1-4}$  alkyl group, a  $C_{2-4}$  alkenyl group, a  $C_{2-4}$  alkynyl group or a  $C_{1-4}$  alkoxy group; A and B are the same or different and each represents methylene which may optionally be substituted with one or two  $C_{1-4}$  alkyl groups which may optionally be substituted with one to three halogen atoms; and W represents O.

18. 1-[1-(3,5-Dichlorophenyl)-1-methylethyl]-5-methyl-3-phenyltetrahydro-1,3,5-triazine-2(1H)one or a salt thereof.

19. 1-[1-(3,5-Dichlorophenyl)-1-methylethyl]-5-methoxy-3-phenyltetrahydro-1,3,5-triazine-2(1H)one or a salt thereof.

20. 1-[1-(3,5-Dichloro-4-methoxyphenyl)-1-methylethyl]-5-methyl-3-phenyltetrahydro-1,3,5-triazine-2(1H)one or a salt thereof.

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21. A process for producing the compound of Claim 1 which comprises subjecting a urea or thiourea compound having a group of the formula:



wherein the respective symbols have the same meanings as defined in Claim 1, on the ring-forming nitrogen atoms, or a salt thereof, to a cyclization reaction.

10 22. A process for producing the compound of Claim 2 which comprises

(1) reacting a compound of the formula:



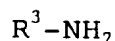
wherein the respective symbols have the same meanings as defined in Claim 2 or a salt thereof with a compound of the formula:



25 wherein  $R^5$  and  $R^6$  are the same or different and each represents a hydrogen atom or a hydrocarbon group which may optionally be substituted, or a salt thereof, a compound of the formula:

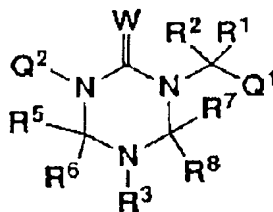


35 wherein  $R^7$  and  $R^8$  are the same or different and each represents a hydrogen atom or a hydrocarbon group which may optionally be substituted, or a salt thereof, and a compound of the formula:



wherein the respective symbols have the same meanings as defined in Claim 2 or a salt thereof to provide a compound of the formula:

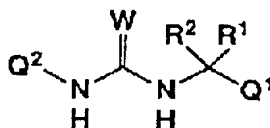
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10 wherein  $R^5$ ,  $R^6$ ,  $R^7$  and  $R^8$  are as defined above; the other symbols have the same meanings as defined in Claim 2 or a salt thereof;

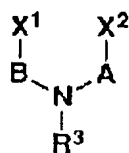
(2) reacting a compound of the formula:

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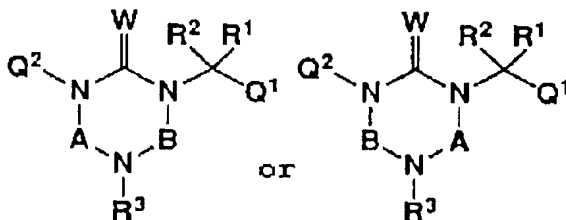
20 wherein the respective symbols have the same meanings as defined in Claim 2 or a salt thereof with a compound of the formula:

25



wherein  $X^1$  and  $X^2$  are the same or different and each represents a leaving group; the other symbols have the same meanings as defined in Claim 2 or a salt thereof to provide a compound of the formula:

30

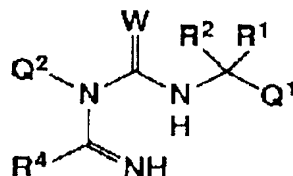


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wherein the respective symbols have the same meanings as defined in Claim 2 or a salt thereof;

(3) reacting a compound of the formula:

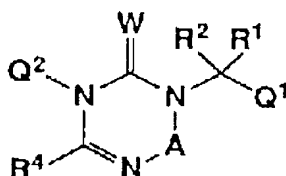
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wherein the respective symbols have the same meanings as defined in Claim 2 or a salt thereof with a compound of the formula  $X^1-A-X^2$  wherein  $X^1$  and  $X^2$  are as defined above; A has the same meaning as defined in Claim 2 or a salt thereof to provide a compound of the formula:

15

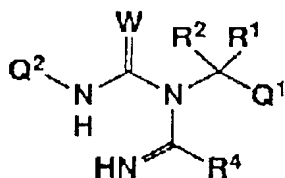


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wherein the respective symbols have the same meanings as defined in Claim 2 or a salt thereof;

(4) reacting a compound of the formula:

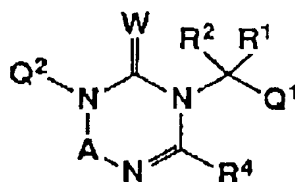
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wherein the respective symbols have the same meanings as defined in Claim 2 or a salt thereof with a compound of the formula  $X^1-A-X^2$  wherein  $X^1$  and  $X^2$  are as defined above; A has the same meaning as defined in Claim 2 or a salt thereof to provide a compound of the formula:

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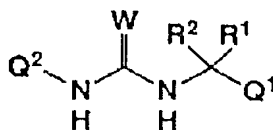




wherein the respective symbols have the same meanings as defined in Claim 2 or a salt thereof;

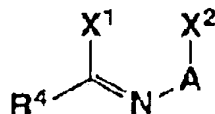
(5) reacting a compound of the formula:

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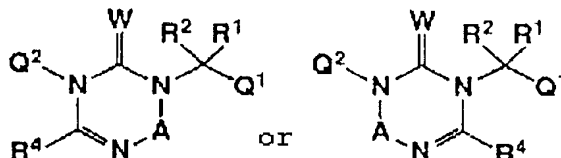
wherein the respective symbols have the same meanings as defined in Claim 2 or a salt thereof with the compound of the formula:

10



wherein  $\text{X}^1$  and  $\text{X}^2$  are as defined above; A and  $\text{R}^4$  have the same meaning as defined in Claim 2 or a salt thereof to provide a compound of the formula:

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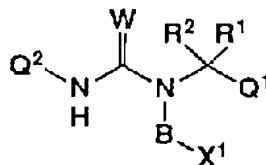


wherein the respective symbols have the same meanings as defined in Claim 2 or a salt thereof;

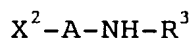
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(6) reacting a compound of the formula:

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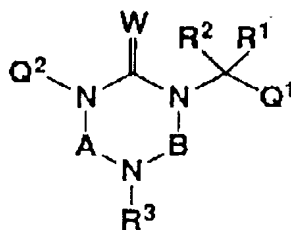
wherein  $\text{X}^1$  is as defined above; the other symbols have the same meanings as defined in Claim 2 or a salt thereof with a compound of the formula:



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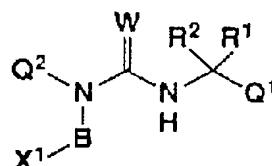
wherein  $\text{X}^2$  is as defined above; A and  $\text{R}^3$  have the same meanings as defined in Claim 2 or a salt thereof to

provide a compound of the formula:

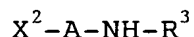


wherein the respective symbols have the same meanings as defined in Claim 2 or a salt thereof;

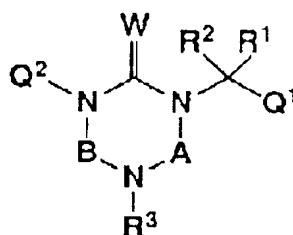
(7) reacting a compound of the formula:



wherein  $\text{X}^1$  is as defined above; the other symbols have the same meanings as defined in Claim 2 or a salt thereof with a compound of the formula:



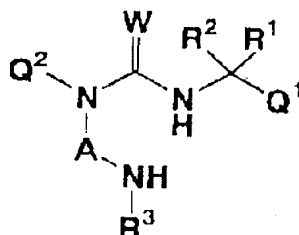
wherein  $\text{X}^2$  is as defined above; A and  $\text{R}^3$  have the same meanings as defined in Claim 2 or a salt thereof to provide a compound of the formula:



wherein the respective symbols have the same meanings as defined in Claim 2 or a salt thereof,

(8) reacting a compound of the formula:

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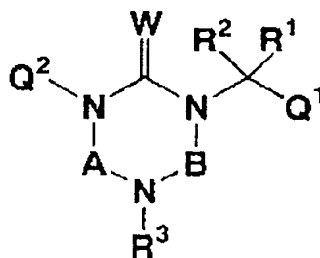
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wherein the respective symbols have the same meanings as defined in Claim 2 or a salt thereof with a compound of the formula:



wherein  $X^3$  and  $X^4$  are the same or different and each represents a  $C_{1-6}$  alkoxy group, and B has the same meaning as defined in Claim 2 or a salt thereof to provide a compound of the formula:

15

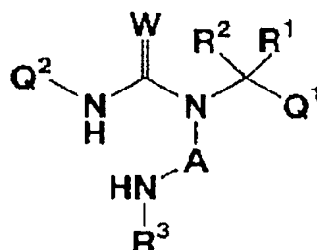


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wherein the respective symbols have the same meanings as defined in Claim 2 or a salt thereof;

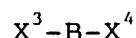
(9) reacting a compound of the formula:

25



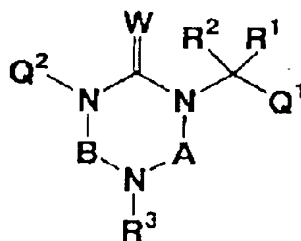
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wherein the respective symbols have the same meanings as defined in Claim 2 or a salt thereof with a compound of the formula:



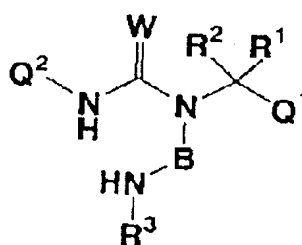
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wherein B has the same meaning as defined in Claim 2, and the other symbols are as defined above or a salt thereof to provide a compound of the formula:

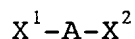


wherein the respective symbols have the same meanings as defined in Claim 2 or a salt thereof;

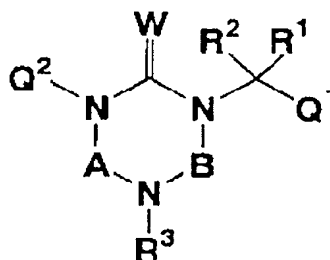
(10) reacting a compound of the formula:



wherein the respective symbols have the same meanings as defined in Claim 2 or a salt thereof with a compound of the formula:

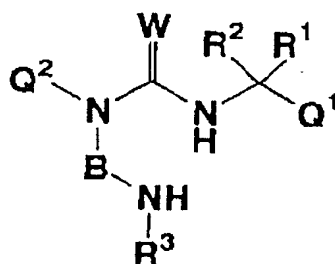


wherein A has the same meaning as defined in Claim 2, and the other symbols are as defined above or a salt thereof to provide a compound of the formula:

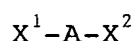


wherein the respective symbols have the same meanings as defined in Claim 2 or a salt thereof; or

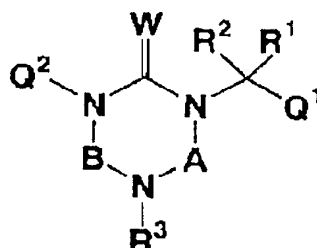
(11) reacting a compound of the formula:



wherein the respective symbols have the same meanings as defined in Claim 2 or a salt thereof with a compound of the formula:



wherein A has the same meaning as defined in Claim 2, and the other symbols are as defined above or a salt thereof to provide a compound of the formula:



wherein the respective symbols have the same meanings as defined in Claim 2 or a salt thereof.

23. An agrochemical composition comprising the compound as claimed in Claim 1 and an agrochemically acceptable carrier.

24. Use of the compound as claimed in Claim 1 as a herbicide.

25. A method for weeding from a paddy field, plowland, orchard or non-crop land, which comprises scattering an effective amount of the compound as claimed in Claim 1 on said paddy field, plowland, orchard or non-crop land.

# INTERNATIONAL SEARCH REPORT

International Application No

PCT/JP 98/01872

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 6 C07D251/08 C07D251/10 A01N43/64 C07D401/04 C07D403/04  
C07D417/04 C07D471/04 C07D405/04 C07D251/30 C07D409/04

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 6 C07D A01N

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	EP 0 005 911 A (ICI LTD) 12 December 1979  see compounds of formula II and III in which Q is 1-phenylethyl see page 23 - page 24; examples 39,40 ---	1-4, 8-10,16
X	MOREL, GEORGES ET AL: "New imidoyl isothiocyanates. Chemical behavior in polar solvents. Reaction with sulfenyl thiocyanates: preparation of 1,2-dihydro-2-thioxo-1,3,5-triazines and 1,3,4,6,6a triazadithia'6aS(IV)! pentalenes" J. ORG. CHEM. (1986), 51(21), 4043-7 , 1986, XP002072544 see compound 10j --- -/--	1,3,4

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

\* Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the international search

30 July 1998

Date of mailing of the international search report

12/08/1998

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# INTERNATIONAL SEARCH REPORT

Int. l. Application No  
PCT/JP 98/01872

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	AL-TALIB, MAHMOUD ET AL: "2-Azaallenium salts from the reaction of 1-oxa-3-azabutatrienium salts with cyanamides and carbodiimides" CHEM. BER. (1985), 118(5), 1887-902 , 1985, XP002072545 see compounds 17a-17k ----	1,3,4
X	DE 32 41 114 A (BAYER AG) 10 May 1984 see example I-11 see page 7, line 1 - line 4 ----	1,23-25
X	US 3 983 116 A (LIN KANG) 28 September 1976 see Table IX, 5th compound see claim 1 ----	1,23-25
X	PATENT ABSTRACTS OF JAPAN vol. 005, no. 140 (C-070), 4 September 1981 -& JP 56 075407 A (UBE IND LTD), 22 June 1981 see abstract ----	1,23-25
X	EP 0 745 599 A (AMERICAN CYANAMID CO) 4 December 1996 see claim 1 ----	1,23-25
A	EP 0 003 061 A (BAYER AG) 25 July 1979 see page 12, line 19 - line 21; claim 1 ----	1-25
A	EP 0 290 103 A (ARCADIAN CORP) 9 November 1988 see claim 1 -----	21,22

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Information on patent family members

International Application No

PCT/JP 98/01872

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